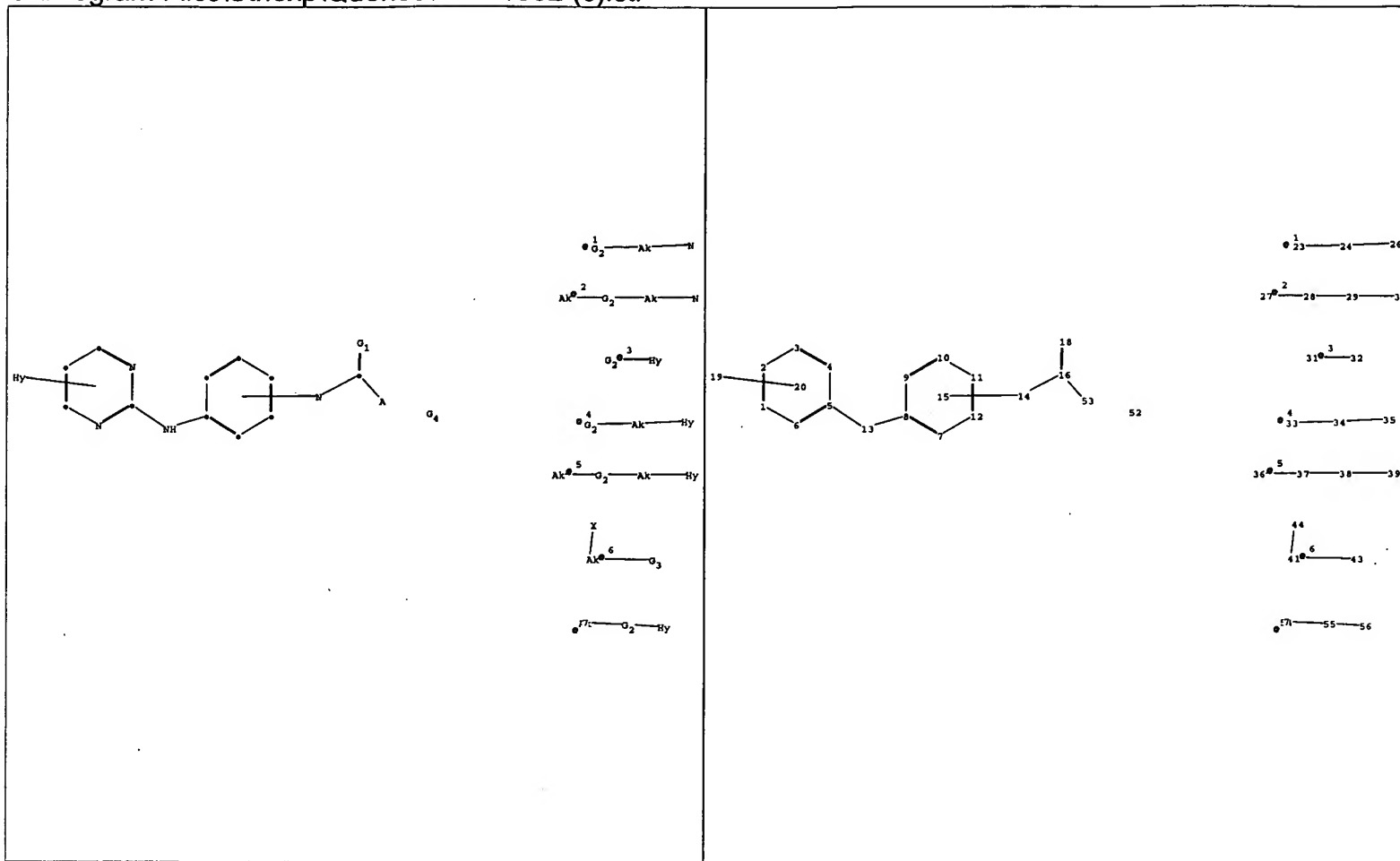


## EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	2347	((544/331) or (514/252.18,275)). CCLS.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2006/07/24 16:15



chain nodes :

13 14 16 18 19 23 24 26 27 28 29 30 31 32 33 34 35 36 37 38 39 41 43 44 52 54  
55 56

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12

ring/chain nodes :

53

chain bonds :

5-13 8-13 14-16 16-18 16-53 23-24 24-26 27-28 28-29 29-30 31-32 33-34 34-35 36-37 37-38  
38-39 41-43 41-44 54-55 55-56

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

exact/norm bonds :

5-13 8-13 14-16 16-18 16-53 23-24 24-26 27-28 28-29 29-30 31-32 33-34 34-35 36-37 37-38  
38-39 41-43 41-44 54-55 55-56

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

isolated ring systems :

containing 1 : 7 :

G1:O,S

G2:O,N

G3:N,Hy

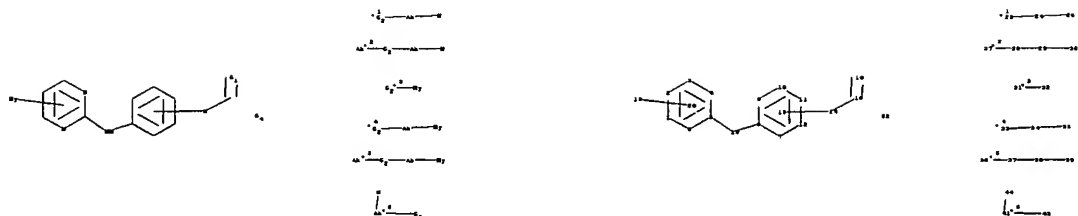
G4:[\*1],[\*2],[\*3],[\*4],[\*5],[\*6],[\*7]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom  
13:CLASS14:CLASS15:Atom 16:CLASS18:CLASS19:Atom 20:Atom 23:CLASS24:CLASS26:CLASS27:CLASS  
28:CLASS29:CLASS30:CLASS31:CLASS32:Atom 33:CLASS34:CLASS35:CLASS36:CLASS37:CLASS  
38:CLASS39:CLASS41:CLASS43:CLASS44:CLASS52:CLASS53:CLASS54:CLASS55:CLASS56:Atom

$\Rightarrow$ 

Uploading C:\Program Files\Stnexp\Queries\10821382 (b).str



chain nodes :

[illegible]

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12

chain bonds :

5-13 8-13 14-16 16-18 23-24 24-26 27-28 28-29 29-30 31-32 33-34 34-35  
36-37 37-38 38-39 41-43 41-44

ring bonds :

1-2   1-6   2-3   3-4   4-5   5-6   7-8   7-12   8-9   9-10   10-11   11-12

exact/norm bonds :

5-13 8-13 14-16 16-18 23-24 24-26 27-28 28-29 29-30 31-32 33-34 34-35  
36-37 37-38 38-39 41-43 41-44

normalized bonds :

1-2   1-6   2-3   3-4   4-5   5-6   7-8   7-12   8-9   9-10   10-11   11-12

isolated ring systems :

containing 1 : 7 :

G1:O,S

G2: O, N

G3: N, Hy

G4: [\*1], [\*2], [\*3], [\*4], [\*5], [\*6]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:Atom 12:Atom 13:CLASS 14:CLASS 15:Atom 16:CLASS 18:CLASS 19:Atom 20:Atom  
23:CLASS 24:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS  
32:Atom 33:CLASS 34:CLASS 35:CLASS 36:CLASS 37:CLASS 38:CLASS 39:CLASS  
41:CLASS 43:CLASS 44:CLASS 52:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 13:19:47 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 1551 TO ITERATE

100.0% PROCESSED 1551 ITERATIONS

17 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

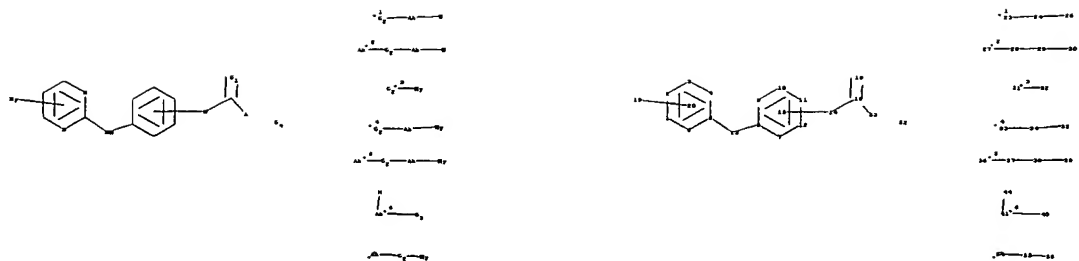
PROJECTED ITERATIONS: 28658 TO 33382

PROJECTED ANSWERS: 93 TO 587

L2 17 SEA SSS SAM L1

=> =>

Uploading C:\Program Files\Stnexp\Queries\10821382 (c).str



chain nodes :

13 14 16 18 19 23 24 26 27 28 29 30 31 32 33 34 35 36 37 38 39  
41 43 44 52 54 55 56

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12

ring/chain nodes :

53

chain bonds :

5-13 8-13 14-16 16-18 16-53 23-24 24-26 27-28 28-29 29-30 31-32 33-34  
34-35 36-37 37-38 38-39 41-43 41-44 54-55 55-56

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

exact/norm bonds :

5-13 8-13 14-16 16-18 16-53 23-24 24-26 27-28 28-29 29-30 31-32 33-34  
34-35 36-37 37-38 38-39 41-43 41-44 54-55 55-56

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

isolated ring systems :

containing 1 : 7 :

G1:O,S

G2:O,N

G3:N,Hy

G4:[\*1],[\*2],[\*3],[\*4],[\*5],[\*6],[\*7]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
 11:Atom 12:Atom 13:CLASS 14:CLASS 15:Atom 16:CLASS 18:CLASS 19:Atom 20:Atom  
 23:CLASS 24:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS  
 32:Atom 33:CLASS 34:CLASS 35:CLASS 36:CLASS 37:CLASS 38:CLASS 39:CLASS  
 41:CLASS 43:CLASS 44:CLASS 52:CLASS 53:CLASS 54:CLASS 55:CLASS 56:Atom

L3 STRUCTURE UPLOADED

=> d l3

L3 HAS NO ANSWERS

L3 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s l3 sss sam

SAMPLE SEARCH INITIATED 13:32:04 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 1551 TO ITERATE

100.0% PROCESSED 1551 ITERATIONS

15 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 28658 TO 33382

PROJECTED ANSWERS: 68 TO 532

L4 15 SEA SSS SAM L3

=> => s l3 sss ful

FULL SEARCH INITIATED 13:39:16 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 31858 TO ITERATE

100.0% PROCESSED 31858 ITERATIONS

247 ANSWERS

SEARCH TIME: 00.00.02

L5 247 SEA SSS FUL L3

=> => s l5

L6 35 L5

=> d l6 1-35 bib,ab,hitstr

L6 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2006:333442 CAPLUS  
 DN 144:370121  
 TI Preparation of pyrimidine derivatives as phosphatase and kinase inhibitors  
 for treating a variety of diseases  
 IN Klebl, Bert; Baumann, Matthias; Hoppe, Edmund; Brehmer, Dirk; Daub,  
 Henrik; Keri, Gyoergy; Varga, Zoltan; Marosfalvi, Jenoe; Oerfi, Laszlo  
 PA GPC Biotech A.-G., Germany  
 SO PCT Int. Appl., 100 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006021458	A2	20060302	WO 2005-EP9291	20050829
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
PRAI	US 2004-604685P	P	20040827		
OS	MARPAT 144:370121				

AB The present invention relates to pyrimidine derivs. of general formula I (wherein R and R\* = CH<sub>3</sub>, C<sub>2</sub>H<sub>5</sub>, R', R<sub>17</sub>; R' = H, F, Cl, CN, OCF<sub>3</sub>, NH<sub>2</sub>, SH, etc.; R<sub>17</sub> = H, R', CH<sub>3</sub>, C<sub>2</sub>H<sub>5</sub>, CH=CH<sub>2</sub>, etc.; Z = NH-CO-R<sub>5</sub>, CO-NH-R<sub>5</sub>, NH-CS-R<sub>5</sub>, etc. or a substituted ring or ring system; R<sub>5</sub> = H, R<sub>4</sub>, CH<sub>2</sub>R<sub>3</sub>, etc. or a substituted ring, e.g., Ph, naphthyl; R<sub>3</sub>, R<sub>4</sub> = H, OH, SH, heterocyclic ring, etc.; X = a substituted ring or ring system), methods for their synthesis, and the use of said pyrimidine derivs. as pharmaceutically active agents, especially for the prophylaxis and/or treatment of cell proliferation disorders, cancer, leukemia, erectile dysfunction, cardiovascular diseases and disorders, inflammatory diseases, transplant rejection, immunol. diseases, neuroimmunol. diseases, autoimmune diseases, infective diseases including opportunistic infections, prion diseases and/or neuro-degeneration. I are inhibitors of phosphatase and kinase, specifically selected from Abl, Akt, c-kit, EGF-R, GSK3b, JNK, Lck, PDGF-R, PknG, and ROCK2. Furthermore, the present invention relates to pharmaceutical compns. containing at least one pyrimidine derivative and/or pharmaceutically acceptable salts thereof as an active ingredient together with at least one pharmaceutically acceptable carrier, excipient or diluents as well as to methods for prophylaxis and/or treatment of the above-mentioned diseases and disorders. For example, II was prepared from the appropriate amine and appropriate benzoyl chloride. G315The I that were tested were able to inhibit the amount of pathogenic prion protein PrPSc in infected cells at concentration between 5 and 20 µM. A method for detecting prion infections and/or prion diseases in a sample is also claimed, the method comprises administering I to a sample and detecting activity in said sample of the human cellular protein kinase Abl.

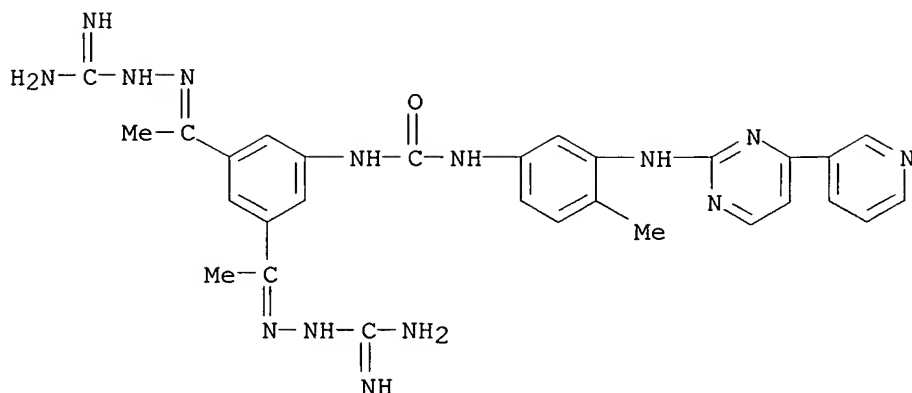
IT 475587-69-0P 881675-34-9P, 4-[[[4-[[4-(Pyridin-4-yl)pyrimidin-2-yl]amino]phenyl]carbamoyl]methyl]piperazine-1-carboxylic

acid ethyl ester 881675-38-3P, 1-[[[4-[[4-(Pyridin-4-yl)pyrimidin-2-yl]amino]phenyl]carbamoyl]methyl]piperidine-4-carboxylic acid ethyl ester 881675-58-7P, 4-[[[3-[[4-(Pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]carbamoyl]methyl]piperazine-1-carboxylic acid ethyl ester 881675-62-3P, 1-[[[3-[[4-(Pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]carbamoyl]methyl]piperidine-4-carboxylic acid ethyl ester 881675-69-0P, 4-[[[4-[[4-(Pyridin-2-yl)pyrimidin-2-yl]amino]phenyl]carbamoyl]methyl]piperazine-1-carboxylic acid ethyl ester 881675-71-4P, 1-[[[4-[[4-(Pyridin-2-yl)pyrimidin-2-yl]amino]phenyl]carbamoyl]methyl]piperidine-4-carboxylic acid ethyl ester 881675-75-8P, 1-[[[4-Methyl-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]carbamoyl]methyl]piperidine-4-carboxylic acid ethyl ester 881675-77-0P, 4-[[[4-Methyl-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]carbamoyl]methyl]piperazine-1-carboxylic acid ethyl ester 881675-97-4P, 1-[[[4-Methyl-3-[[4-(pyridin-4-yl)pyrimidin-2-yl]amino]phenyl]carbamoyl]methyl]piperidine-4-carboxylic acid ethyl ester 881676-01-3P, 4-[[[4-Methyl-3-[[4-(pyridin-4-yl)pyrimidin-2-yl]amino]phenyl]carbamoyl]methyl]piperazine-1-carboxylic acid ethyl ester 881676-21-7P, 1-[[[4-Methyl-3-[[4-(pyridin-2-yl)pyrimidin-2-yl]amino]phenyl]carbamoyl]methyl]piperidine-4-carboxylic acid ethyl ester 881676-23-9P, 4-[[[4-Methyl-3-[[4-(pyridin-2-yl)pyrimidin-2-yl]amino]phenyl]carbamoyl]methyl]piperazine-1-carboxylic acid ethyl ester 881676-45-5P, 1-[[[3-[[4-(Pyridin-4-yl)pyrimidin-2-yl]amino]phenyl]carbamoyl]methyl]piperidine-4-carboxylic acid ethyl ester 881676-47-7P, 4-[[[3-[[4-(Pyridin-4-yl)pyrimidin-2-yl]amino]phenyl]carbamoyl]methyl]piperazine-1-carboxylic acid ethyl ester 881676-49-9P, 1-[[[3-[[4-(Pyridin-4-yl)pyrimidin-2-yl]amino]phenyl]carbamoyl]methyl]piperidine-4-carboxylic acid 881677-18-5P, 4-[2-[[4-Methyl-3-[[4-(pyridin-4-yl)pyrimidin-2-yl]amino]phenyl]carbamoyl]ethyl]piperazine-1-carboxylic acid ethyl ester 881677-25-4P, 1-[[[3-[[4-(Pyridin-2-yl)pyrimidin-2-yl]amino]phenyl]carbamoyl]methyl]piperidine-4-carboxylic acid ethyl ester 881677-27-6P, 4-[[[3-[[4-(Pyridin-2-yl)pyrimidin-2-yl]amino]phenyl]carbamoyl]methyl]piperazine-1-carboxylic acid ethyl ester 881677-33-4P, 1-[[[3-[[4-(Pyridin-2-yl)pyrimidin-2-yl]amino]phenyl]carbamoyl]methyl]piperidine-4-carboxylic acid  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrimidine derivs. as phosphatase and kinase inhibitors for treating a variety of diseases)

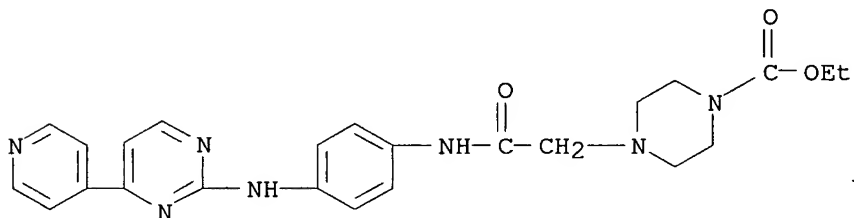
RN 475587-69-0 CAPLUS

CN Hydrazinecarboximidamide, 2,2'-[[5-[[[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]amino]carbonyl]amino]-1,3-phenylene]diethylidyne]bis- (9CI) (CA INDEX NAME)



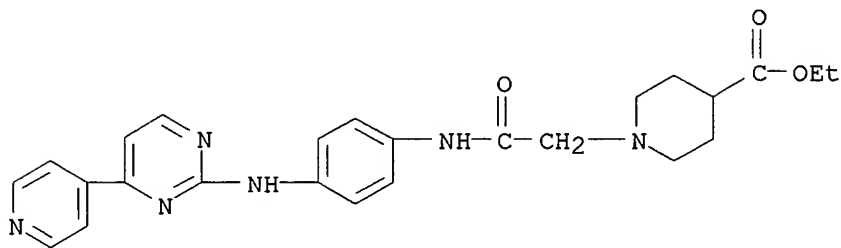
RN 881675-34-9 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2-oxo-2-[[4-[[4-(4-pyridinyl)-2-pyrimidinyl]amino]phenyl]amino]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)



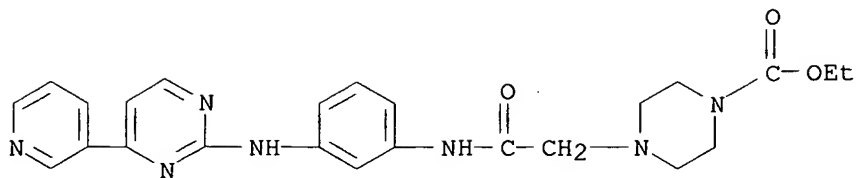
RN 881675-38-3 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-oxo-2-[[4-[[4-(4-pyridinyl)-2-pyrimidinyl]amino]phenyl]amino]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)



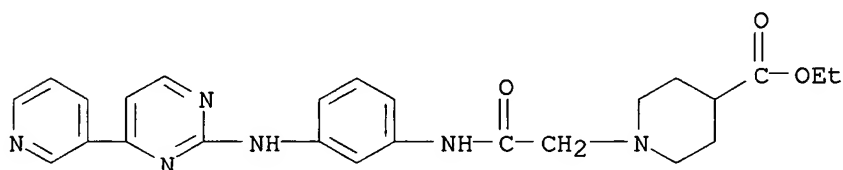
RN 881675-58-7 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2-oxo-2-[[3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]amino]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)



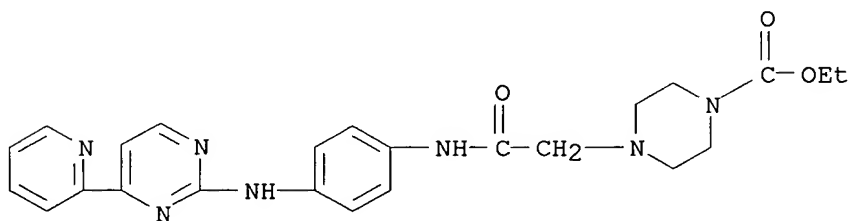
RN 881675-62-3 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-oxo-2-[[3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]amino]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)



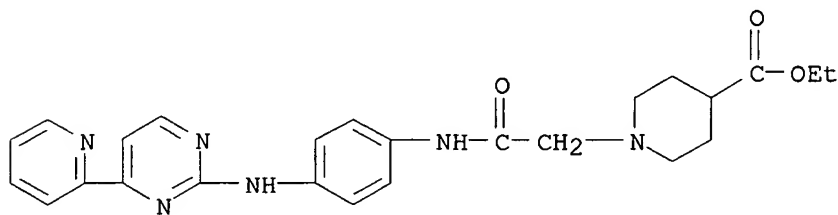
RN 881675-69-0 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2-oxo-2-[[4-[[4-(2-pyridinyl)-2-pyrimidinyl]amino]phenyl]amino]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)



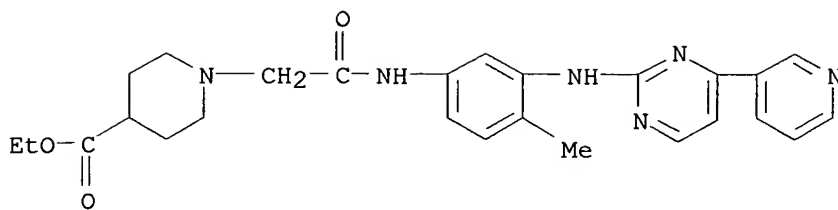
RN 881675-71-4 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-oxo-2-[[4-[[4-(2-pyridinyl)-2-pyrimidinyl]amino]phenyl]amino]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)



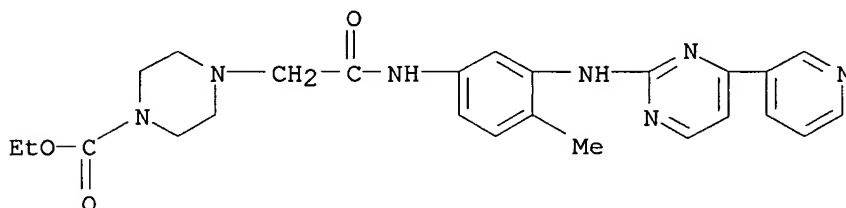
RN 881675-75-8 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-[[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]amino]-2-oxoethyl]-, ethyl ester (9CI) (CA INDEX NAME)



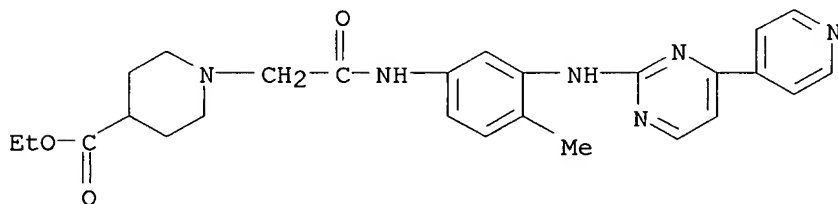
RN 881675-77-0 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2-[[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]amino]-2-oxoethyl]-, ethyl ester (9CI) (CA INDEX NAME)



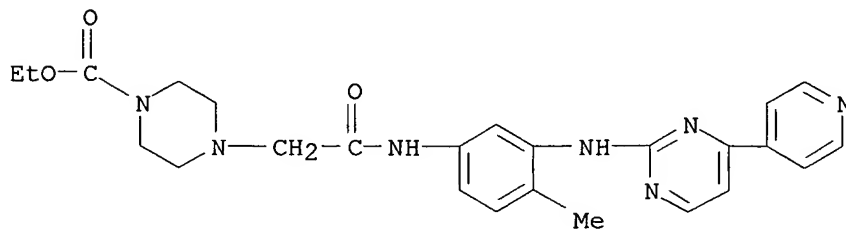
RN 881675-97-4 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-[[4-methyl-3-[[4-(4-pyridinyl)-2-pyrimidinyl]amino]phenyl]amino]-2-oxoethyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 881676-01-3 CAPLUS

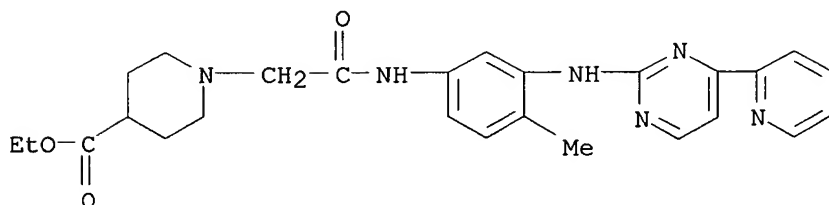
CN 1-Piperazinecarboxylic acid, 4-[2-[[4-methyl-3-[[4-(4-pyridinyl)-2-pyrimidinyl]amino]phenyl]amino]-2-oxoethyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 881676-21-7 CAPLUS

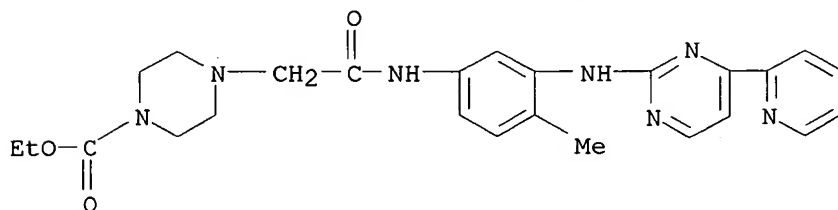
CN 4-Piperidinecarboxylic acid, 1-[2-[[4-methyl-3-[[4-(2-pyridinyl)-2-

pyrimidinyl]amino]phenyl]amino]-2-oxoethyl]-, ethyl ester (9CI) (CA INDEX NAME)



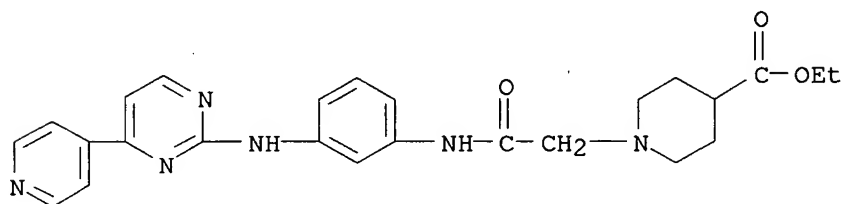
RN 881676-23-9 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2-[[4-methyl-3-[[4-(2-pyridinyl)-2-pyrimidinyl]amino]phenyl]amino]-2-oxoethyl]-, ethyl ester (9CI) (CA INDEX NAME)



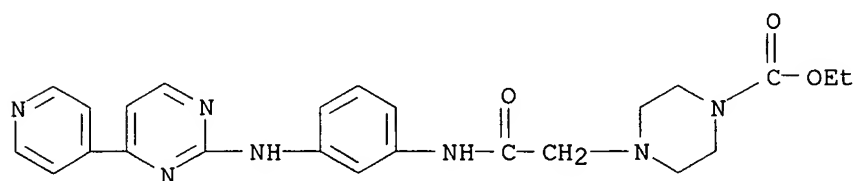
RN 881676-45-5 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-oxo-2-[[3-[[4-(4-pyridinyl)-2-pyrimidinyl]amino]phenyl]amino]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 881676-47-7 CAPLUS

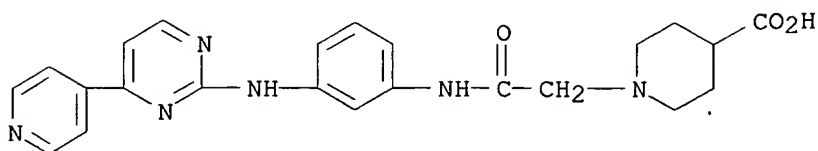
CN 1-Piperazinecarboxylic acid, 4-[2-oxo-2-[[3-[[4-(4-pyridinyl)-2-pyrimidinyl]amino]phenyl]amino]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 881676-49-9 CAPLUS

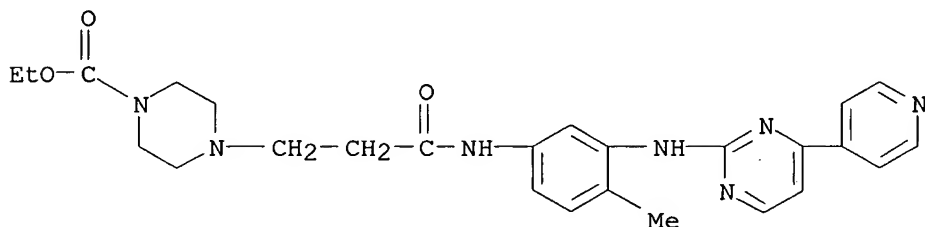
CN 4-Piperidinecarboxylic acid, 1-[2-oxo-2-[[3-[[4-(4-pyridinyl)-2-

pyrimidinyl]amino]phenyl]amino]ethyl]- (9CI) (CA INDEX NAME)



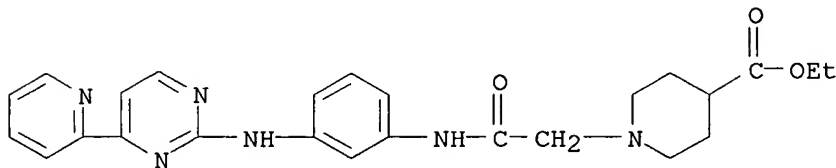
RN 881677-18-5 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[3-[[4-methyl-3-[[4-(4-pyridinyl)-2-pyrimidinyl]amino]phenyl]amino]-3-oxopropyl]-, ethyl ester (9CI) (CA INDEX NAME)



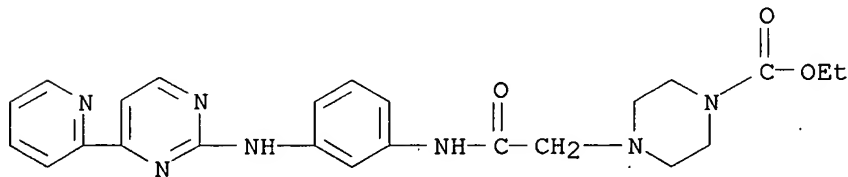
RN 881677-25-4 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-oxo-2-[[3-[[4-(2-pyridinyl)-2-pyrimidinyl]amino]phenyl]amino]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 881677-27-6 CAPLUS

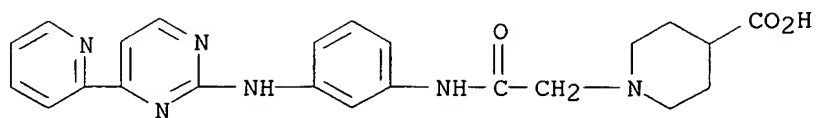
CN 1-Piperazinecarboxylic acid, 4-[2-oxo-2-[[3-[[4-(2-pyridinyl)-2-pyrimidinyl]amino]phenyl]amino]ethyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 881677-33-4 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-oxo-2-[[3-[[4-(2-pyridinyl)-2-pyrimidinyl]amino]phenyl]amino]ethyl]- (9CI) (CA INDEX NAME)

10/821,382



L6 ANSWER 2 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2006:308520 CAPLUS  
 DN 145:8458  
 TI Preparation of antitumor pyrimidinyl carboxamides  
 IN Zhuang, Mingqiang; Zhao, Jingpu; Zhang, Xiongwen  
 PA Shanghai Xinxing Medical Co., Ltd., Peop. Rep. China  
 SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 17 pp.  
 CODEN: CNXXEV

DT Patent  
 LA Chinese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CN 1629155	A	20050622	CN 2004-10053823	20040818
PRAI	CN 2004-10053823		20040818		

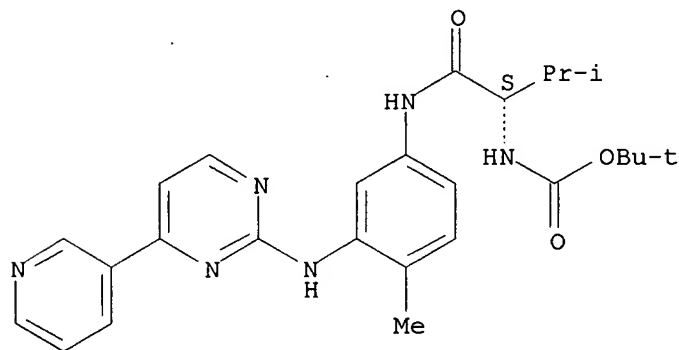
AB Pyrimidinyl carboxamides I (R1 = alkyl, amino acid residue; R2 = tert-butoxycarbonyl, acyl) and their pharmaceutically acceptable salts, useful as tyrosine kinase inhibitors and antitumor agents, are prepared

IT 887903-24-4P 887903-26-6P 887903-28-8P  
 887903-30-2P  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of antitumor pyrimidinyl carboxamides)

RN 887903-24-4 CAPLUS

CN Carbamic acid, [(1S)-2-methyl-1-[[[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]amino]carbonyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

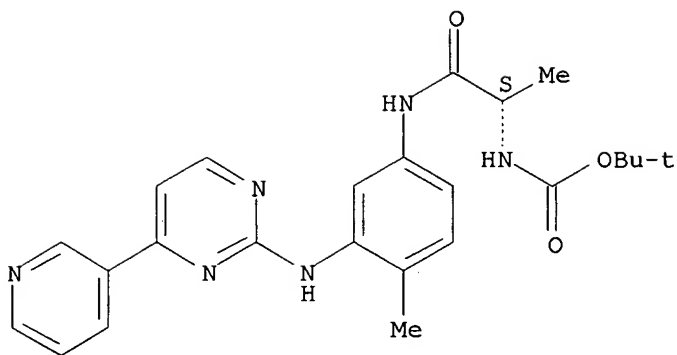
Absolute stereochemistry.



RN 887903-26-6 CAPLUS

CN Carbamic acid, [(1S)-1-methyl-2-[[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

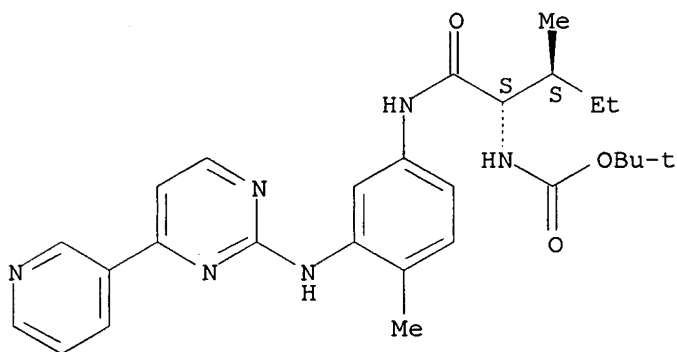
Absolute stereochemistry.



RN 887903-28-8 CAPLUS

CN Carbamic acid, [(1S,2S)-2-methyl-1-[[[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]amino]carbonyl]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

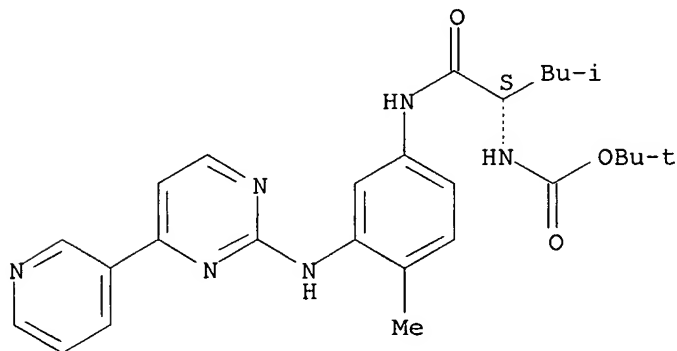
Absolute stereochemistry.



RN 887903-30-2 CAPLUS

CN Carbamic acid, [(1S)-3-methyl-1-[[[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]amino]carbonyl]butyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 3 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2006:176834 CAPLUS  
 DN 144:370108  
 TI Preparation and application of phenylamino pyrimidine derivatives  
 IN Chen, Guoqing  
 PA Chen Guoqing, Peop. Rep. China  
 SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 41 pp.  
 CODEN: CNXXEV

DT Patent  
 LA Chinese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CN 1560050	A	20050105	CN 2004-10014093	20040218
PRAI	CN 2004-10014093		20040218		

*Same Inv.*

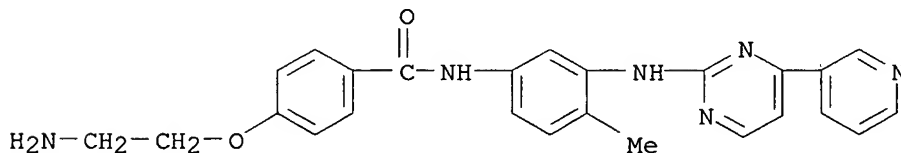
AB The invention relates to a phenylamino pyrimidine derivative, its preparing process, medicines adopting it as active component, a method of curing the diseases relative to tyrosine kinase, especially to Bcr-Abl, like cancers, etc, and the application of its acting as medicine and making tyrosine kinase inhibition medicines to relieve the effect of tyrosine kinase to endotherms like human beings.

IT 791609-56-8P 791609-58-0P 791609-59-1P  
 791609-62-6P 791609-63-7P 791609-65-9P  
 791609-66-0P 791609-67-1P 791609-68-2P  
 791609-69-3P 791609-71-7P 791609-72-8P  
 791609-73-9P 791609-74-0P 791609-75-1P  
 791609-76-2P 791609-77-3P 791609-78-4P  
 791609-79-5P 791609-81-9P 791609-82-0P  
 791609-84-2P 791609-85-3P 882166-62-3P  
 882166-63-4P 882166-64-5P 882166-67-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and application of phenylamino pyrimidine derivs.)

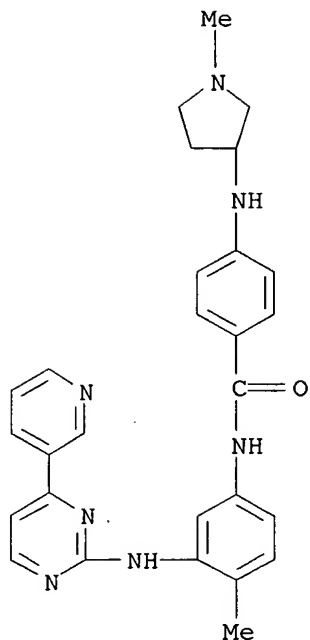
RN 791609-56-8 CAPLUS

CN Benzamide, 4-(2-aminoethoxy)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



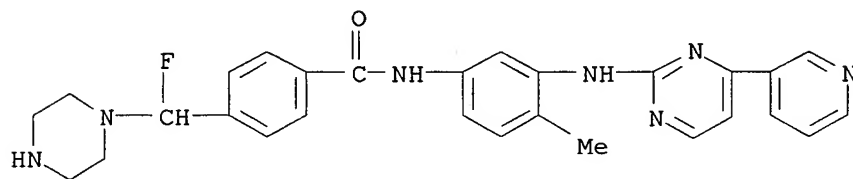
RN 791609-58-0 CAPLUS

CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-[(1-methyl-3-pyrrolidinyl)amino]- (9CI) (CA INDEX NAME)



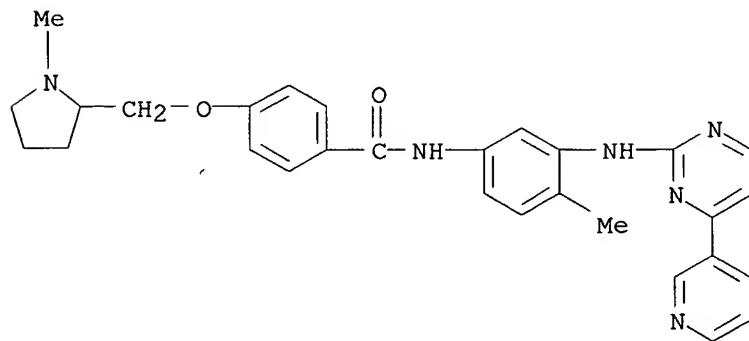
RN 791609-59-1 CAPLUS

CN Benzamide, 4-(fluoro-1-piperazinylmethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



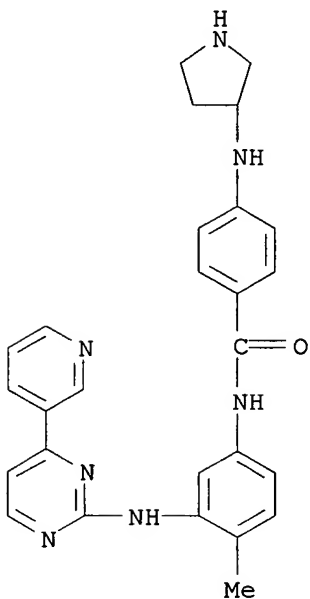
RN 791609-62-6 CAPLUS

CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-[(1-methyl-2-pyrrolidinyl)methoxy]- (9CI) (CA INDEX NAME)



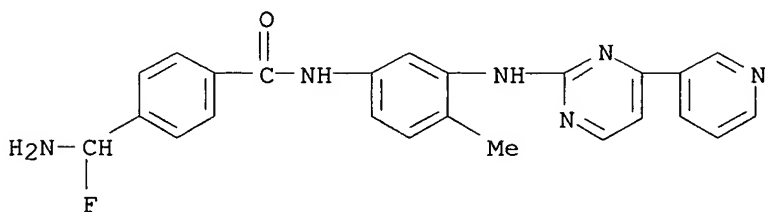
RN 791609-63-7 CAPLUS

CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-(3-pyrrolidinylamino)- (9CI) (CA INDEX NAME)



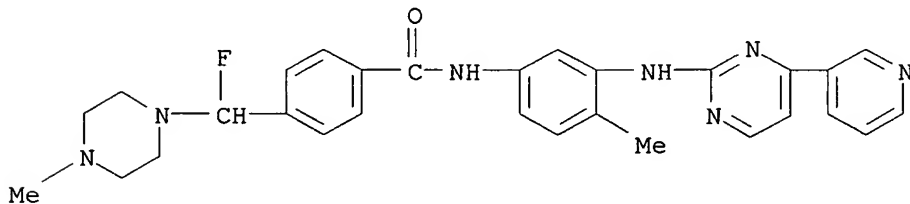
RN 791609-65-9 CAPLUS

CN Benzamide, 4-(aminofluoromethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 791609-66-0 CAPLUS

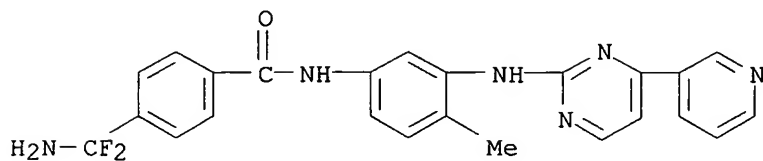
CN Benzamide, 4-[fluoro(4-methyl-1-piperazinyl)methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 791609-67-1 CAPLUS

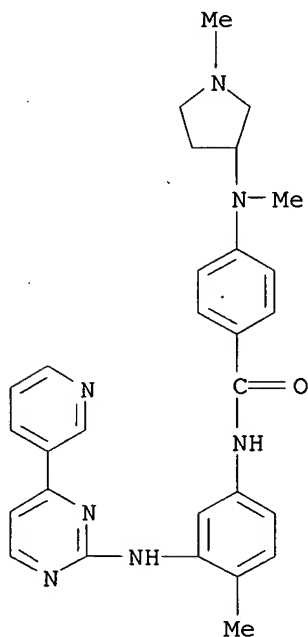
CN Benzamide, 4-(aminodifluoromethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-

pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 791609-68-2 CAPLUS

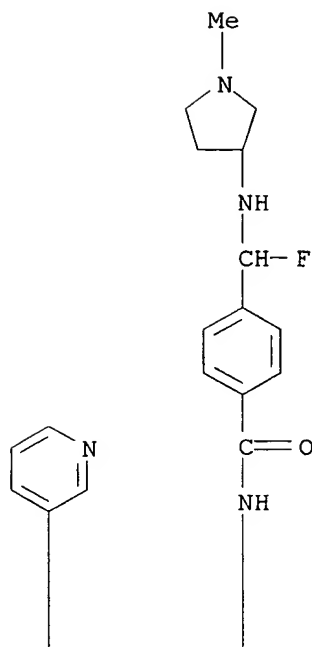
CN Benzamide, 4-[methyl(1-methyl-3-pyrrolidinyl)amino]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



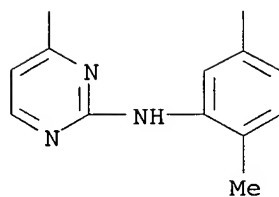
RN 791609-69-3 CAPLUS

CN Benzamide, 4-[fluoro[(1-methyl-3-pyrrolidinyl)amino]methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

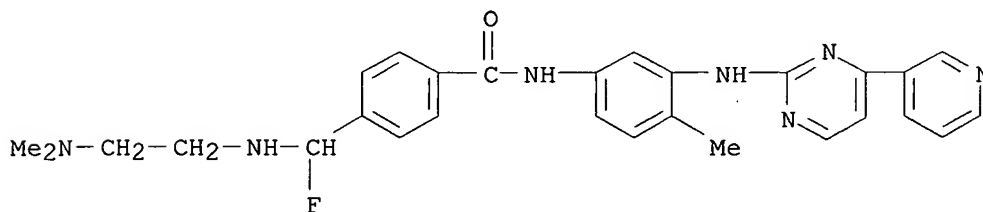
PAGE 1-A



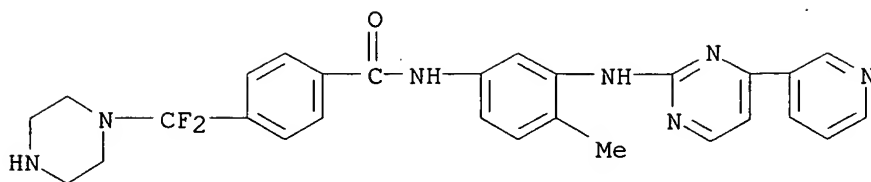
PAGE 2-A



RN 791609-71-7 CAPLUS  
 CN Benzamide, 4-[[[2-(dimethylamino)ethyl]amino]fluoromethyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

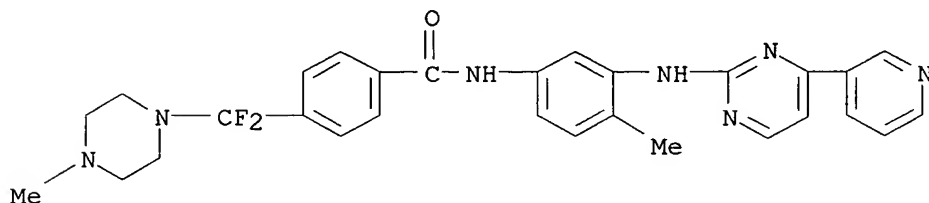


RN 791609-72-8 CAPLUS  
 CN Benzamide, 4-(difluoro-1-piperazinylmethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



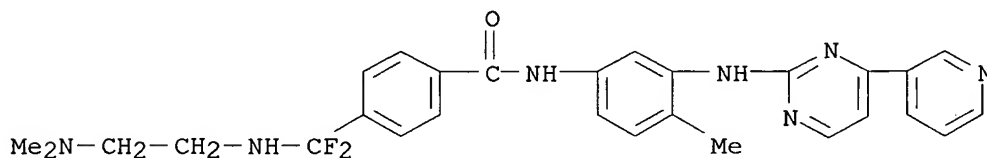
RN 791609-73-9 CAPLUS

CN Benzamide, 4-[difluoro(4-methyl-1-piperazinyl)methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 791609-74-0 CAPLUS

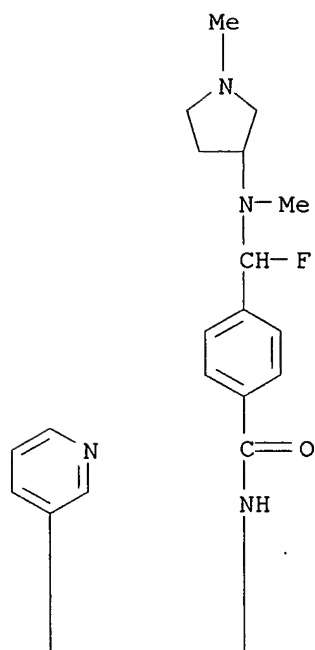
CN Benzamide, 4-[[[2-(dimethylamino)ethyl]amino]difluoromethyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



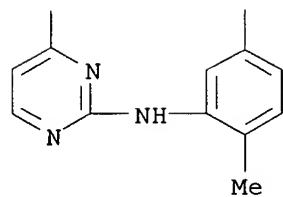
RN 791609-75-1 CAPLUS

CN Benzamide, 4-[fluoro[methyl(1-methyl-3-pyrrolidinyl)amino]methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

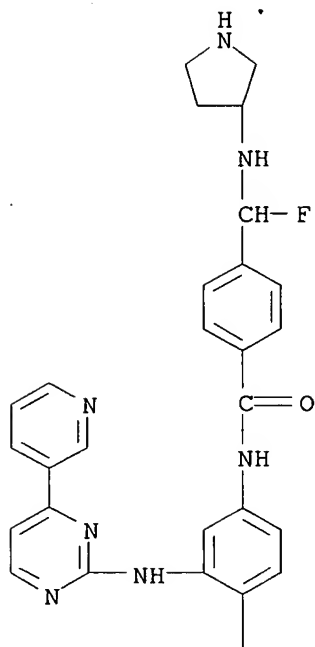


PAGE 2-A



RN 791609-76-2 CAPLUS  
 CN Benzamide, 4-[fluoro(3-pyrrolidinylamino)methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

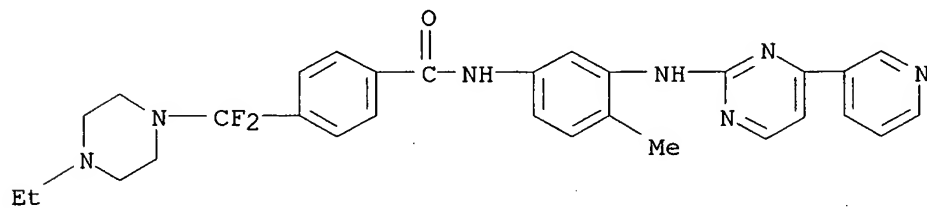
PAGE 1-A



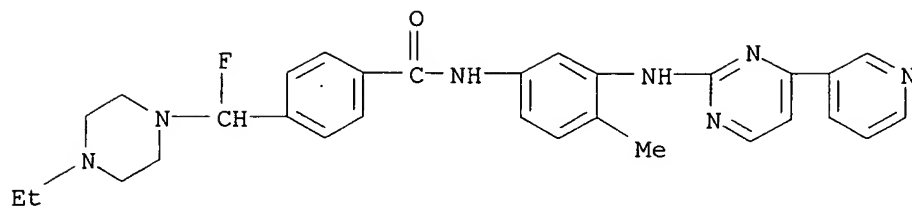
PAGE 2-A



RN 791609-77-3 CAPLUS  
 CN Benzamide, 4-[(4-ethyl-1-piperazinyl)difluoromethyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



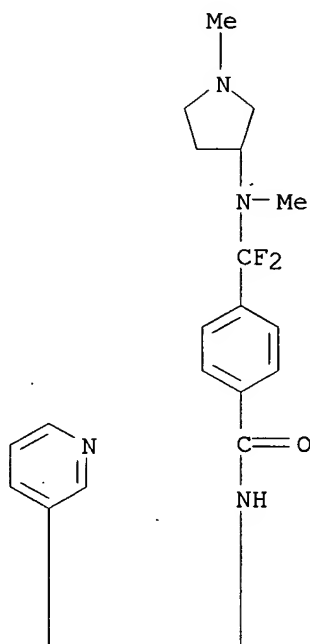
RN 791609-78-4 CAPLUS  
 CN Benzamide, 4-[(4-ethyl-1-piperazinyl)fluoromethyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



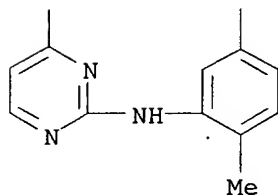
RN 791609-79-5 CAPLUS

CN Benzamide, 4-[difluoro[methyl(1-methyl-3-pyrrolidinyl)amino]methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



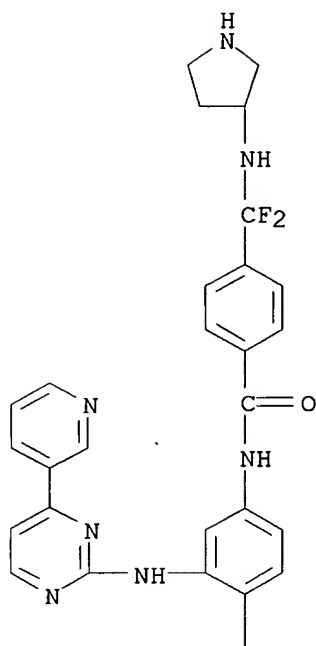
PAGE 2-A



RN 791609-81-9 CAPLUS

CN Benzamide, 4-[difluoro(3-pyrrolidinylamino)methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

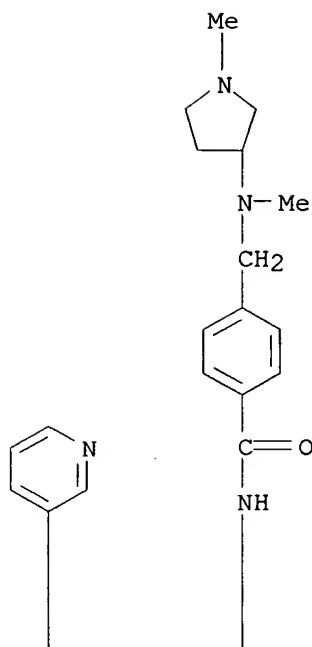


PAGE 2-A

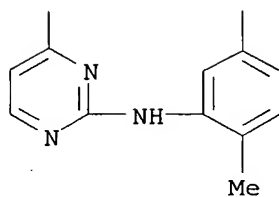
Me

RN 791609-82-0 CAPLUS  
 CN Benzamide, 4-[[methyl(1-methyl-3-pyrrolidinyl)amino]methyl]-N-[4-methyl-3-  
 [[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

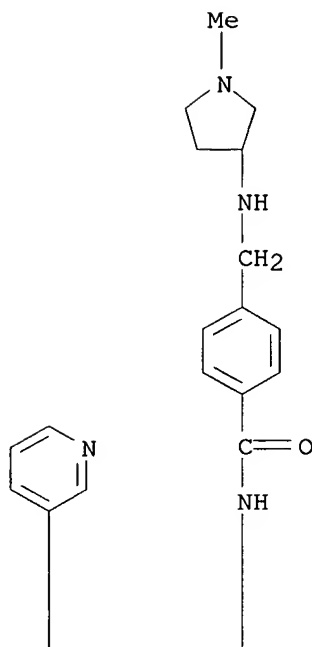


PAGE 2-A

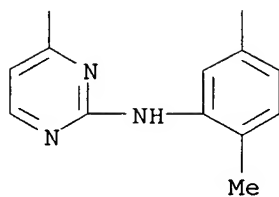


RN 791609-84-2 CAPLUS  
 CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-  
 [[(1-methyl-3-pyrrolidinyl)amino]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

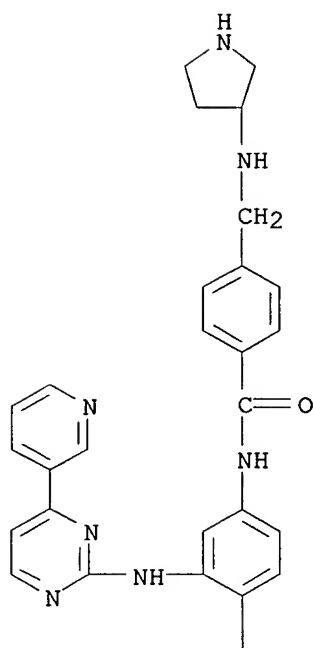


PAGE 2-A



RN 791609-85-3 CAPLUS  
 CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-  
 [(3-pyrrolidinylamino)methyl]- (9CI) (CA INDEX NAME)

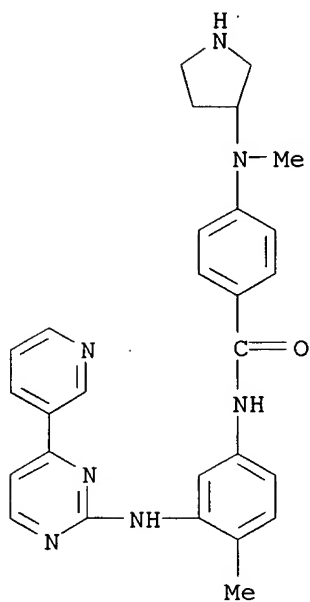
PAGE 1-A



PAGE 2-A

Me

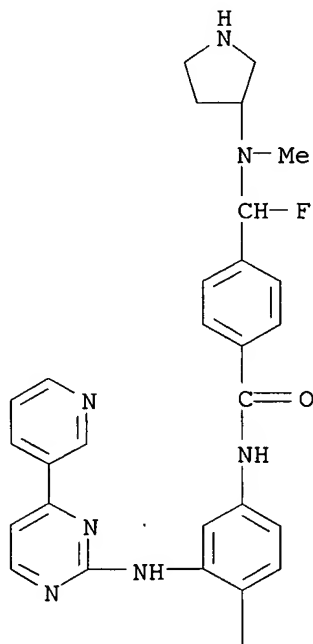
RN 882166-62-3 CAPLUS  
 CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-(methyl-3-pyrrolidinylamino)- (9CI) (CA INDEX NAME)



RN 882166-63-4 CAPLUS

CN Benzamide, 4-[fluoro(methyl-3-pyrrolidinylamino)methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

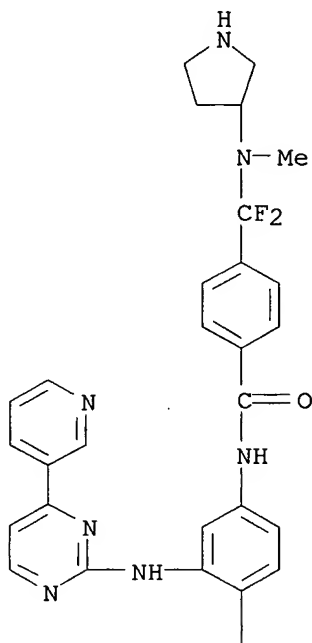


PAGE 2-A



RN 882166-64-5 CAPLUS  
 CN Benzamide, 4-[difluoro(methyl-3-pyrrolidinylamino)methyl]-N-[4-methyl-3-  
 [[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

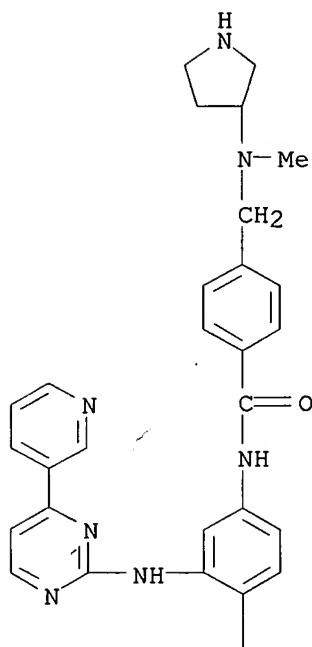


PAGE 2-A



RN 882166-67-8 CAPLUS  
 CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-  
 [(methyl-3-pyrrolidinylamino)methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

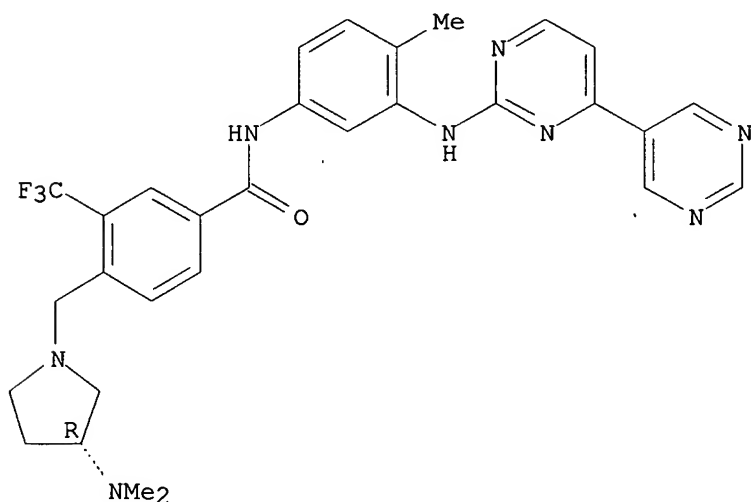


PAGE 2-A



L6 ANSWER 4 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2006:87941 CAPLUS  
 DN 144:331390  
 TI Design and synthesis of 3-substituted benzamide derivatives as Bcr-Abl kinase inhibitors  
 AU Asaki, Tetsuo; Sugiyama, Yukiteru; Hamamoto, Taisuke; Higashioka, Masaya; Umehara, Masato; Naito, Haruna; Niwa, Tomoko  
 CS Discovery Research Laboratories, Nippon Shinyaku Co, Ltd, Minami-ku, Kyoto, Kisshoin, 601-8550, Japan  
 SO Bioorganic & Medicinal Chemistry Letters (2006), 16(5), 1421-1425  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PB Elsevier B.V.  
 DT Journal  
 LA English  
 AB A series of 3-substituted benzamide derivs. structurally related to STI-571 (imatinib mesylate), a Bcr-Abl tyrosine kinase inhibitor used to treat chronic myeloid leukemia, was prepared and evaluated for antiproliferative activity against the Bcr-Abl-pos. leukemia cell line K562. About ten 3-halogenated and 3-trifluoromethyl-benzamide derivs. were identified as highly potent Bcr-Abl kinase inhibitors. One of these, NS-187, is a promising new candidate Bcr-Abl inhibitor for the therapy of STI-571-resistant chronic myeloid leukemia.  
 IT 859212-07-0P 859212-16-1P, NS-187 859212-47-8P 859212-49-0P 859212-51-4P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation N-[[bipyrimidinyl]amino](methyl)phenyl](trifluoromethyl)benzamide derivs. and study of their activity as Bcr-Abl kinase inhibitors)  
 RN 859212-07-0 CAPLUS  
 CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[3-(dimethylamino)-1-pyrrolidinyl]methyl]-3-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

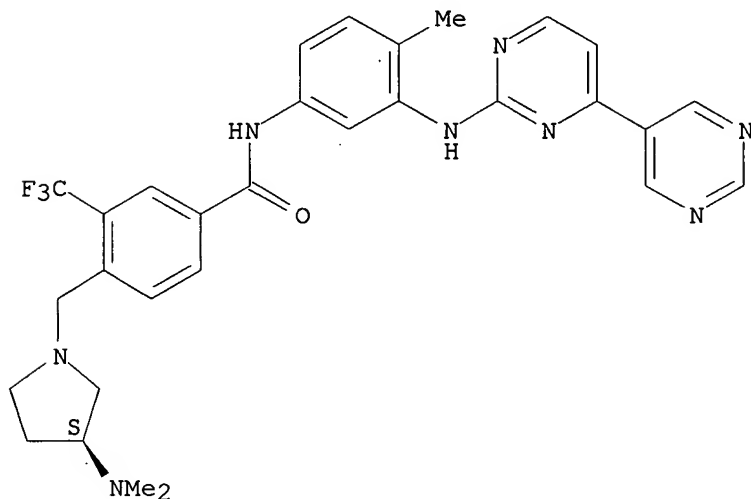


● HCl

RN 859212-16-1 CAPLUS

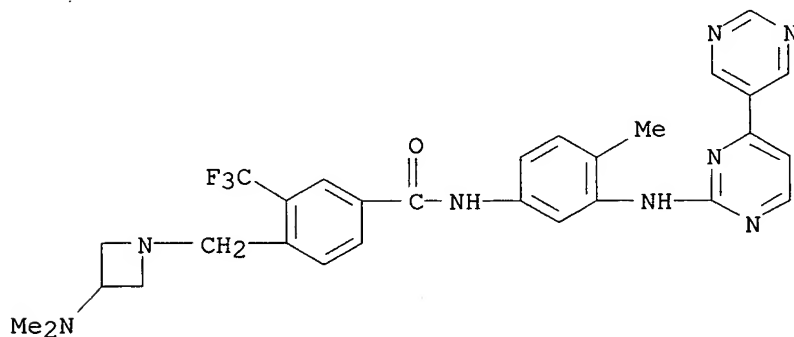
CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[ (3S)-3-(dimethylamino)-1-pyrrolidinyl]methyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 859212-47-8 CAPLUS

CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[3-(dimethylamino)-1-azetidiny]methyl]-3-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 859212-49-0 CAPLUS

CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[ (3R)-3-[(dimethylamino)methyl]-1-pyrrolidinyl]methyl]-3-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

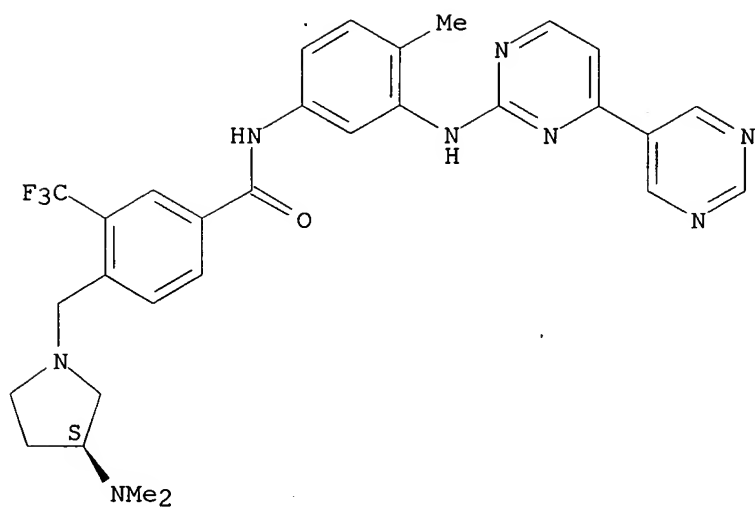
RN	859212-51-4	CAPLUS
CN	Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[ (3S)-3-[(dimethylamino)methyl]-1-pyrrolidinyl]methyl]-3-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)	

CN(C)S1CCCC1CN(Cc2ccc(cc2)C(=O)Nc3cc(C)c(Nc4nc5cccnc5n4)c3)c3cc(F)(F)Fcc3

Page 34

L6 ANSWER 5 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:1297427 CAPLUS  
 DN 144:100535  
 TI NS-187, a potent and selective dual Bcr-Abl/Lyn tyrosine kinase inhibitor,  
 is a novel agent for imatinib-resistant leukemia  
 AU Kimura, Shinya; Naito, Haruna; Segawa, Hidekazu; Kuroda, Junya; Yuasa,  
 Takeshi; Sato, Kiyoshi; Yokota, Asumi; Kamitsuji, Yuri; Kawata, Eri;  
 Ashihara, Eishi; Nakaya, Yohei; Naruoka, Haruna; Wakayama, Tatsushi; Nasu,  
 Kimio; Asaki, Tetsuo; Niwa, Tomoko; Hirabayashi, Kazuko; Maekawa, Taira  
 CS Department of Transfusion Medicine and Cell Therapy, Kyoto University  
 Hospital, Kyoto, Japan  
 SO Blood (2005), 106(12), 3948-3954  
 CODEN: BLOOAW; ISSN: 0006-4971  
 PB American Society of Hematology  
 DT Journal  
 LA English  
 AB Although the Abelson (Abl) tyrosine kinase inhibitor imatinib mesylate has  
 improved the treatment of breakpoint cluster region-Abl (Bcr-Abl)-pos.  
 leukemia, resistance is often reported in patients with advanced-stage  
 disease. Although several Src inhibitors are more effective than imatinib  
 and simultaneously inhibit Lyn, whose overexpression is associated with  
 imatinib resistance, these inhibitors are less specific than imatinib. We  
 have identified a specific dual Abl-Lyn inhibitor, NS-187 (elsewhere  
 described as CNS-9), which is 25 to 55 times more potent than imatinib in  
 vitro. NS-187 is also at least 10 times as effective as imatinib in  
 suppressing the growth of Bcr-Abl-bearing tumors and markedly extends the  
 survival of mice bearing such tumors. The inhibitory effect of NS-187  
 extends to 12 of 13 Bcr-Abl proteins with mutations in their kinase domain  
 but not to T315I. NS-187 also inhibits Lyn without affecting the  
 phosphorylation of Src, Blk, or Yes. These results suggest that NS-187  
 may be a potentially valuable novel agent to combat imatinib-resistant  
 Philadelphia-pos. (Ph+) leukemia.  
 IT 859212-16-1, NS 187  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (Benzamide; NS-187, a potent and selective dual Bcr-Abl/Lyn tyrosine  
 kinase inhibitor, is a novel agent for imatinib-resistant leukemia)  
 RN 859212-16-1 CAPLUS  
 CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[ (3S)-3-  
 (dimethylamino)-1-pyrrolidinyl]methyl]-3-(trifluoromethyl)- (9CI) (CA  
 INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:1262395 CAPLUS  
 DN 144:22936  
 TI Preparation of aminopyrimidine derivatives as aurora 2 kinase inhibitors  
 for treatment of cancer  
 IN Tomozane, Hideo; Ando, Ryoichi; Oike, Shinsuke  
 PA Mitsubishi Pharma Corporation, Japan  
 SO PCT Int. Appl., 55 pp.

CODEN: PIXXD2  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005113550	A1	20051201	WO 2005-JP9119	20050519
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRAI JP 2004-150962 A 20040520

OS MARPAT 144:22936

AB The title aminopyrimidine derivs. I [wherein R1 and R2 = independently halo, alkyl, OH, alkoxy, amino, alkylamino, or acylamino; R3 and R4 = independently H, halo, alkyl, OH, or alkoxy; R5 = H, alkyl, or acyl; R6 and R7 = independently H, halo, alkyl, OH, etc.; R8 = (un)substituted COH, CO2H, CONH2, etc.; R9 = H, alkyl, OH, alkoxy, etc.], or pharmaceutically acceptable salts, hydrates, or solvates thereof were prepared as aurora 2 kinase inhibitors for the treatment of cancer. For example, the compound II was prepared in a multi-step synthesis. II inhibited aurora 2 kinase with IC50 of 4 nM.

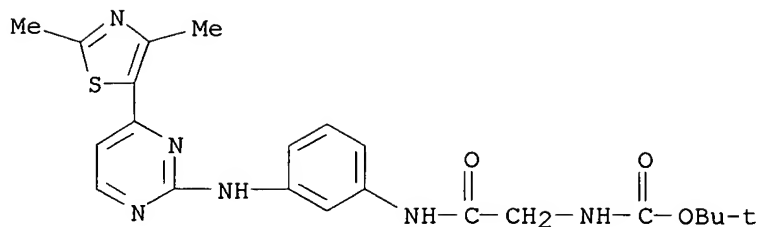
IT 870459-20-4P 870459-21-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of aminopyrimidine derivs. as aurora 2 kinase inhibitors for treatment of cancer)

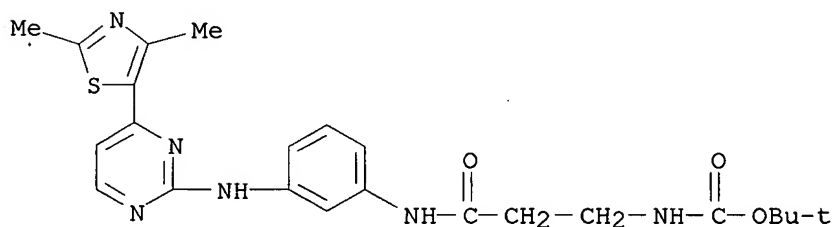
RN 870459-20-4 CAPLUS

CN Carbamic acid, [2-[[3-[[4-(2,4-dimethyl-5-thiazolyl)-2-pyrimidinyl]amino]phenyl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 870459-21-5 CAPLUS

CN Carbamic acid, [3-[[3-[[4-(2,4-dimethyl-5-thiazolyl)-2-pyrimidinyl]amino]phenyl]amino]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT 870459-15-7P 870459-16-8P 870459-22-6P

870459-26-0P 870459-27-1P 870459-28-2P

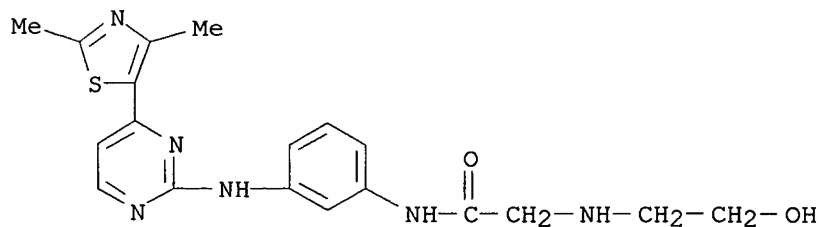
870459-57-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of aminopyrimidine derivs. as aurora 2 kinase inhibitors for treatment of cancer)

RN 870459-15-7 CAPLUS

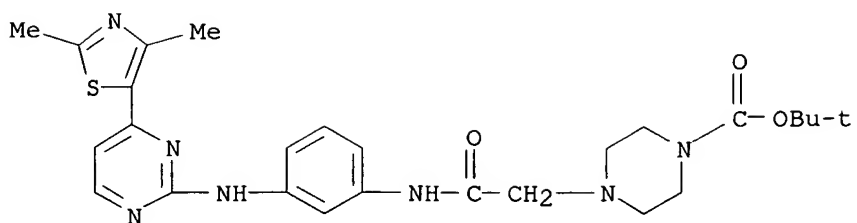
CN Acetamide, N-[3-[[4-(2,4-dimethyl-5-thiazolyl)-2-pyrimidinyl]amino]phenyl]-2-[(2-hydroxyethyl)amino]-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

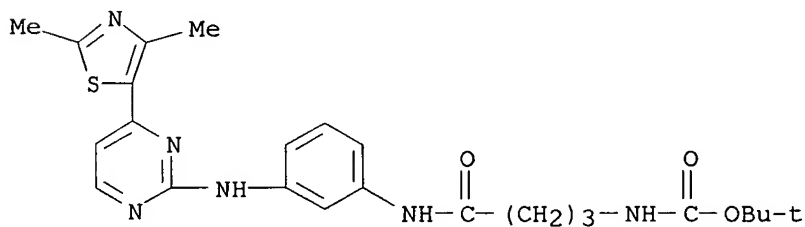
RN 870459-16-8 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2-[[3-[[4-(2,4-dimethyl-5-thiazolyl)-2-pyrimidinyl]amino]phenyl]amino]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



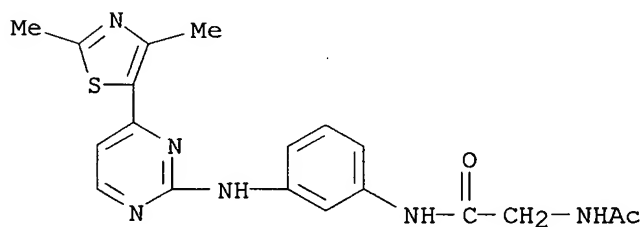
RN 870459-22-6 CAPLUS

CN Carbamic acid, [4-[[3-[[4-(2,4-dimethyl-5-thiazolyl)-2-pyrimidinyl]amino]phenyl]amino]-4-oxobutyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



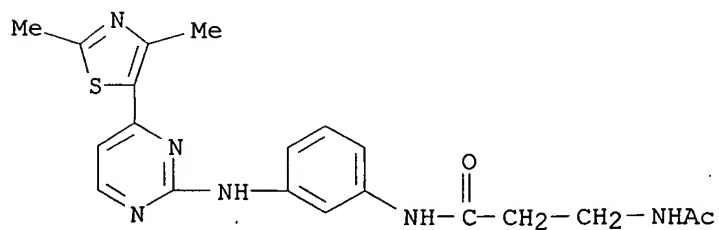
RN 870459-26-0 CAPLUS

CN Acetamide, 2-(acetylamino)-N-[3-[[4-(2,4-dimethyl-5-thiazolyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



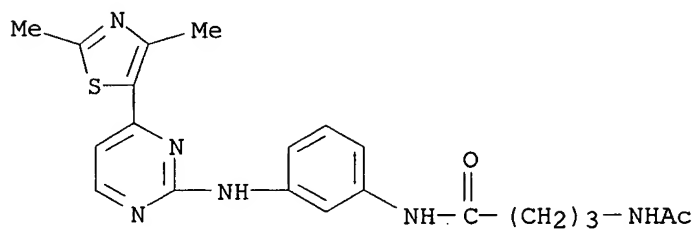
RN 870459-27-1 CAPLUS

CN Propanamide, 3-(acetylamino)-N-[3-[[4-(2,4-dimethyl-5-thiazolyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



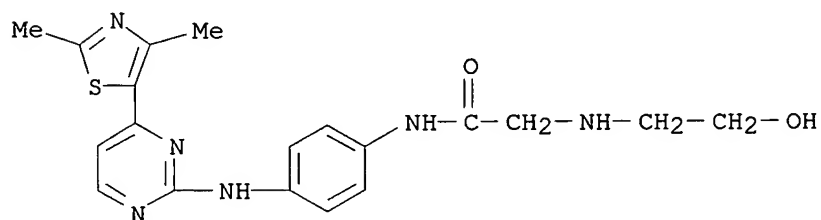
RN 870459-28-2 CAPLUS

CN Butanamide, 4-(acetylamino)-N-[3-[[4-(2,4-dimethyl-5-thiazolyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 870459-57-7 CAPLUS

CN Acetamide, N-[4-[[4-(2,4-dimethyl-5-thiazolyl)-2-pyrimidinyl]amino]phenyl]-2-[(2-hydroxyethyl)amino]-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:1261052 CAPLUS

DN 144:6809

TI Preparation of thiophene-substituted pyrimidineamines as protein kinase inhibitors for the treatment of cancer

IN Guan, Huiping; Sun, Connie Li; Liang, Congxin; Johnson, Joanne; Bourdon, Lisa Helen; Song, Ren Hua; Zhichkin, Pavel

PA Sugan, Inc., USA

SO PCT Int. Appl., 69 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005113548	A1	20051201	WO 2005-IB1341	20050509
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRAI US 2004-573139P

P

20040520

OS MARPAT 144:6809

AB Title compds. I [one of Y, Z = N and the other is C; one of A1-4 is S and the others are C; each G1-4 = H, R5 except that one of A1-4 is S, has no G group attached and two adjacent G groups can optionally combine to form a 5 or 6 membered (hetero)aryl or (hetero)aliphatic ring; R1 = H, alkyl; R2 = heteroaryl, etc.; R5 = alk(en/yn)yl, cycloalkyl, etc.] are prepared For instance, 4-((5-(thiophene-3-yl)pyrimidin-2-yl)amino)phenol (II) is prepared from 2-fluoro-5-(thiophene-3-yl)pyrimidine (preparation given) and 4-aminophenol (IPA, i-Pr<sub>2</sub>NEt, 70°). II has IC<sub>50</sub> = 4.50 μM for Flk-1 kinase and IC<sub>50</sub> = 0.52 μM for PDGF receptor kinase. I are useful for the treatment of cancer.

IT 870096-25-6P 870096-28-9P 870096-58-5P

870096-65-4P 870096-66-5P 870096-68-7P

870096-69-8P 870096-70-1P

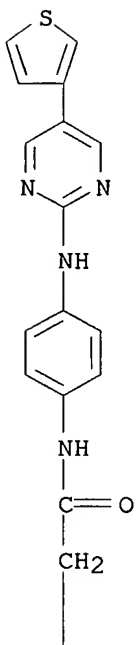
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thiophene-substituted pyrimidineamines as Flk-1 kinase and PDGF receptor kinase inhibitors for the treatment of cancer)

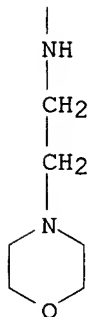
RN 870096-25-6 CAPLUS

CN Acetamide, 2-[[2-(4-morpholinyl)ethyl]amino]-N-[4-[[5-(3-thienyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

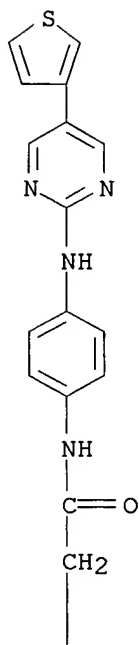


PAGE 2-A

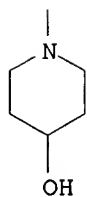


RN 870096-28-9 CAPLUS  
 CN 1-Piperidineacetamide, 4-hydroxy-N-[4-[[5-(3-thienyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

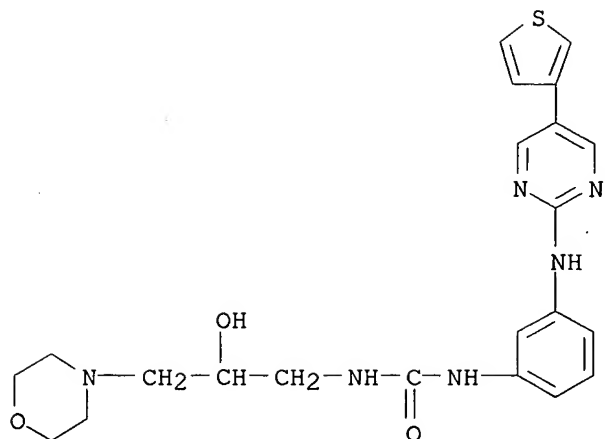
PAGE 1-A



PAGE 2-A

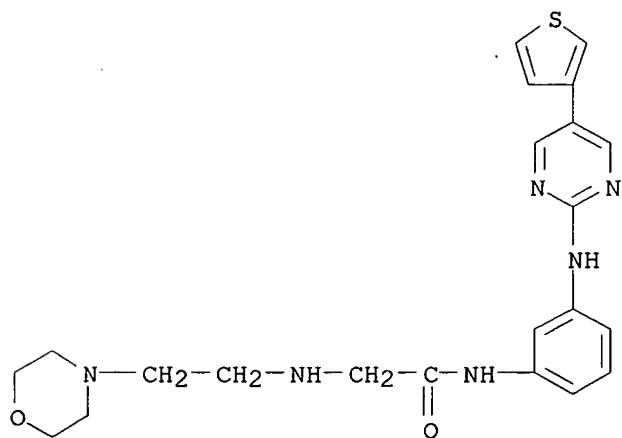


RN 870096-58-5 CAPLUS  
CN Urea, N-[2-hydroxy-3-(4-morpholinyl)propyl]-N'-[3-[[5-(3-thienyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



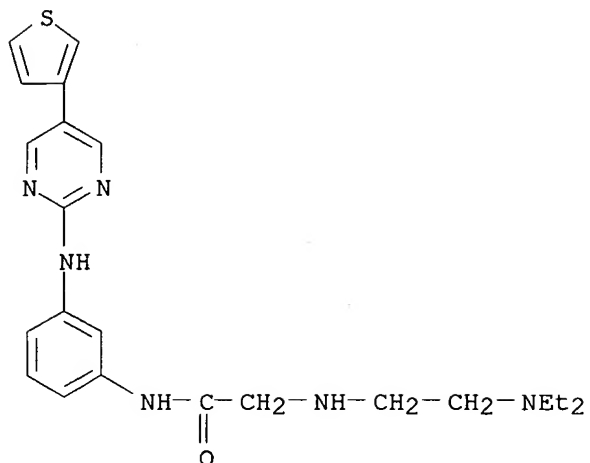
RN 870096-65-4 CAPLUS

CN Acetamide, 2-[[2-(4-morpholinyl)ethyl]amino]-N-[3-[[5-(3-thienyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



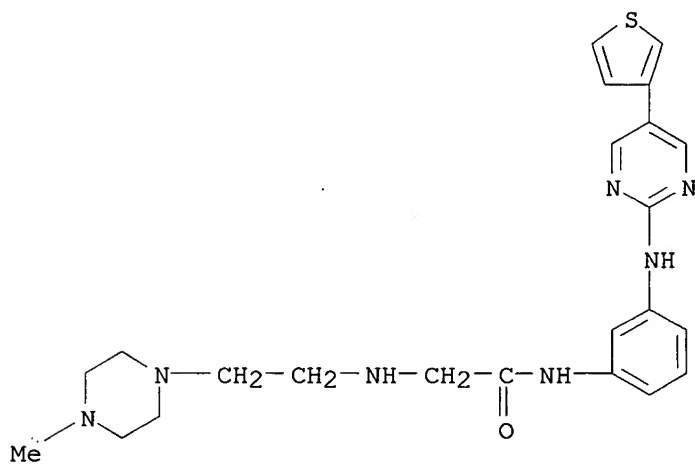
RN 870096-66-5 CAPLUS

CN Acetamide, 2-[[2-(diethylamino)ethyl]amino]-N-[3-[[5-(3-thienyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



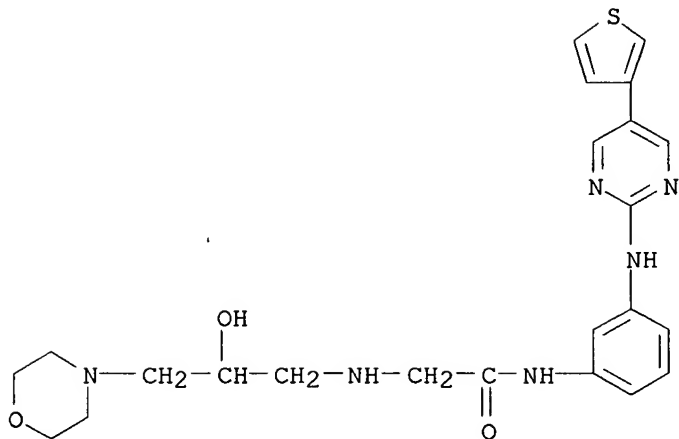
RN 870096-68-7 CAPLUS

CN Acetamide, 2-[[2-(4-methyl-1-piperazinyl)ethyl]amino]-N-[3-[[5-(3-thienyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



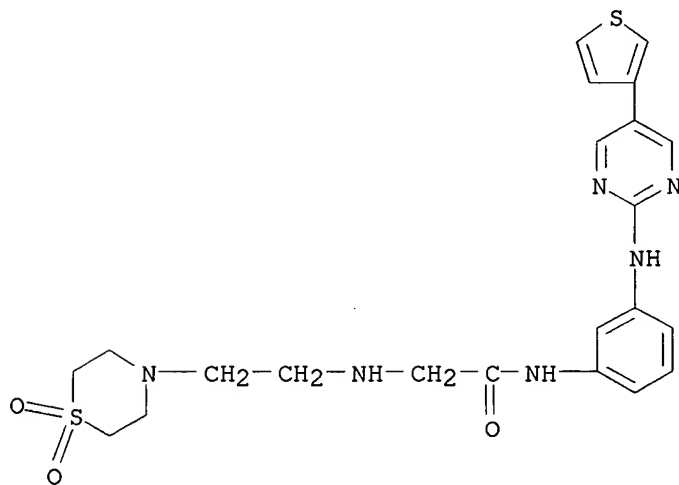
RN 870096-69-8 CAPLUS

CN Acetamide, 2-[[2-hydroxy-3-(4-morpholinyl)propyl]amino]-N-[3-[[5-(3-thienyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



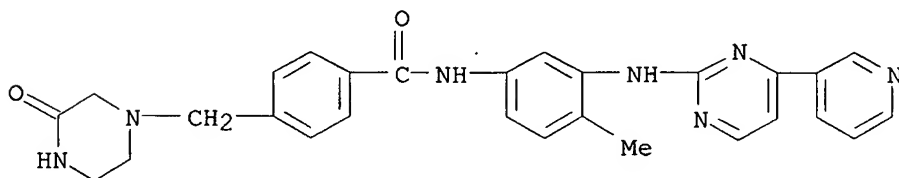
RN 870096-70-1 CAPLUS

CN Acetamide, 2-[[2-[[2-(1,1-dioxido-4-thiomorpholinyl)ethyl]amino]-N-[3-[[5-(3-thienyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:1068885 CAPLUS  
 DN 143:338914  
 TI Metabolism and disposition of imatinib mesylate in healthy volunteers  
 AU Gschwind, Hans-Peter; Pfaar, Ulrike; Waldmeier, Felix; Zollinger, Markus;  
 Sayer, Claudia; Zbinden, Peter; Hayes, Michael; Pokorny, Rolf; Seiberling,  
 Michael; Ben-Am, Monique; Peng, Bin; Gross, Gerhard  
 CS Exploratory Development/Drug Metabolism & Pharmacokinetics, Novartis  
 Pharma AG, Basel, Switz.  
 SO Drug Metabolism and Disposition (2005), 33(10), 1503-1512  
 CODEN: DMDSAI; ISSN: 0090-9556  
 PB American Society for Pharmacology and Experimental Therapeutics  
 DT Journal  
 LA English  
 AB Imatinib mesylate (GLEEVEC, GLIVEC, formerly STI571) has demonstrated  
 unprecedented efficacy as first-line therapy for treatment for all phases  
 of chronic myelogenous leukemia and metastatic and unresectable malignant  
 gastrointestinal stromal tumors. Disposition and biotransformation of  
 imatinib were studied in four male healthy volunteers after a single oral  
 dose of 239 mg of <sup>14</sup>C-labeled imatinib mesylate. Biol. fluids were  
 analyzed for total radioactivity, imatinib, and its main metabolite  
 CGP74588. Metabolite patterns were determined by radio-high-performance liquid  
 chromatog. with off-line microplate solid scintillation counting and  
 characterized by liquid chromatog.-mass spectrometry. Imatinib treatment  
 was well tolerated without serious adverse events. Absorption was rapid  
 (t<sub>max</sub> 1-2 h) and complete with imatinib as the major radioactive compound in  
 plasma. Maximum plasma concns. were 0.921±0.095 µg/mL (mean ±  
 S.D., n = 4) for imatinib and 0.115±0.026 µg/mL for the pharmacol.  
 active N-desmethyl metabolite (CGP74588). Mean plasma terminal  
 elimination half-lives were 13.5±0.9 h for imatinib, 20.6±1.7 h for  
 CGP74588, and 57.3±12.5 h for <sup>14</sup>C radioactivity. Imatinib was  
 predominantly cleared through oxidative metabolism Approx. 65 and 9% of total  
 systemic exposure [AUC<sub>0-24 h</sub> (area under the concentration time curve) of  
 radioactivity] corresponded to imatinib and CGP74588, resp. The remaining  
 proportion corresponded mainly to oxidized derivs. of imatinib and  
 CGP74588. Imatinib and its metabolites were excreted predominantly via  
 the biliary-fecal route. Excretion of radioactivity was slow with a mean  
 radiocarbon recovery of 80% within 7 days (67% in feces, 13% in urine).  
 Approx. 28 and 13% of the dose in the excreta corresponded to imatinib and  
 CGP74588, resp.  
 IT 571186-94-2, APG 049  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (metabolism and disposition of imatinib mesylate in healthy volunteers)  
 RN 571186-94-2 CAPLUS  
 CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-  
 [(3-oxo-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:614536 CAPLUS  
 DN 143:115392  
 TI Preparation of conjugated small molecules for diagnostic and therapeutic use  
 IN Grotzfeld, Robert M.; Milanov, Zdravko V.; Patel, Hitesh K.; Lai, Andiliy G.; Mehta, Shamal A.; Lockhart, David J.  
 PA Ambit Biosciences Corp., USA  
 SO U.S. Pat. Appl. Publ., 63 pp.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005153371	A1	20050714	US 2005-31638	20050107
	WO 2005067644	A2	20050728	WO 2005-US456	20050107
	WO 2005067644	A3	20051013		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2004-535173P P 20040107  
 US 2004-557941P P 20040330

AB Provided herein are linker compds. and conjugates that include the linker compds. In one embodiment, the linker compds. comprise 2 or 3 residues of 6-aminohexanoic acid and optionally 7-10 residues of polyethyleneglycol (PEG). The linker compds. are useful in forming conjugates with one or more components useful in biopharmaceutical or bioanal. applications. In particular, the biopharmaceutically useful compds. are kinase inhibitors. The conjugates described herein have utility in a variety of diagnostic, separation, and therapeutic applications. Thus, I was prepared from SB 202190, PEG-azide and the biotin-linker compound

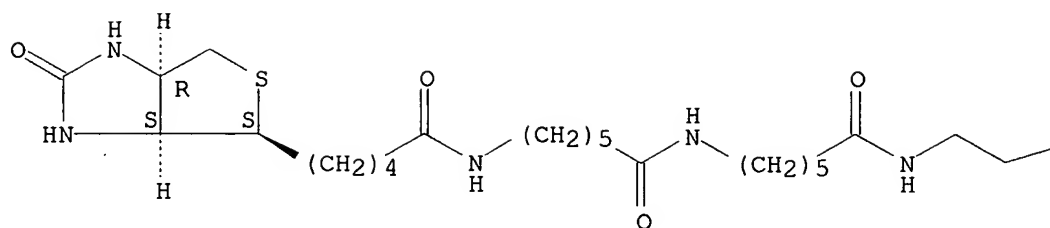
IT 857892-08-1P 857892-09-2P 857892-10-5P  
 RL: DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of conjugated biotins for diagnostic and therapeutic use)

RN 857892-08-1 CAPLUS

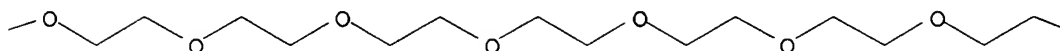
CN 1H-Thieno[3,4-d]imidazole-4-pentanamide, hexahydro-N-[37-[4-[[4-[[[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]amino]carbonyl]phenyl]methyl]-1-piperazinyl]-6,13-dioxo-17,20,23,26,29,32,35-hepta-7,14-diazaheptatriacont-1-yl]-2-oxo-, (3aS,4S,6aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

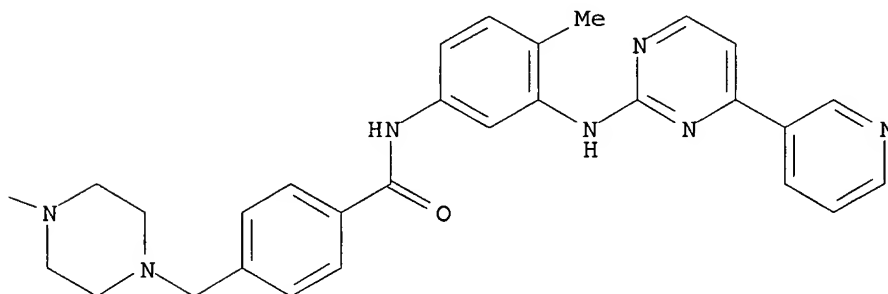
PAGE 1-A



PAGE 1-B



. PAGE 1-C

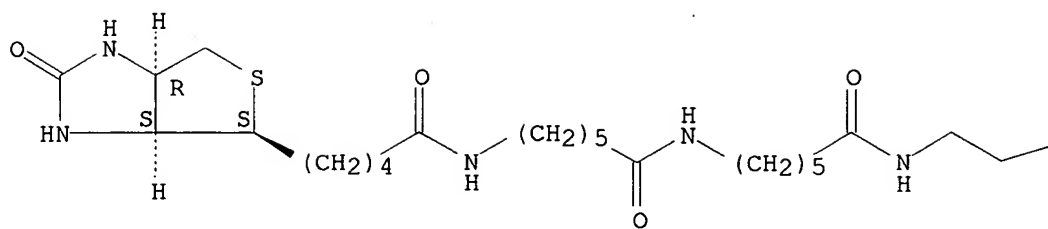


RN 857892-09-2 CAPLUS

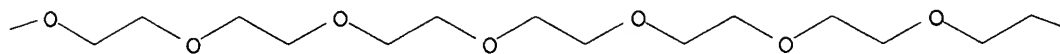
CN 1,4-Benzenedicarboxamide, N-[49-[(3aS,4S,6aR)-hexahydro-2-oxo-1H-thieno[3,4-d]imidazol-4-yl]-31,38,45-trioxo-3,6,9,12,15,18,21,24,27-nona-30,37,44-triazanonatetracont-1-yl]-N'-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

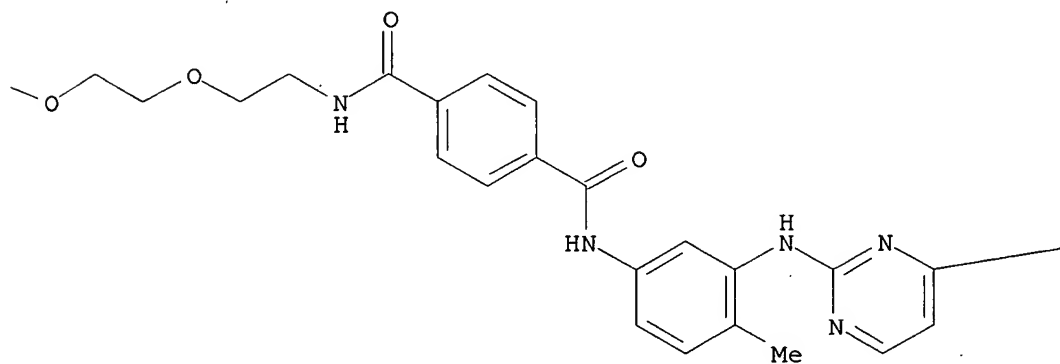
PAGE 1-A



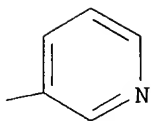
PAGE 1-B



PAGE 1-C



PAGE 1-D

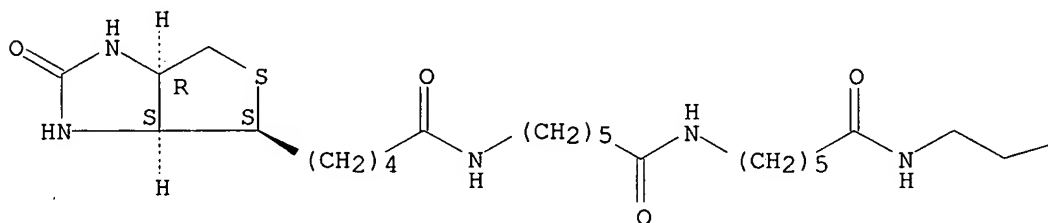


RN 857892-10-5 CAPLUS

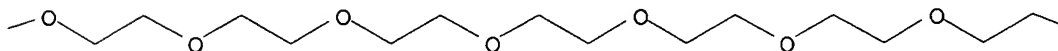
CN 1H-Thieno[3,4-d]imidazole-4-pentanamide, hexahydro-N-[45-[[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]amino]-6,13,45-trioxo-17,20,23,26,29,32,35,38,41-nonaoxa-7,14,44-triazapentatetracont-1-yl]-2-oxo-, (3aS,4S,6aR)- (9CI) (CA INDEX NAME)

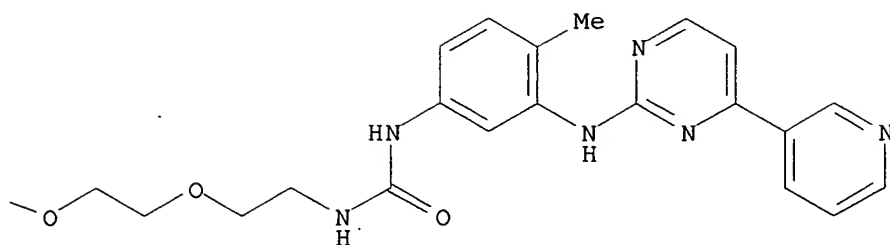
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B





L6 ANSWER 10 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:612254 CAPLUS  
 DN 143:133396  
 TI Preparation of heterocyclyl moiety-containing amides as BCR-ABL tyrosine kinase inhibitors  
 IN Asaki, Tetsuo; Sugiyama, Yukiteru; Segawa, Jun  
 PA Nippon Shinyaku Co., Ltd., Japan  
 SO PCT Int. Appl., 168 pp.

CODEN: PIXXD2

DT Patent  
 LA Japanese  
 FAN GNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005063709	A1	20050714	WO 2004-JP19553	20041227
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRAI JP 2003-431398 A 20031225

OS MARPAT 143:133396

AB The title compds. I (R1 represents CH2R11 (R11 represents a nitrogenous saturated heterocyclic group), etc.; R2 represents alkyl, halogeno, haloalkyl, etc.; R3 represents hydrogen, halogeno, alkoxy; Het1 represents Q1, etc.; and Het2 represents pyrimidinyl, etc.) are prepared Thus 3-difluoromethyl-4-(4-methylpiperazin-1-ylmethyl)-N-[4-methyl-3-[4-(5-pyrimidinyl)pyrimidin-2-ylamino]phenyl]benzamide was prepared from 4-methyl-3-[4-(5-pyrimidinyl)pyrimidin-2-ylamino]aniline and 3-difluoromethyl-4-(4-methylpiperazin-1-ylmethyl)benzoyl chloride HCl salt. In an assay (for cell proliferation inhibiting activity) using K562 cells, compds. of this invention showed IC50 values of < 0.00001  $\mu$ M to 0.001  $\mu$ M. Formulations are given.

IT 859211-70-4P 859212-00-3P 859212-04-7P  
 859212-18-3P 859212-22-9P 859212-30-9P  
 859212-46-7P 859213-65-3P

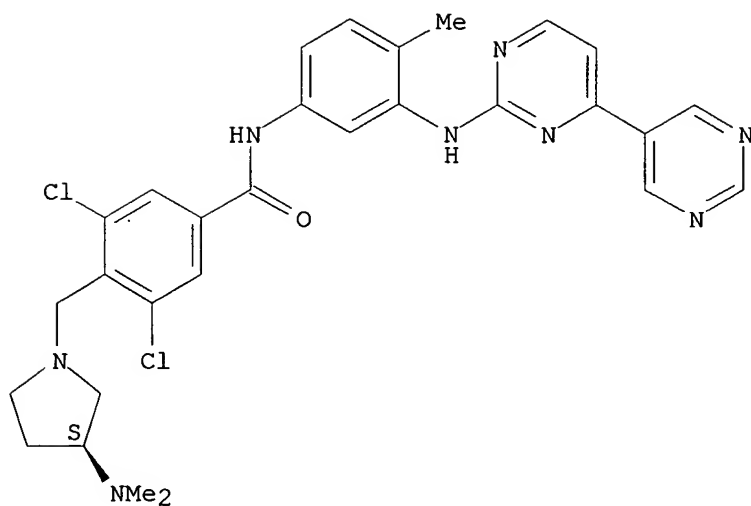
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of heterocyclyl moiety-containing amides as BCR-ABL tyrosine kinase inhibitors)

RN 859211-70-4 CAPLUS

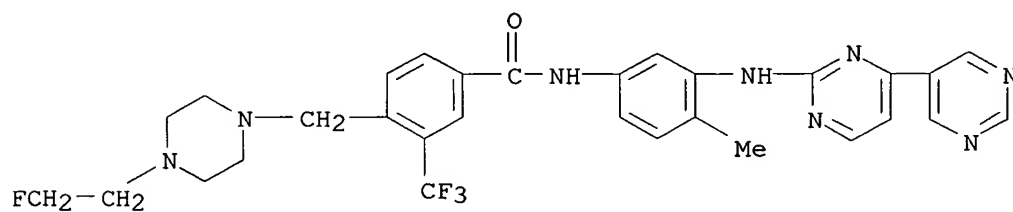
CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-3,5-dichloro-4-[[[(3S)-3-(dimethylamino)-1-pyrrolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



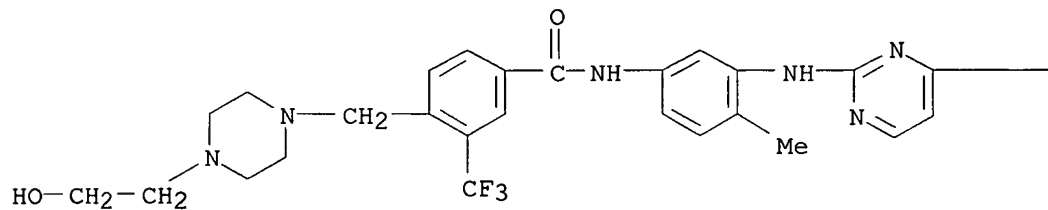
RN 859212-00-3 CAPLUS

CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[4-(2-fluoroethyl)-1-piperazinyl]methyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

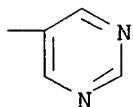


RN 859212-04-7 CAPLUS

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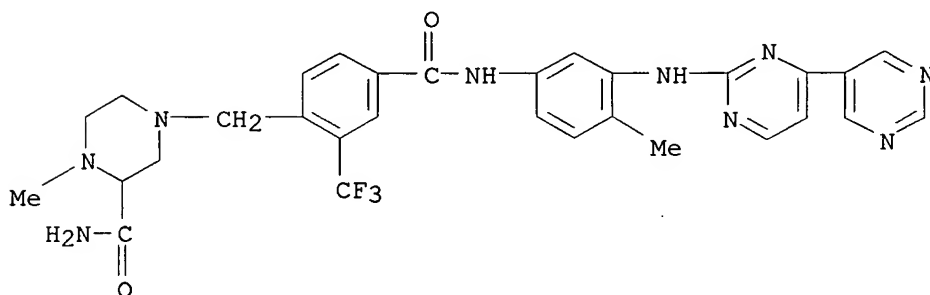


PAGE 1-A



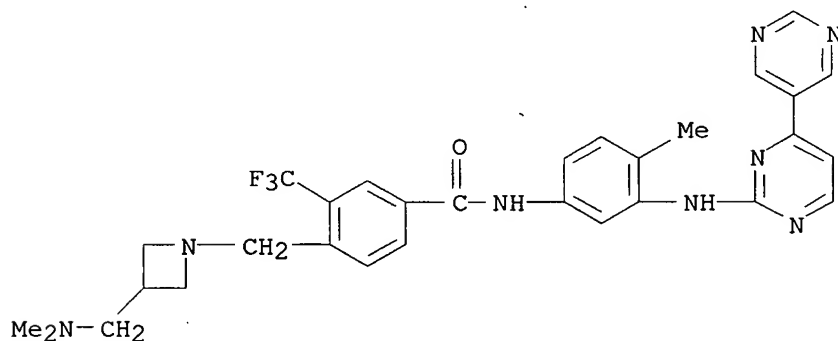
RN 859212-18-3 CAPLUS

CN 2-Piperazinecarboxamide, 4-[[4-[[[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]amino]carbonyl]-2-(trifluoromethyl)phenyl]methyl]-1-methyl- (9CI) (CA INDEX NAME)



RN 859212-22-9 CAPLUS

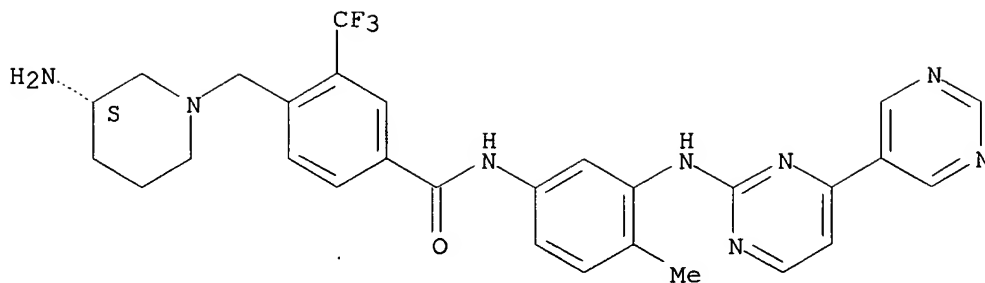
CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[3-[(dimethylamino)methyl]-1-azetidiny]methyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 859212-30-9 CAPLUS

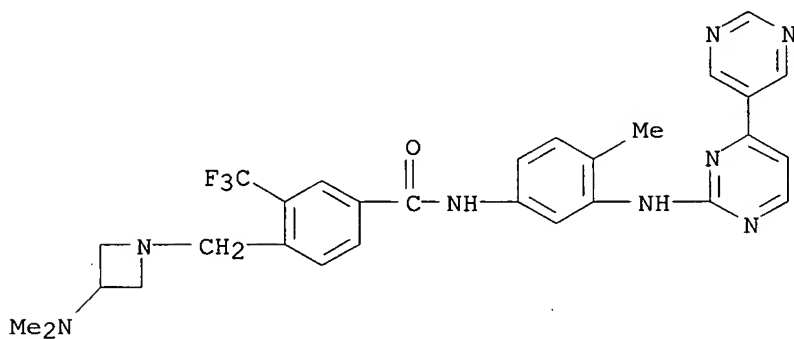
CN Benzamide, 4-[[[(3S)-3-amino-1-piperidinyl]methyl]-N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 859212-46-7 CAPLUS

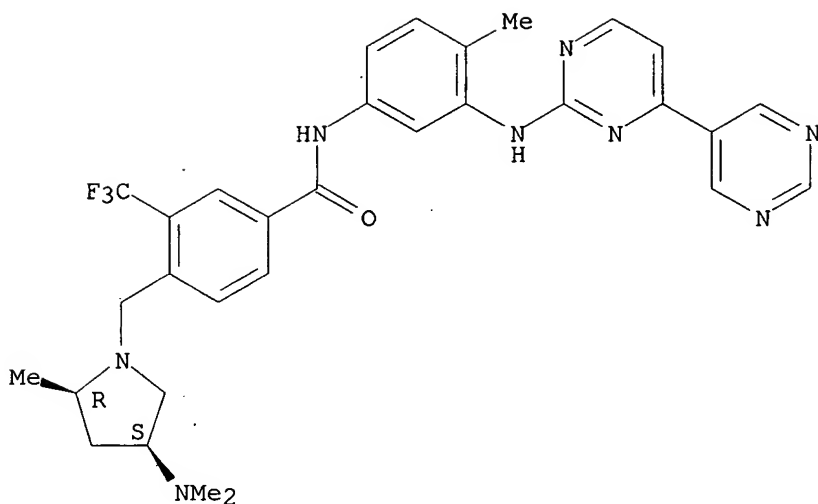
CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[3-(dimethylamino)-1-azetidiny]methyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 859213-65-3 CAPLUS

CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[ (2R,4S)-4-(dimethylamino)-2-methyl-1-pyrrolidinyl]methyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



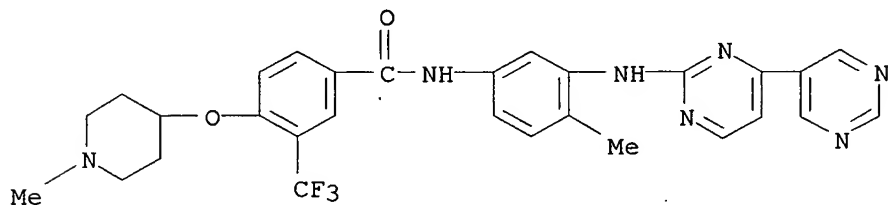
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(preparation of heterocyclcyl moiety-containing amides as BCR-ABL tyrosine  
 kinase inhibitors)

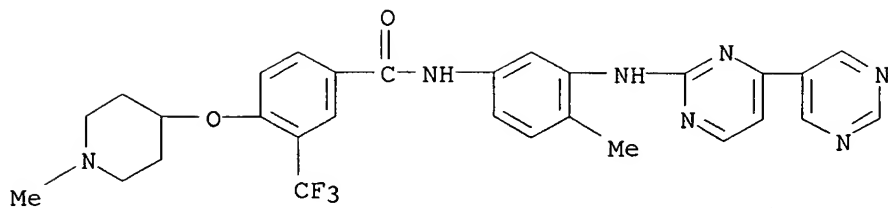
RN 8592111-68-0 CAPLUS

CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[(1-  
 methyl-4-piperidinyl)oxy]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 8592111-69-1 CAPLUS

CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[(1-  
 methyl-4-piperidinyl)oxy]-3-(trifluoromethyl)-, monohydrochloride (9CI)  
 (CA INDEX NAME)

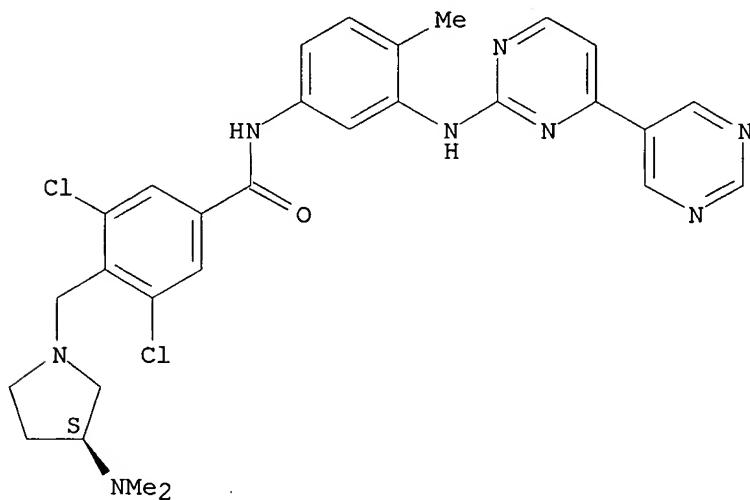


● HCl

RN 859211-71-5 . CAPLUS

CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-3,5-dichloro-4-[[ (3S)-3-(dimethylamino)-1-pyrrolidinyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

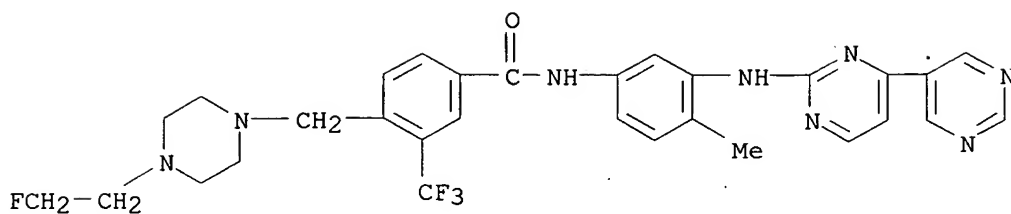
Absolute stereochemistry. Rotation (-).



● HCl

RN 859212-02-5 CAPLUS

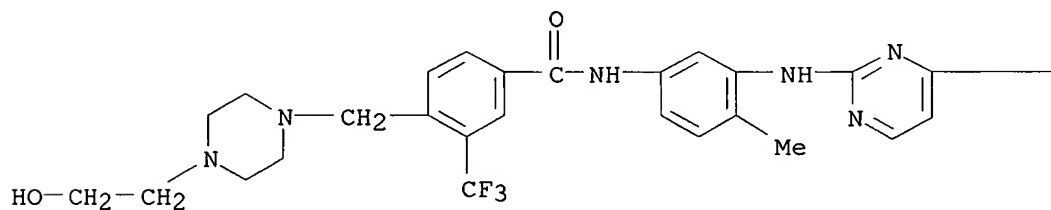
CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[4-(2-fluoroethyl)-1-piperazinyl]methyl]-3-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

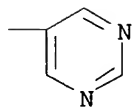
RN 859212-06-9 CAPLUS  
 CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[4-(2-hydroxyethyl)-1-piperazinyl]methyl]-3-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



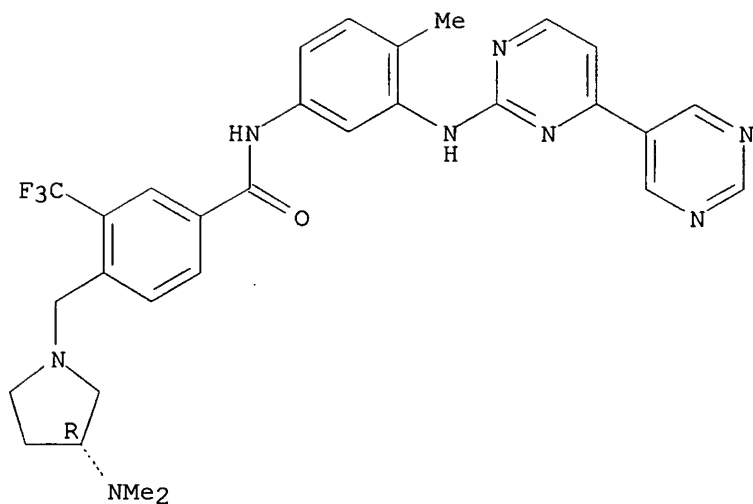
● HCl

PAGE 1-B



RN 859212-07-0 CAPLUS  
 CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[[(3R)-3-(dimethylamino)-1-pyrrolidinyl]methyl]-3-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

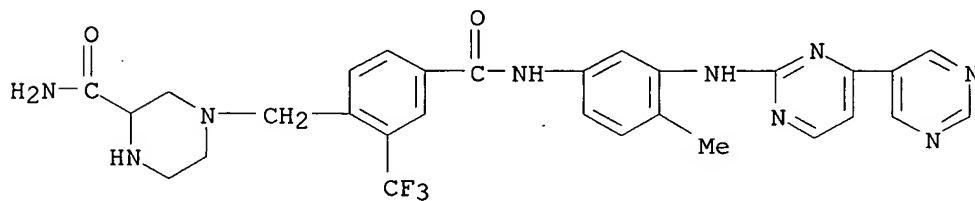
Absolute stereochemistry.



● HCl

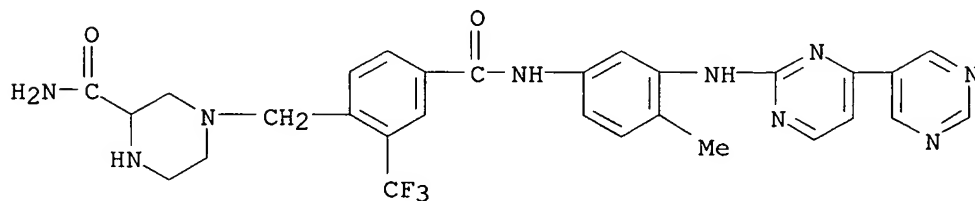
RN 859212-13-8 CAPLUS

CN 2-Piperazinecarboxamide, 4-[[4-[[[3-(4,5'-bipyrimidin)-2-ylamino)-4-methylphenyl]amino]carbonyl]-2-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 859212-14-9 CAPLUS

CN 2-Piperazinecarboxamide, 4-[[4-[[[3-(4,5'-bipyrimidin)-2-ylamino)-4-methylphenyl]amino]carbonyl]-2-(trifluoromethyl)phenyl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

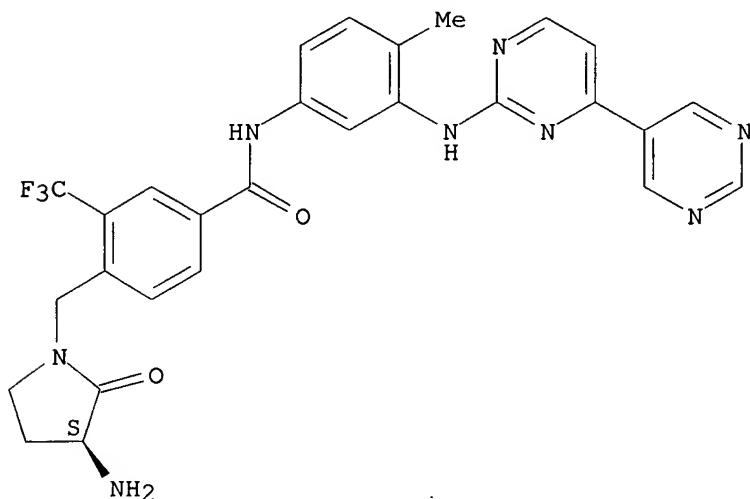


● HCl

RN 859212-15-0 CAPLUS

CN Benzamide, 4-[[ (3S)-3-amino-2-oxo-1-pyrrolidinyl]methyl]-N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

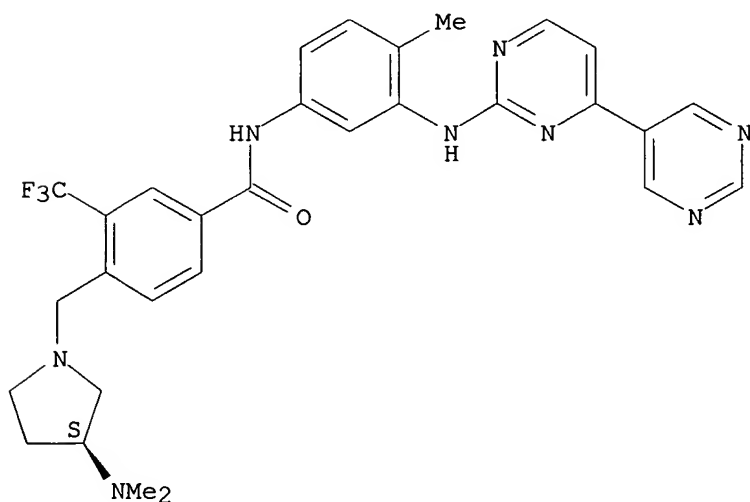
Absolute stereochemistry. Rotation (-).



RN 859212-16-1 CAPLUS

CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[ (3S)-3-(dimethylamino)-1-pyrrolidinyl]methyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

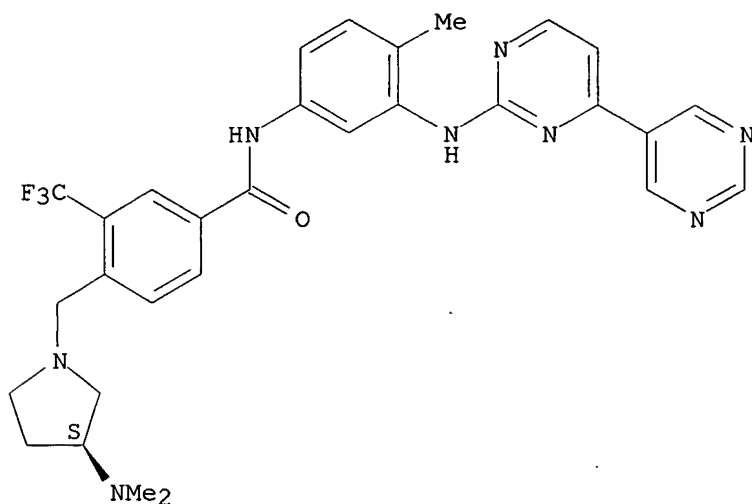


RN 859212-17-2 CAPLUS

CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[ (3S)-3-(dimethylamino)-1-pyrrolidinyl]methyl]-3-(trifluoromethyl)-,

monohydrochloride (9CI) (CA INDEX NAME)

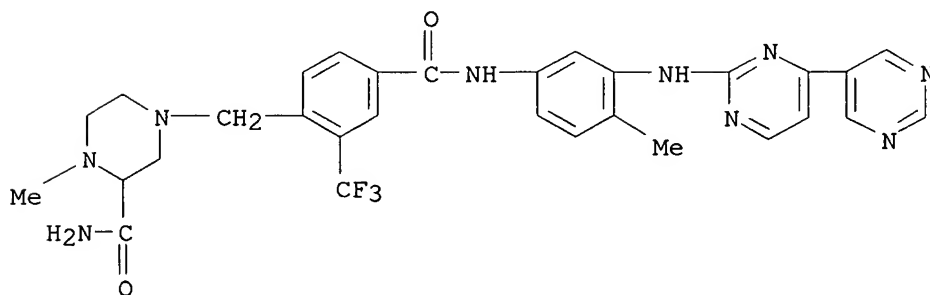
Absolute stereochemistry. Rotation (-).



● HCl

RN 859212-19-4 CAPLUS

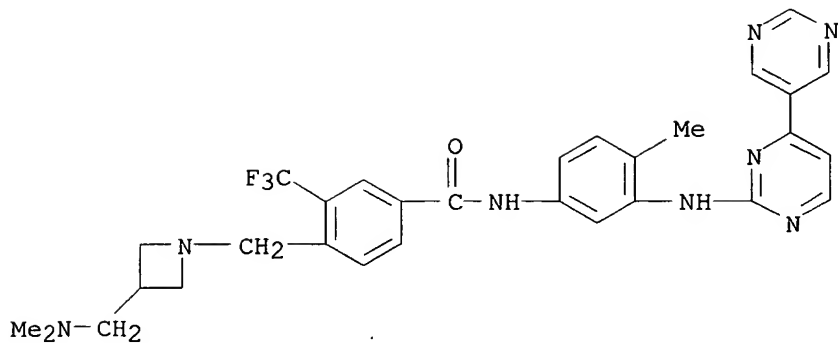
CN 2-Piperazinecarboxamide, 4-[[4-[[[3-(4,5'-bipyrimidin-2-ylamino)-4-methylphenyl]amino]carbonyl]-2-(trifluoromethyl)phenyl]methyl]-1-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 859212-23-0 CAPLUS

CN Benzamide, N-[3-(4,5'-bipyrimidin-2-ylamino)-4-methylphenyl]-4-[[3-[(dimethylamino)methyl]-1-azetidinyl]methyl]-3-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

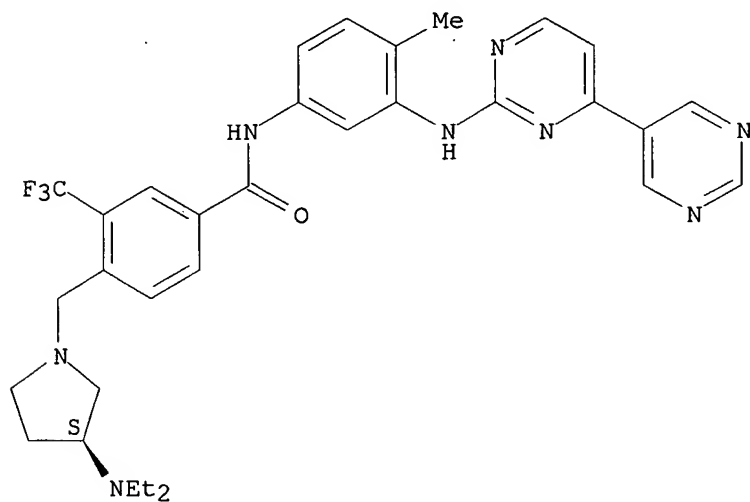


● HCl

RN 859212-26-3 CAPLUS

CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[ (3S)-3-(diethylamino)-1-pyrrolidinylmethyl]-3-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

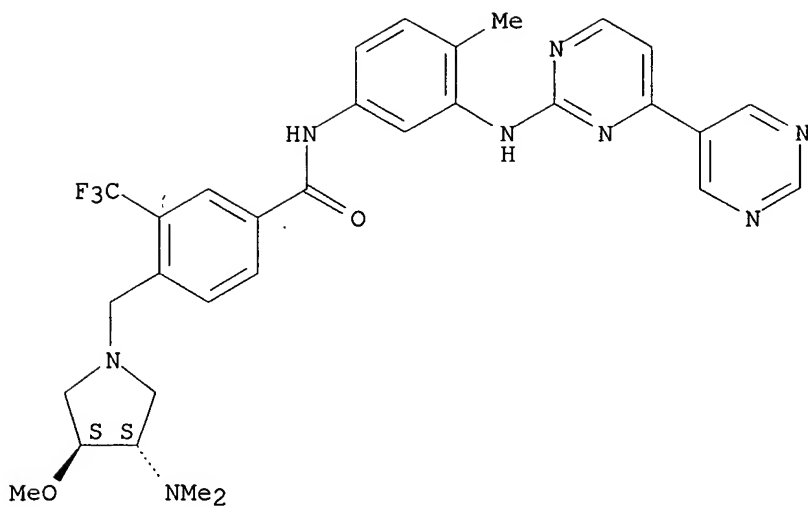


● HCl

RN 859212-28-5 CAPLUS

CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[ (3S,4S)-3-(dimethylamino)-4-methoxy-1-pyrrolidinylmethyl]-3-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

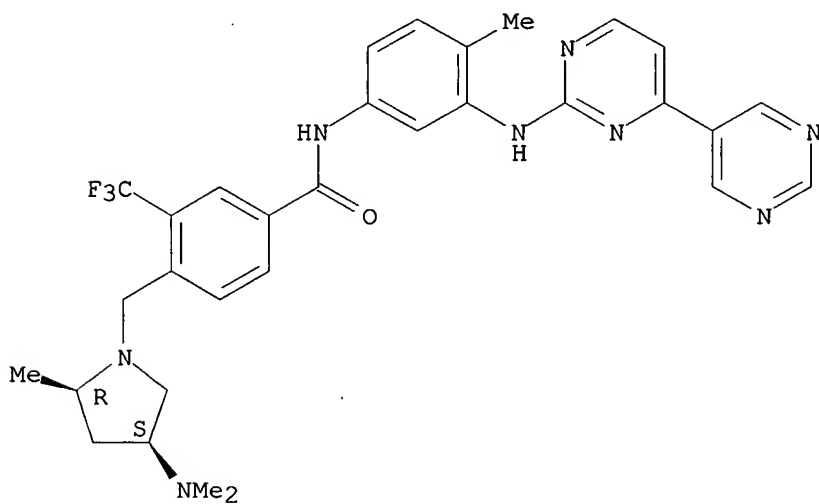


● HCl

RN 859212-29-6 CAPLUS

CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[ (2R,4S)-4-(dimethylamino)-2-methyl-1-pyrrolidinyl]methyl]-3-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



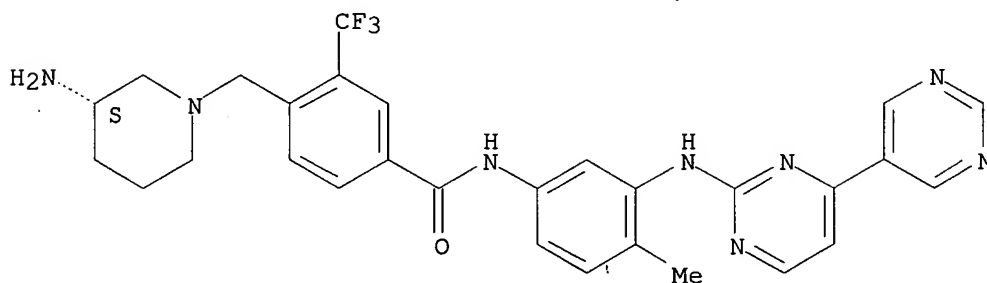
● HCl

RN 859212-31-0 CAPLUS

CN Benzamide, 4-[[ (3S)-3-amino-1-piperidinyl]methyl]-N-[3-([4,5'-bipyrimidin]-

2-ylamino)-4-methylphenyl]-3-(trifluoromethyl)-, monohydrochloride (9CI)  
(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

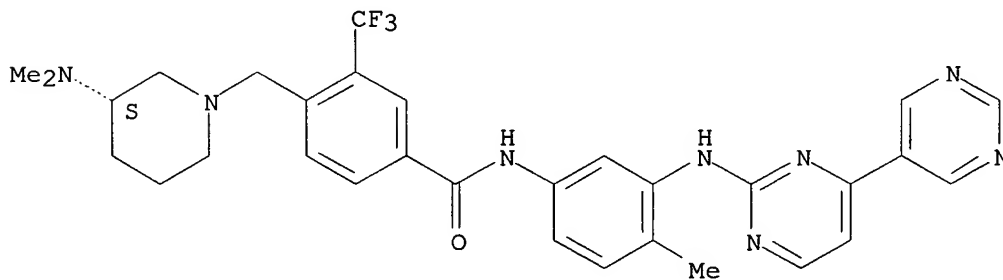


● HCl

RN 859212-32-1 CAPLUS

CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[ (3S)-3-(dimethylamino)-1-piperidinyl]methyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

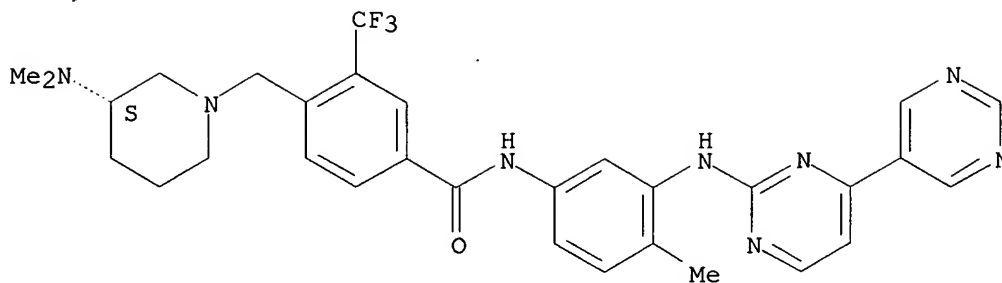
Absolute stereochemistry. Rotation (+).



RN 859212-33-2 CAPLUS

CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[ (3S)-3-(dimethylamino)-1-piperidinyl]methyl]-3-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

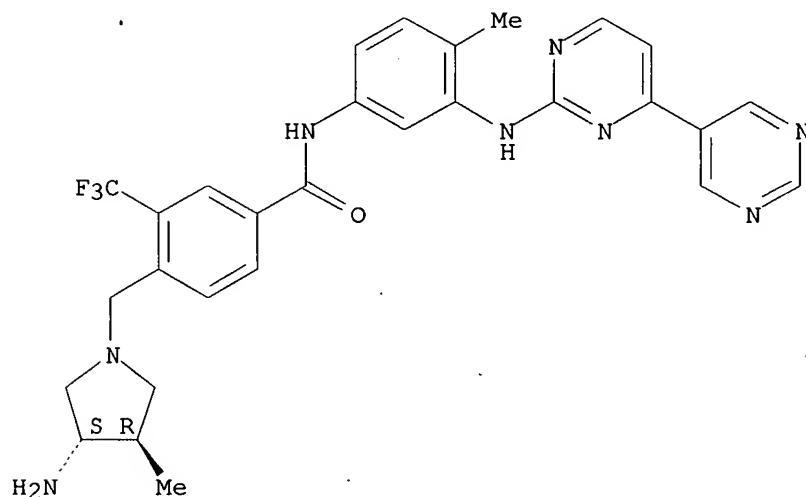


● HCl

RN 859212-34-3 CAPLUS

CN Benzamide, 4-[[ (3S,4R)-3-amino-4-methyl-1-pyrrolidinyl]methyl]-N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

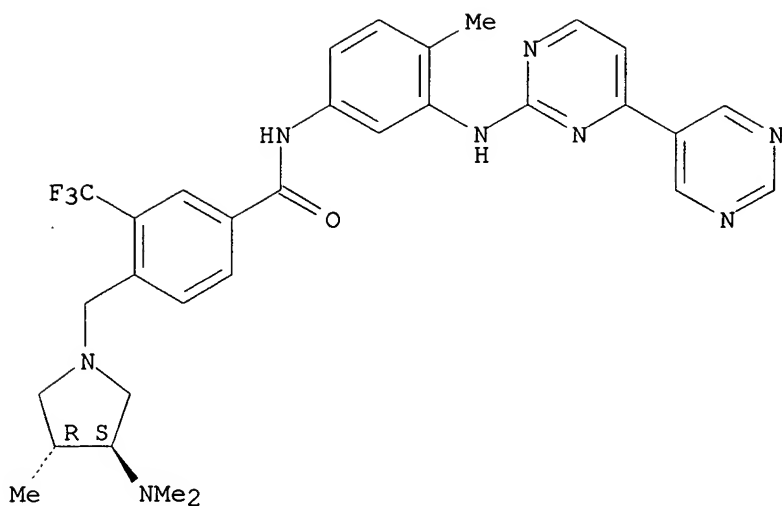
Absolute stereochemistry.



RN 859212-35-4 CAPLUS

CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[ (3S,4R)-3-(dimethylamino)-4-methyl-1-pyrrolidinyl]methyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

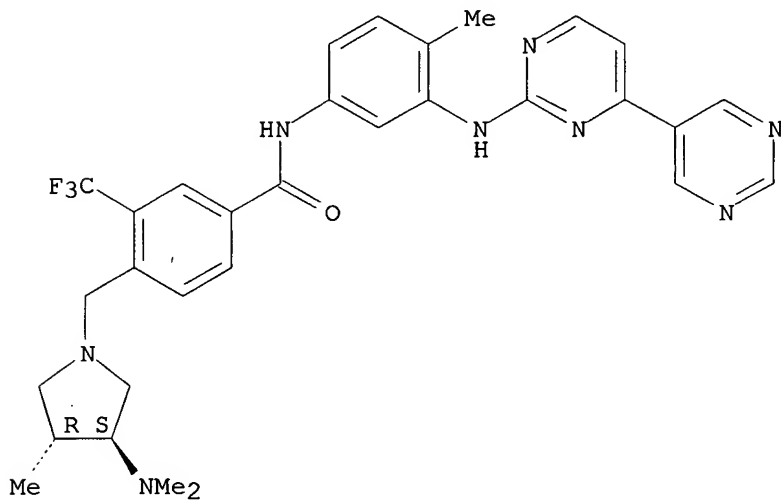
Absolute stereochemistry. Rotation (-).



RN 859212-36-5 CAPLUS

CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[ (3S,4R)-3-(dimethylamino)-4-methyl-1-pyrrolidinyl]methyl]-3-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

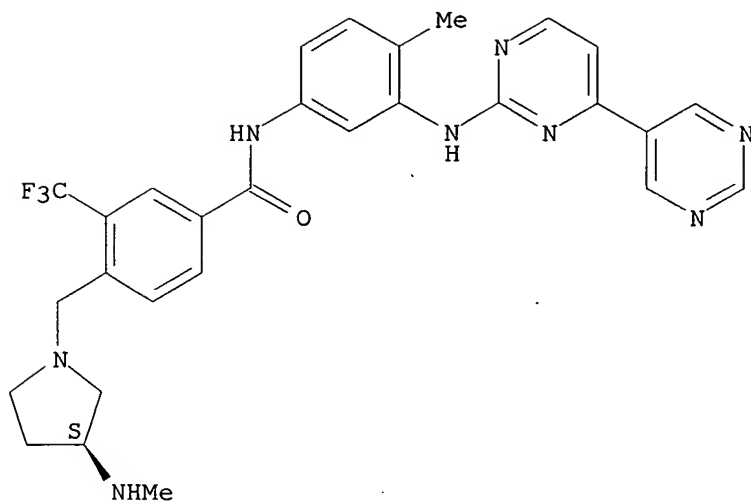


● HCl

RN 859212-37-6 CAPLUS

CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[ (3S)-3-(methylamino)-1-pyrrolidinyl]methyl]-3-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

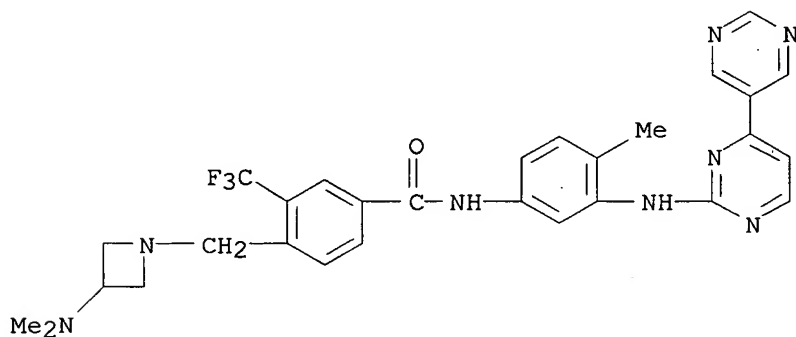
Absolute stereochemistry. Rotation (-).



● HCl

RN 859212-47-8 CAPLUS

CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[3-(dimethylamino)-1-azetidinyl]methyl]-3-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

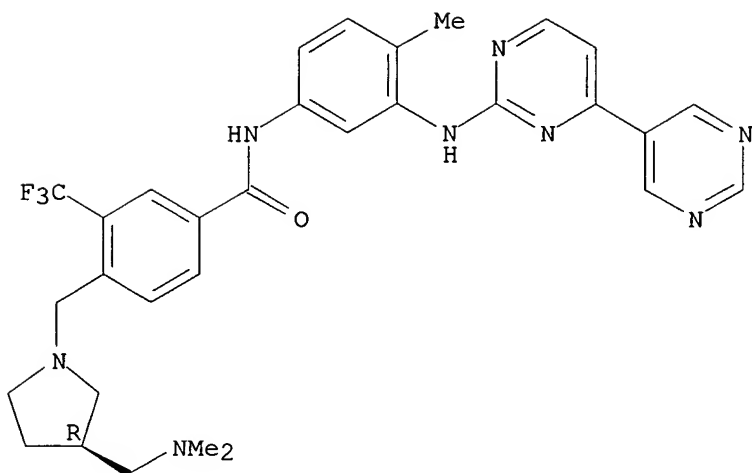


● HCl

RN 859212-48-9 CAPLUS

CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[3-(dimethylamino)-1-pyrrolidinyl]methyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

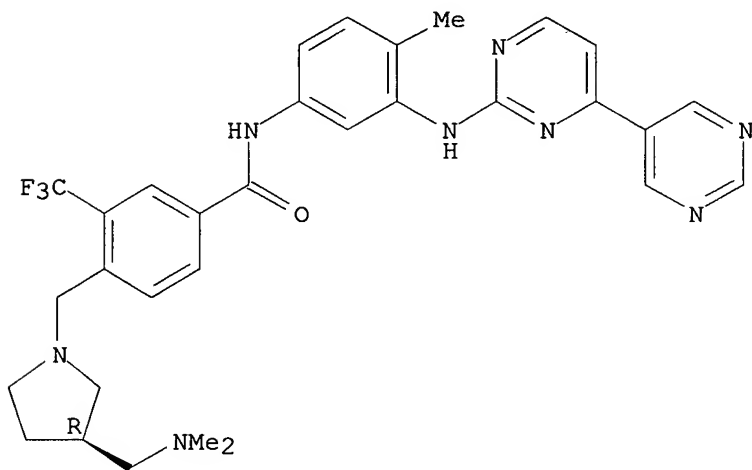
Absolute stereochemistry.



RN 859212-49-0 CAPLUS

CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[ (3R)-3-  
[(dimethylamino)methyl]-1-pyrrolidinyl]methyl]-3-(trifluoromethyl)-,  
monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

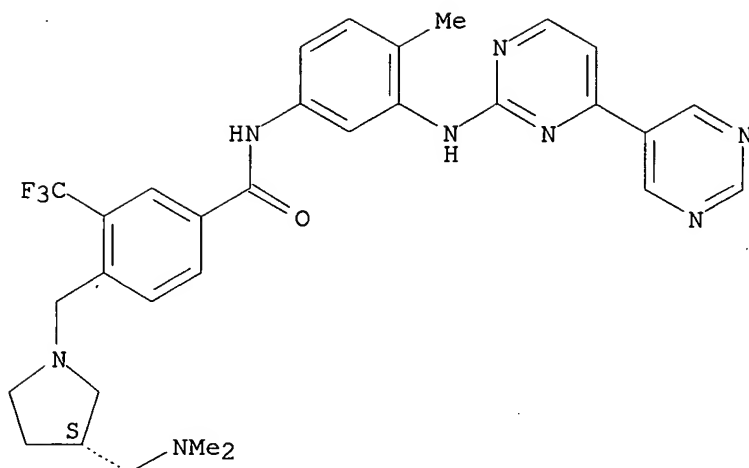


● HCl

RN 859212-50-3 CAPLUS

CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[ (3S)-3-[(dimethylamino)methyl]-1-pyrrolidinyl]methyl]-3-(trifluoromethyl)- (9CI)  
(CA INDEX NAME)

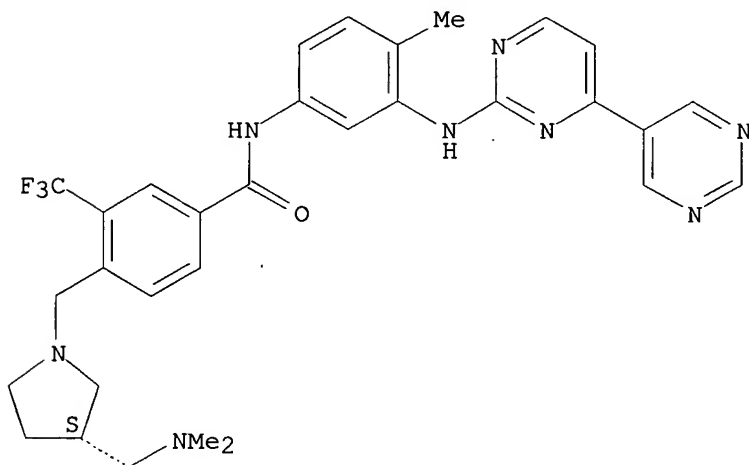
Absolute stereochemistry.



RN 859212-51-4 CAPLUS

CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[ (3S)-3-[(dimethylamino)methyl]-1-pyrrolidinyl]methyl]-3-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

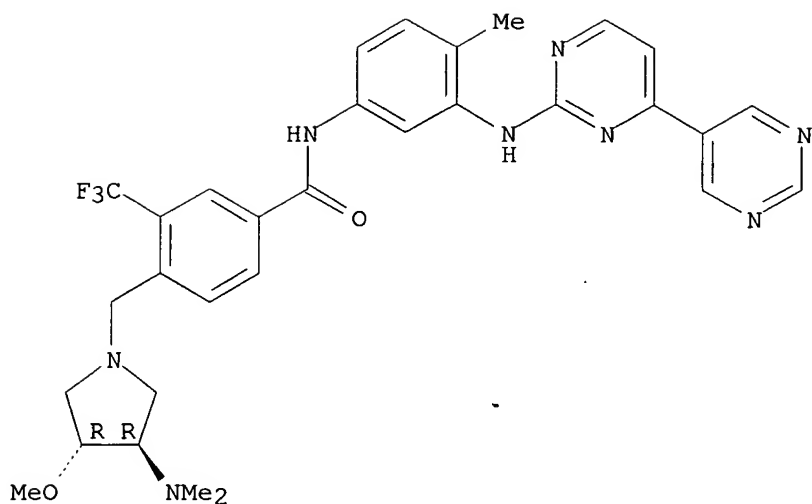


● HCl

RN 859212-52-5 CAPLUS

CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[ (3R,4R)-3-(dimethylamino)-4-methoxy-1-pyrrolidinyl]methyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

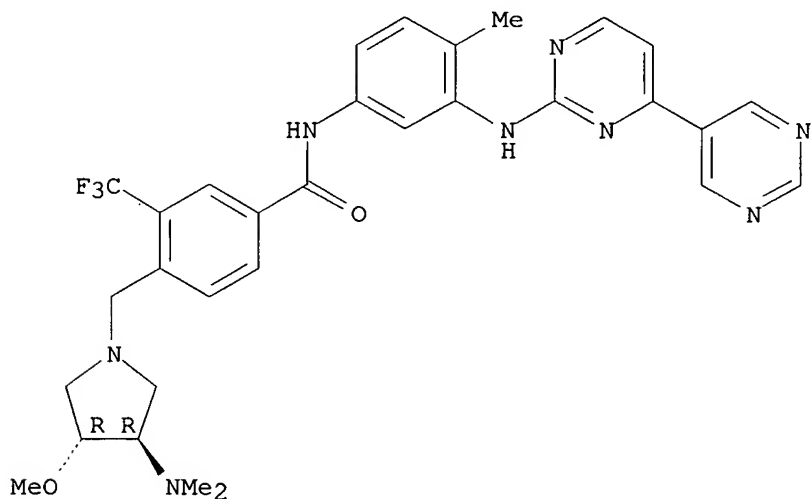
Absolute stereochemistry.



RN 859212-53-6 CAPLUS

CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[ (3R,4R)-3-(dimethylamino)-4-methoxy-1-pyrrolidinyl]methyl]-3-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

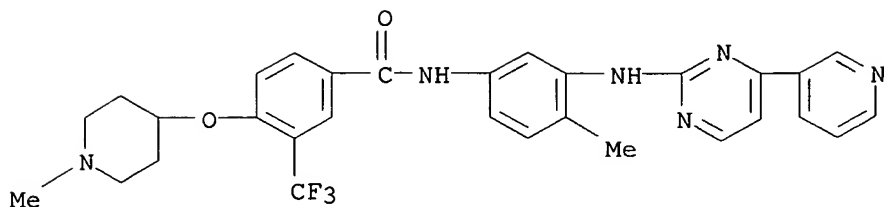
Absolute stereochemistry.



● HCl

RN 859212-54-7 CAPLUS

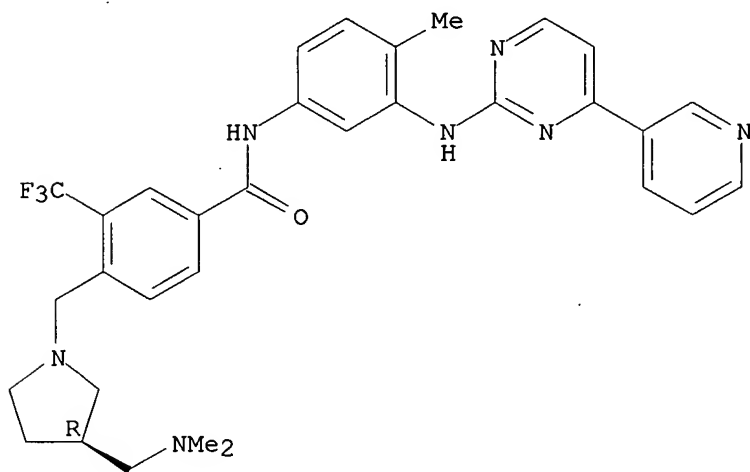
CN Benzamide, 4-[(1-methyl-4-piperidinyl)oxy]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 859212-56-9 CAPLUS

CN Benzamide, 4-[[[(3R)-3-[(dimethylamino)methyl]-1-pyrrolidinyl)methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



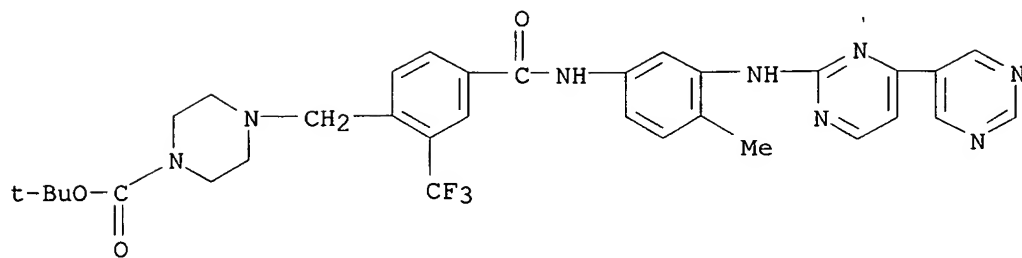
IT 641615-19-2P 859213-56-2P 859213-57-3P  
859213-59-5P 859213-60-8P 859213-61-9P  
859213-62-0P 859213-63-1P 859213-64-2P  
859217-05-3P 859217-09-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heterocyclyl moiety-containing amides as BCR-ABL tyrosine kinase inhibitors)

RN 641615-19-2 CAPLUS

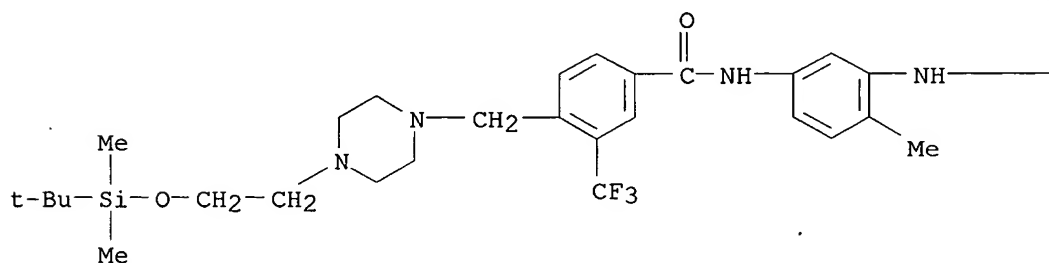
CN 1-Piperazinecarboxylic acid, 4-[[4-[[[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]amino]carbonyl]-2-(trifluoromethyl)phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



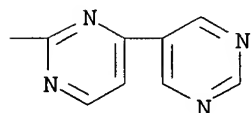
RN 859213-56-2 CAPLUS

CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[4-[2-[[[1,1-dimethylethyl]dimethylsilyl]oxy]ethyl]-1-piperazinyl]methyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

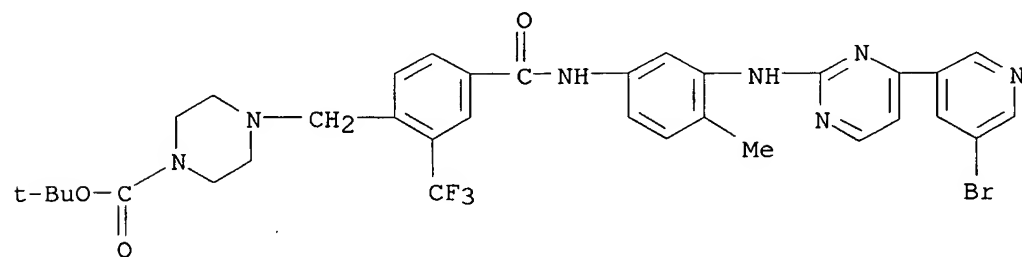


PAGE 1-B



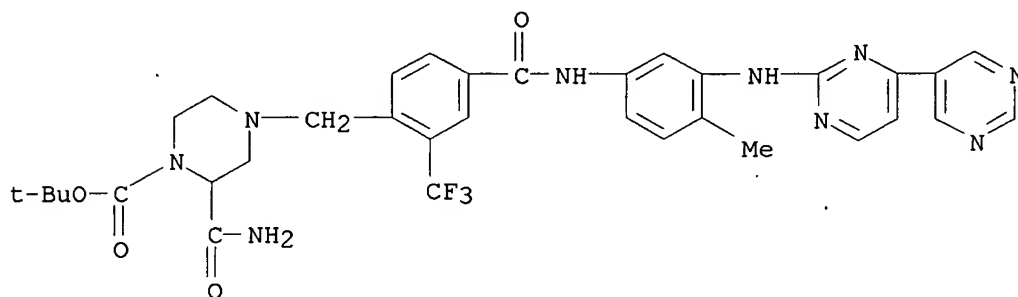
RN 859213-57-3 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[[4-[[[3-[[4-(5-bromo-3-pyridinyl)-2-pyrimidinyl]amino]-4-methylphenyl]amino]carbonyl]-2-(trifluoromethyl)phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 859213-59-5 CAPLUS

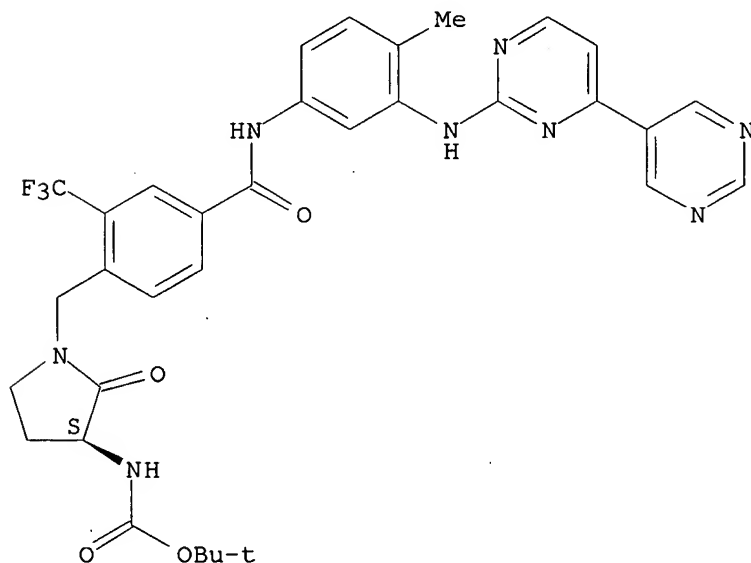
CN 1-Piperazinecarboxylic acid, 2-(aminocarbonyl)-4-[[4-[[[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]amino]carbonyl]-2-(trifluoromethyl)phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 859213-60-8 CAPLUS

CN Carbamic acid, [(3S)-1-[[4-[[[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]amino]carbonyl]-2-(trifluoromethyl)phenyl]methyl]-2-oxo-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

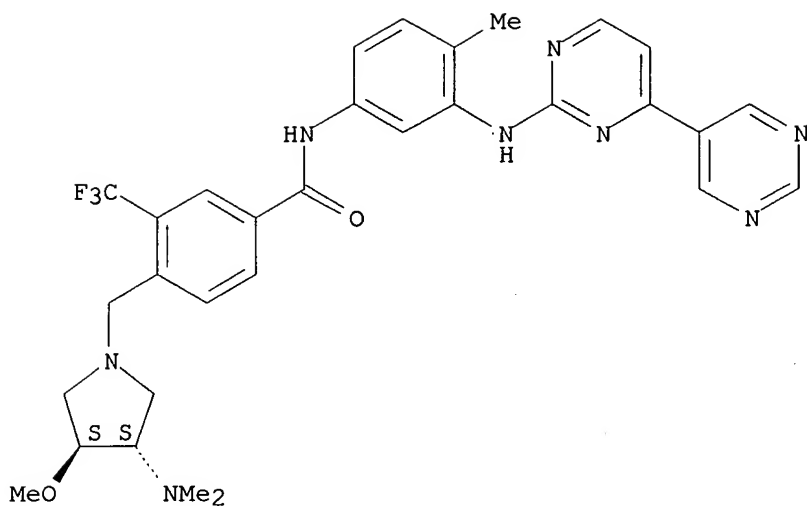
Absolute stereochemistry.



RN 859213-61-9 CAPLUS

CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[[(3S,4S)-3-(dimethylamino)-4-methoxy-1-pyrrolidinyl]methyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

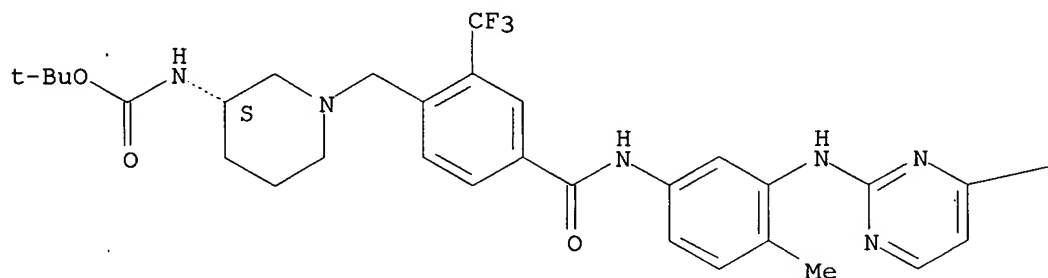


RN 859213-62-0 CAPLUS

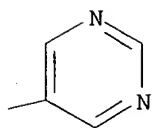
CN Carbamic acid, [(3S)-1-[[4-[[[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]amino]carbonyl]-2-(trifluoromethyl)phenyl]methyl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



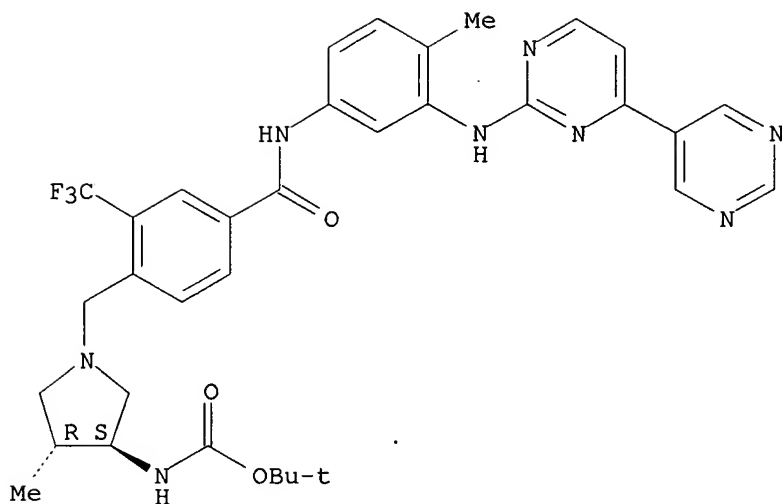
PAGE 1-B



RN 859213-63-1 CAPLUS

CN Carbamic acid, [(3S,4R)-1-[[4-[[[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]amino]carbonyl]-2-(trifluoromethyl)phenyl]methyl]-4-methyl-3-pyrrolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

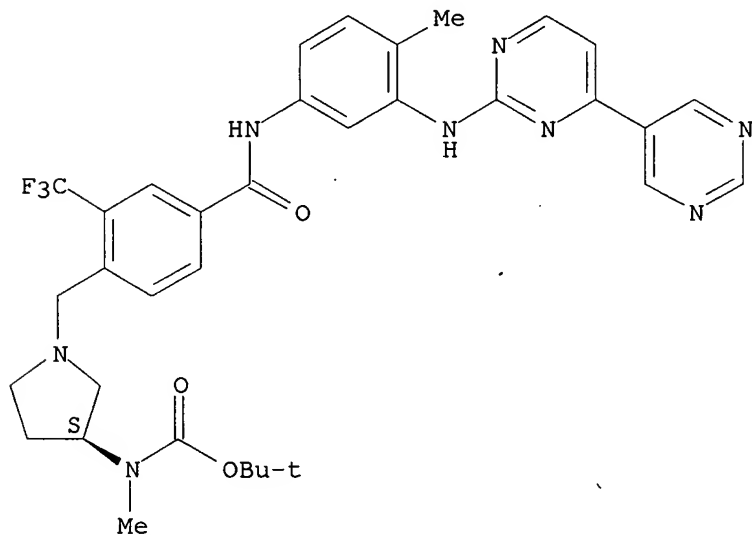
Absolute stereochemistry.



RN 859213-64-2 CAPLUS

CN Carbamic acid, [(3S)-1-[[4-[[[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]amino]carbonyl]-2-(trifluoromethyl)phenyl]methyl]-3-pyrrolidinyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

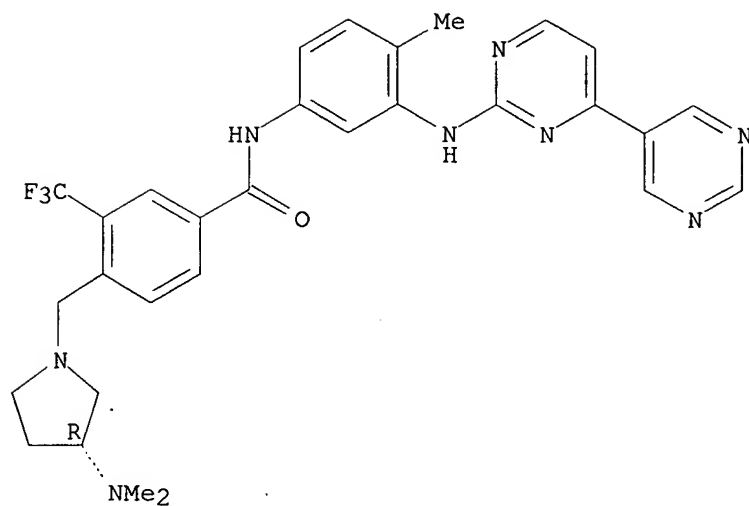
Absolute stereochemistry.



RN 859217-05-3 CAPLUS

CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[ (3R)-3-(dimethylamino)-1-pyrrolidinyl]methyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

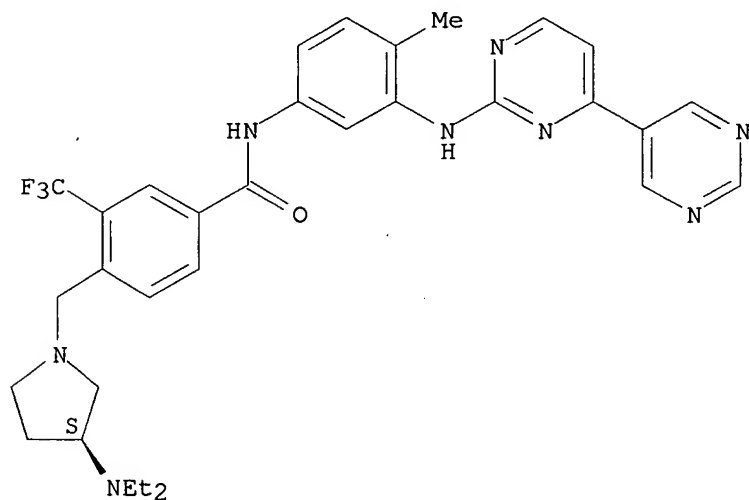
Absolute stereochemistry.



RN 859217-09-7 CAPLUS

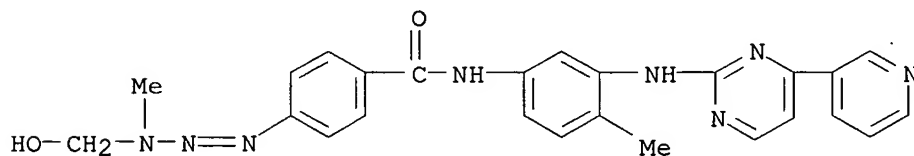
CN Benzamide, N-[3-([4,5'-bipyrimidin]-2-ylamino)-4-methylphenyl]-4-[[ (3S)-3-(diethylamino)-1-pyrrolidinyl]methyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:366660 CAPLUS  
 DN 143:126017  
 TI Engineering 3-alkyltriazenes to block bcr-abl kinase: a novel strategy for  
 the therapy of advanced bcr-abl expressing leukemias  
 AU Katsoulas, Athanasia; Rachid, Zakaria; Brahimi, Fouad; McNamee, James;  
 Jean-Claude, Bertrand J.  
 CS Cancer Drug Research Laboratory, Department of Medicine, Division of  
 Medical Oncology, McGill University Health Center/Royal Victoria Hospital,  
 Montreal, QC, H3A 1A1, Can.  
 SO Leukemia Research (2005) 29(6), 693-700  
 CODEN: LEREDD; ISSN: 0145-2126  
 PB Elsevier B.V.  
 DT Journal  
 LA English  
 AB Recently, within the framework of a new strategy termed "combi-targeting,"  
 we designed ZRCM5 to contain a 2-phenylaminopyrimidopyridine moiety  
 targeted to bcr-abl kinase and a triazene tail capable of generating a  
 methyldiazonium species upon hydrolysis. The ability of ZRCM5 to block  
 tyrosine kinase activity was tested in a short 10 min exposure ELISA  
 involving isolated bcr-abl kinase and Western blotting assays. The  
 results showed that: (a) ZRCM5 was hydrolyzed with a half-life of 27 min  
 in cell culture media, (b) it blocked bcr-abl autophosphorylation in  
 promyeloblastic leukemia K562 cells in a dose-dependent manner (IC50 =  
 14.01  $\mu$ M) and (c) it induced dose-dependent levels of DNA strand  
 breaks. In contrast, temozolomide (TEM), a clin. DNA damaging triazene  
 capable of generating, like ZRCM5, a methyldiazonium species, could  
 neither block bcr-abl tyrosine kinase activity in isolated enzyme nor in  
 whole cell autophosphorylation assays. In cells expressing varied levels  
 of bcr-abl, ZRCM5 was consistently more potent than TEM. The significant  
 potency of ZRCM5 against the leukemia cells was attributed to its ability  
 to simultaneously to block bcr-abl and related DNA repair activity while  
 inducing significant DNA lesions in bcr-abl expressing leukemia cells.  
 Further studies are ongoing to increase the affinity of ZRCM5 with the  
 purpose of further enhancing its potency in bcr-abl expressing cells.  
 IT 623901-04-2  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (ZRCM5 blocked bcr-abl kinase autophosphorylation, induced DNA strand  
 breaks by dose dependent manner and also induced apoptosis,  
 cytotoxicity in advanced bcr-abl expressing leukemia K562 cells)  
 RN 623901-04-2 CAPLUS  
 CN Benzamide, 4-[3-(hydroxymethyl)-3-methyl-1-triazenyl]-N-[4-methyl-3-[[4-(3-  
 pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2004:1124644 CAPLUS  
 DN 142:74589  
 TI 2-Aminopyrimidine derivatives as Raf kinase inhibitors, process for their preparation, and their use, e.g., in the treatment of proliferative diseases such as cancer  
 IN Batt, David Bryant; Ramsey, Timothy Michael; Sabio, Michael Lloyd  
 PA Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.  
 SO PCT Int. Appl., 69 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004110452	A1	20041223	WO 2004-EP6317	20040611
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004246800	A1	20041223	AU 2004-246800	20040611
	CA 2529090	AA	20041223	CA 2004-2529090	20040611
	EP 1635835	A1	20060322	EP 2004-739809	20040611
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
	CN 1805748	A	20060719	CN 2004-80016328	20040611
PRAI	US 2003-478709P	P	20030613		
	WO 2004-EP6317	W	20040611		

OS MARPAT 142:74589

AB The application discloses compds. that inhibit Raf kinase, having formula I [wherein R1 is an (un)substituted Ph or heteroaryl radical; and R2 is an (un)substituted Ph radical; or an N-oxide or pharmaceutically acceptable salt thereof]. Also disclosed are methods of treating diseases characterized by excessive signaling through the MAP kinase pathway by administering a RAF kinase-inhibiting amount of a compound I. In particular, I are useful for the treatment of proliferative diseases such as cancer. Over 30 compds. I were prepared For instance, amidation of 4-methyl-N3-[4-(pyrazin-2-yl)pyrimidin-2-yl]benzene-1,3-diamine with 3-CF3C6H4CO2H using BOP reagent and DIEA in DMF gave invention compound II. The prepared compds. I inhibited human Raf proteins as follows (IC50): wild-type C-Raf 0.01-0.7 µM; wild-type B-Raf 0.04-1.5 µM; and mutant B-Raf (V599E) 0.006-1.6 µM.

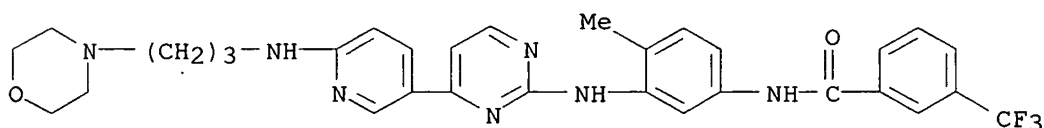
IT 812699-92-6P, N-[4-Methyl-3-[[4-[6-[[3-(morpholin-4-yl)propyl]amino]pyridin-3-yl]pyrimidin-2-yl]amino]phenyl]-3-(trifluoromethyl)benzamide 812699-93-7P, N-[4-Methyl-3-[[4-[6-(pyridin-4-ylamino)pyridin-3-yl]pyrimidin-2-yl]amino]phenyl]-3-(trifluoromethyl)benzamide 812699-94-8P, 3-(Difluoromethoxy)-N-[3-[[4-[6-[(2-hydroxyethyl)amino]pyridin-3-yl]pyrimidin-2-yl]amino]-4-methylphenyl]benzamide 812700-09-7P, N-[3-[[4-(4-Hydroxy-3,4,5,6-tetrahydro[1,2']bipyridinyl-5'-yl]pyrimidin-2-yl]amino]-4-methylphenyl]-3-(trifluoromethyl)benzamide 812700-10-0P, N-[3-[[4-[6-[[3-

(Diethylamino)propyl]amino]pyridin-3-yl]pyrimidin-2-yl]amino]-4-methylphenyl]-3-(trifluoromethyl)benzamide 812700-11-1P,  
 N-[4-Methyl-3-[[4-[6-[(pyridin-4-ylmethyl)amino]pyridin-3-yl]pyrimidin-2-yl]amino]phenyl]-3-(trifluoromethyl)benzamide 812700-12-2P,  
 N-[3-[[4-[6-[(2-Methoxyethyl)amino]pyridin-3-yl]pyrimidin-2-yl]amino]-4-methylphenyl]-3-(trifluoromethyl)benzamide 812700-14-4P,  
 N-[4-Methyl-3-[[4-[6-(pyridin-3-yloxy)pyridin-3-yl]pyrimidin-2-yl]amino]phenyl]-3-(trifluoromethyl)benzamide 812700-15-5P,  
 N-[4-Methyl-3-[[4-[6-[(1-methylpiperidin-4-yl)oxy]pyridin-3-yl]pyrimidin-2-yl]amino]phenyl]-3-(trifluoromethyl)benzamide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of aminopyrimidine derivs. as Raf kinase inhibitors for treatment of proliferative diseases such as cancer)

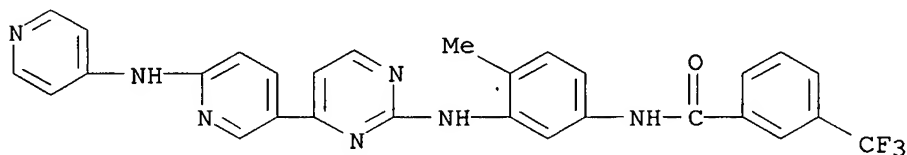
RN 812699-92-6 CAPLUS

CN Benzamide, N-[4-methyl-3-[[4-[6-[[3-(4-morpholinyl)propyl]amino]-3-pyridinyl]-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



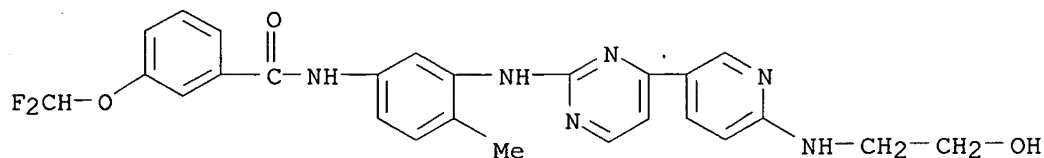
RN 812699-93-7 CAPLUS

CN Benzamide, N-[4-methyl-3-[[4-[6-(4-pyridinylamino)-3-pyridinyl]-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



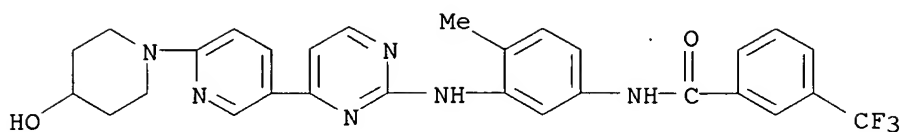
RN 812699-94-8 CAPLUS

CN Benzamide, 3-(difluoromethoxy)-N-[3-[[4-[6-[(2-hydroxyethyl)amino]-3-pyridinyl]-2-pyrimidinyl]amino]-4-methylphenyl]- (9CI) (CA INDEX NAME)



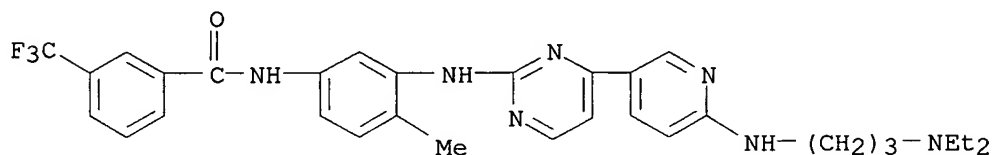
RN 812700-09-7 CAPLUS

CN Benzamide, N-[3-[[4-[6-(4-hydroxy-1-piperidinyl)-3-pyridinyl]-2-pyrimidinyl]amino]-4-methylphenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



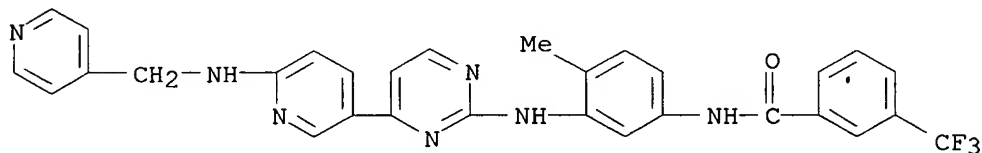
RN 812700-10-0 CAPLUS

CN Benzamide, N-[3-[[4-[6-[[3-(diethylamino)propyl]amino]-3-pyridinyl]-2-pyrimidinyl]amino]-4-methylphenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



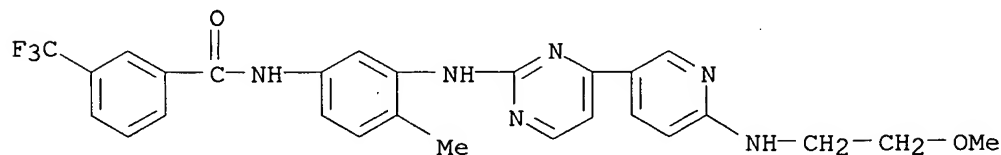
RN 812700-11-1 CAPLUS

CN Benzamide, N-[4-methyl-3-[[4-[6-[(4-pyridinylmethyl)amino]-3-pyridinyl]-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



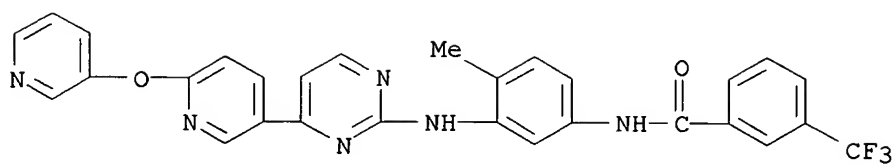
RN 812700-12-2 CAPLUS

CN Benzamide, N-[3-[[4-[6-[(2-methoxyethyl)amino]-3-pyridinyl]-2-pyrimidinyl]amino]-4-methylphenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



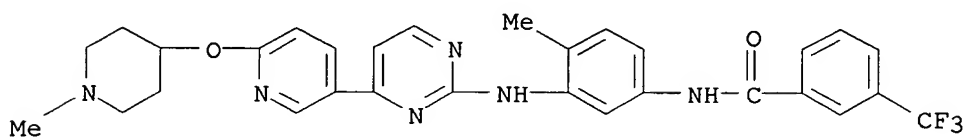
RN 812700-14-4 CAPLUS

CN Benzamide, N-[4-methyl-3-[[4-[6-(3-pyridinyloxy)-3-pyridinyl]-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 812700-15-5 CAPLUS

CN Benzamide, N-[4-methyl-3-[[4-[6-[(1-methyl-4-piperidinyl)oxy]-3-pyridinyl]-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 13 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2004:1060780 CAPLUS  
 DN 142:38275  
 TI Preparation of N-phenyl-2-pyrimidine-amine derivatives as anticancer agents and process for the preparation thereof  
 IN Kim, Dong-Yeon; Kim, Jae-Gun; Cho, Dae-Jin; Lee, Gong-Yeal; Kim, Hong-Youb; Woo, Seok-Hun; Kim, Yong-Seok; Bae, Woo-Chul; Lee, Sun-Ahe; Han, Byoung-Cheol  
 PA Il Yang Pharm. Co., Ltd., S. Korea  
 SO U.S. Pat. Appl. Publ., 21 pp., Cont.-in-part of U.S. Ser. No. 446,446, abandoned.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 3

*Same as #15*

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004248918	A1	20041209	US 2004-806834	20040322
PRAI	KR 2003-28669	A	20030506		
	US 2003-446446	B2	20030528		
OS	MARPAT 142:38275				

AB The title compds. (I) [R1 = 3- or 4-pyridyl; R2, R3 = H, lower alkyl; R6, R7 = Q; wherein X = O, NH; n = 0, 1; R9 = C5-10 9 aliphatic radical, 5- to 7-membered (un)saturated monocyclic radical, or bi- or tricyclic radical optionally combined with benzene ring, each of which has 1 to 3 hetero atoms selected from a group consisting of N, O, and S, piperazinyl or homopiperazinyl each of which is substituted by lower alkyl; R4, R5, R7, R8 = H or one or two thereof each represent halogen, lower alkyl, or lower alkoxy; when R6 is Q, or one or two of R4, R5, R6, and R8 each represent halogen, lower alkyl, or lower alkoxy; when R7 is Q, provided that R6 or R7 represents Q wherein n = 0 and R9 = 4-methylpiperazine, then one or more of R4, R5, R7, and R8, or one or more of R4, R5, R6, and R8 are halogen] or salts thereof are prepared These compds. show a superior effect on lung cancer, gastric cancer, colon cancer, pancreatic cancer, hepatoma, prostatic cancer, breast cancer, chronic or acute leukemia, hematol. malignancy, encephalophyma, bladder cancer, rectal cancer, or cervical cancer of warm-blooded animals. The present invention also relates to a process for preparing the compound I, and to a pharmaceutical composition for the

treatment of the above various diseases, which comprises an effective amount of the compound as an active ingredient together with pharmaceutically acceptable inert carriers. Thus, 3-dimethylamino-1-(3-pyridyl)-2-propen-1-one was cyclocondensed with 2-methyl-5-nitrophenylguanidine nitrate in the presence of sodium hydroxide in isopropanol under reflux for 18 h to give N-(2-methyl-5-nitrophenyl)-4-(3-pyridyl)-2-pyrimidineamine which was reduced by stannous chloride dihydrate in EtOAc/ethanol under reflux for 4 h to give N-(5-amino-2-methylphenyl)-4-(3-pyridyl)-2-pyrimidineamine (II). II underwent amidation with 4-chloromethylbenzoyl chloride in Et3N in THF under reflux for 4 h to give N-[5-(4-chloromethylbenzoylamino)-2-methylphenyl]-4-(3-pyridyl)-2-pyrimidineamine which was stirred with pyridine for 30 min and then refluxed with N-methylhomopiperazine for 12 h to give 4-(4-methylhomopiperazin-1-ylmethyl)-N-[4-methyl-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide (III). III methanesulfonate and 4-[(4-methylpiperazin-1-ylamino)methyl]-N-[4-methyl-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate showed IC50 of 1.20 and <0.10 µg/mL, resp., against the growth of K562 cells.

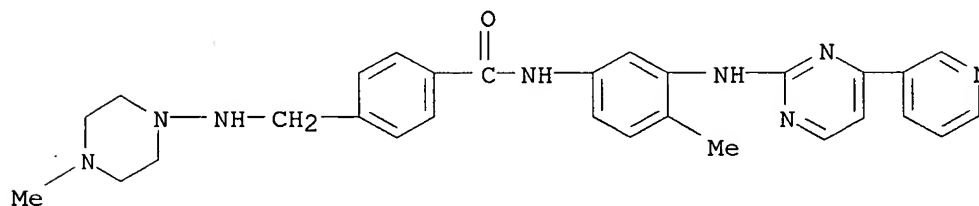
IT 796738-23-3P, 4-[(4-Methylpiperazin-1-ylamino)methyl]-N-[4-methyl-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide

796738-28-8P, 4-(4-Methylpiperazin-1-ylaminomethyl)-N-[2-methyl-5-[4-(pyridin-3-yl)pyrimidin-2-yl]aminophenyl]benzamide 796738-34-6P, 4-(4-Methylpiperazin-1-ylaminomethyl)-N-[3-[4-(pyridin-3-yl)pyrimidin-2-yl]aminophenyl]benzamide 796738-38-0P, 4-(4-Methylpiperazin-1-ylaminomethyl)-N-[3-methyl-4-[4-(pyridin-3-yl)pyrimidin-2-yl]aminophenyl]benzamide 796738-42-6P, 4-(4-Methylpiperazin-1-ylaminomethyl)-N-[4-methoxy-3-[4-(pyridin-3-yl)pyrimidin-2-yl]aminophenyl]benzamide 796738-50-6P, 4-(4-Methylpiperazin-1-ylaminomethyl)-N-[2-fluoro-5-[4-(pyridin-3-yl)pyrimidin-2-yl]aminophenyl]benzamide 796738-52-8P, 4-(4-Methylpiperazin-1-ylaminomethyl)-N-[4-[4-(pyridin-3-yl)pyrimidin-2-yl]aminophenyl]benzamide 804554-76-5P, 4-[[4-(4-Methylpiperazin-1-ylamino)methyl]-N-[4-methyl-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 804554-78-7P, 4-[[4-(4-Methylpiperazin-1-ylamino)methyl]-N-[2-methyl-5-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 804554-81-2P, 4-[[4-(4-Methylpiperazin-1-ylamino)methyl]-N-[3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 804554-83-4P, 4-[[4-(4-Methylpiperazin-1-ylamino)methyl]-N-[3-methyl-4-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 804554-85-6P, 4-[[4-(4-Methylpiperazin-1-ylamino)methyl]-N-[4-methoxy-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 804554-88-9P, 4-[[4-(4-Methylpiperazin-1-ylamino)methyl]-N-[2-fluoro-5-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 804554-89-0P, 4-[[4-(4-Methylpiperazin-1-ylamino)methyl]-N-[4-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 804554-95-8P, 4-[[4-(4-Methylpiperazin-1-ylamino)methyl]-N-[4-methyl-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide acetate 804554-96-9P, 4-[[4-(4-Methylpiperazin-1-ylamino)methyl]-N-[4-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide acetate 804554-98-1P, 4-[[4-(4-Methylpiperazin-1-ylamino)methyl]-N-[4-methyl-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide hydrochloride 804554-99-2P, 4-[[4-(4-Methylpiperazin-1-ylamino)methyl]-N-[4-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide hydrochloride  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-phenylpyrimidine-2-amine derivs. as anticancer agents)

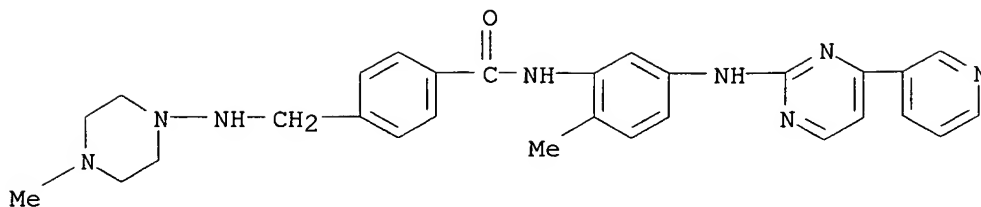
RN 796738-23-3 CAPLUS

CN Benzamide, 4-[[4-(4-methyl-1-piperazinyl)amino]methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



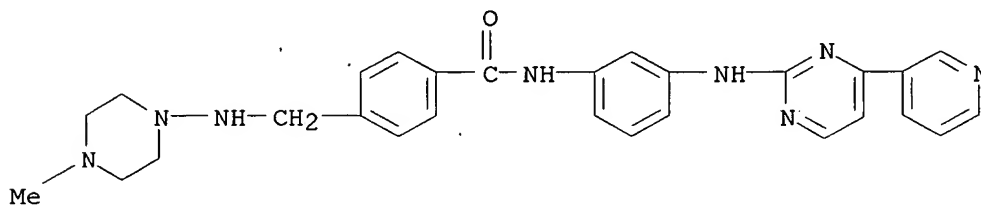
RN 796738-28-8 CAPLUS

CN Benzamide, 4-[[4-(4-methyl-1-piperazinyl)amino]methyl]-N-[2-methyl-5-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



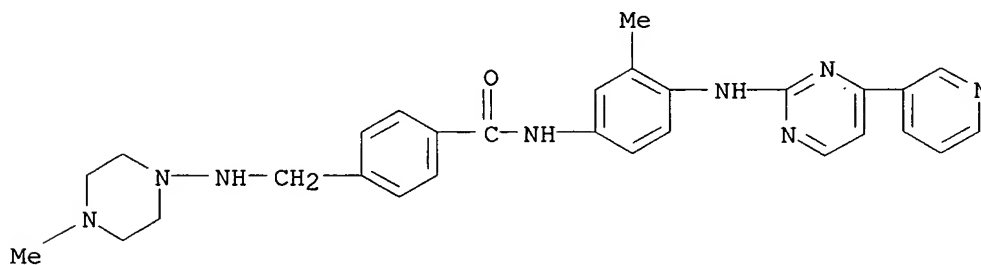
RN 796738-34-6 CAPLUS

CN Benzamide, 4-[[4-methyl-1-piperazinyl]amino]methyl]-N-[3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



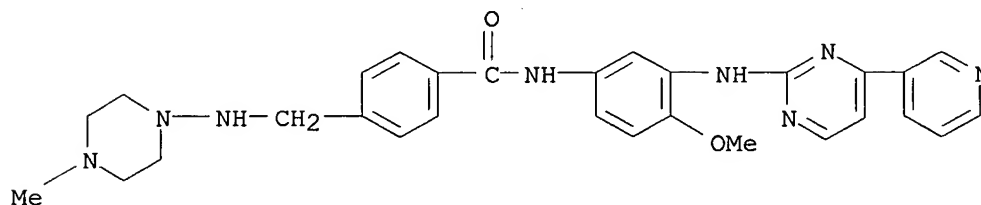
RN 796738-38-0 CAPLUS

CN Benzamide, 4-[[4-methyl-1-piperazinyl]amino]methyl]-N-[3-methyl-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



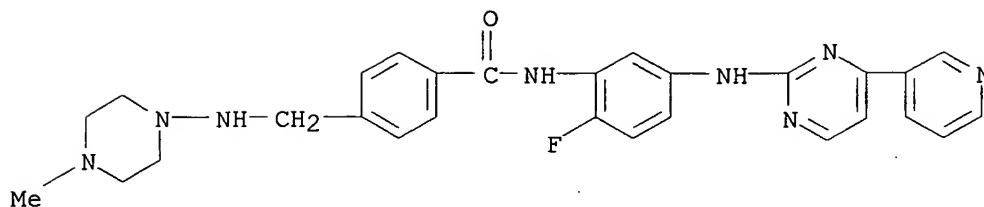
RN 796738-42-6 CAPLUS

CN Benzamide, N-[4-methoxy-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-[[4-methyl-1-piperazinyl]amino]methyl]- (9CI) (CA INDEX NAME)



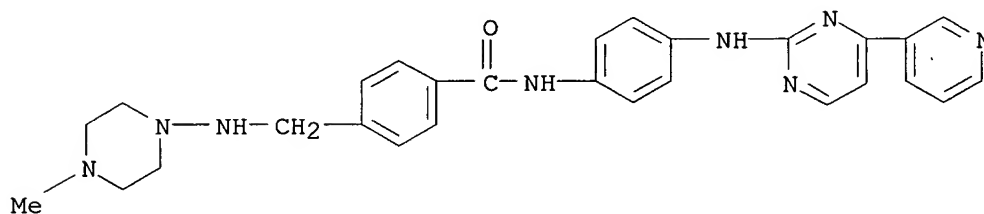
RN 796738-50-6 CAPLUS

CN Benzamide, N-[2-fluoro-5-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-[[4-methyl-1-piperazinyl]amino]methyl]- (9CI) (CA INDEX NAME)



RN 796738-52-8 CAPLUS

CN Benzamide, 4-[[[(4-methyl-1-piperazinyl)amino]methyl]-N-[4-[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



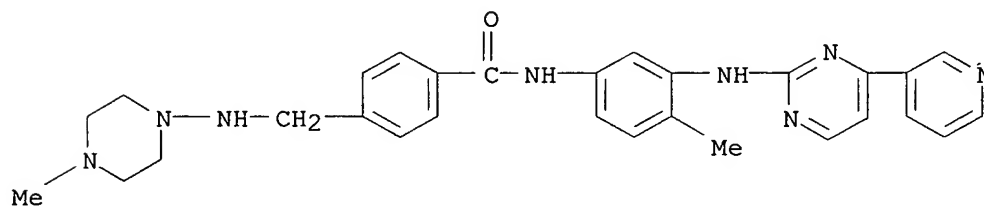
RN 804554-76-5 CAPLUS

CN Benzamide, 4-[[[(4-methyl-1-piperazinyl)amino]methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

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CRN 796738-23-3

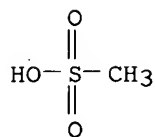
CMF C29 H32 N8 O



CM 2

CRN 75-75-2

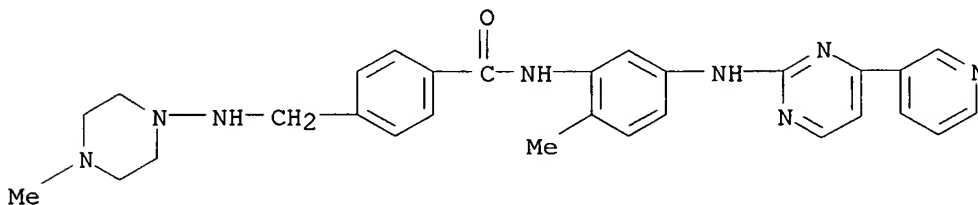
CMF C H4 O3 S



RN 804554-78-7 CAPLUS  
 CN Benzamide, 4-[[ (4-methyl-1-piperazinyl) amino]methyl]-N-[2-methyl-5-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

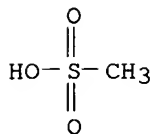
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CRN 796738-28-8  
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CM 2

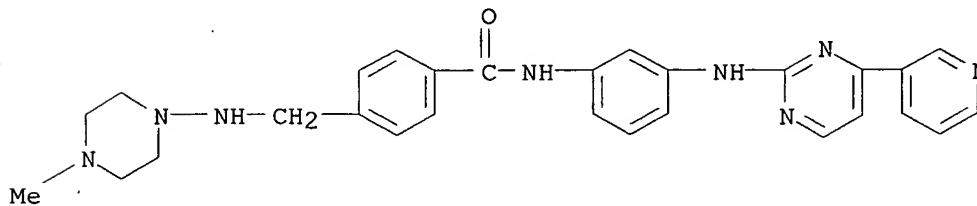
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RN 804554-81-2 CAPLUS  
 CN Benzamide, 4-[[ (4-methyl-1-piperazinyl) amino]methyl]-N-[3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

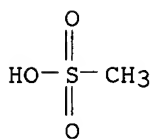
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CRN 796738-34-6  
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CM 2

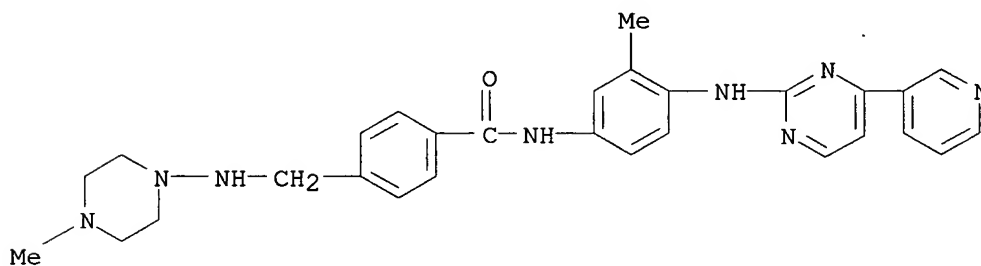
CRN 75-75-2  
CMF C H4 O3 S



RN 804554-83-4 CAPLUS  
CN Benzamide, 4-[[ (4-methyl-1-piperazinyl)amino]methyl]-N-[3-methyl-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

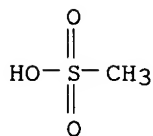
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CRN 796738-38-0  
CMF C29 H32 N8 O



CM 2

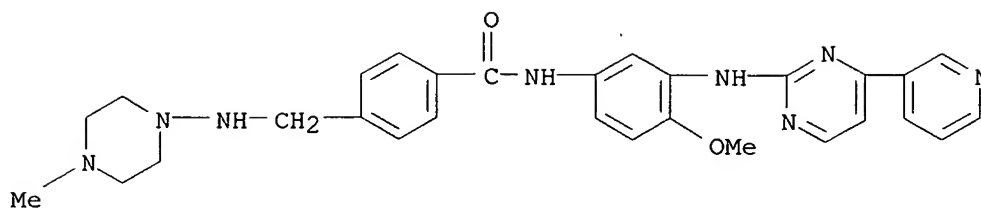
CRN 75-75-2  
CMF C H4 O3 S



RN 804554-85-6 CAPLUS  
CN Benzamide, N-[4-methoxy-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-[[ (4-methyl-1-piperazinyl)amino]methyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

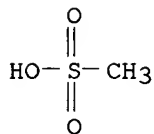
CRN 796738-42-6  
CMF C29 H32 N8 O2



CM 2

CRN 75-75-2

CMF C H4 O3 S



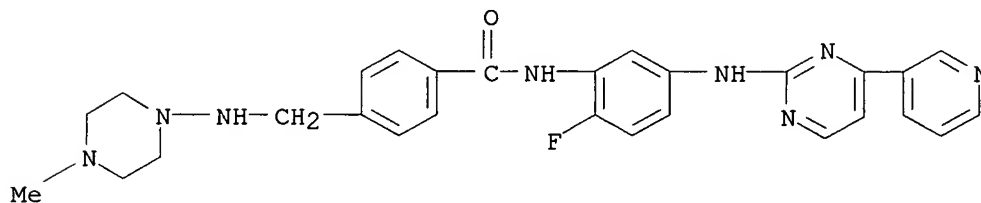
RN 804554-88-9 CAPLUS

CN Benzamide, N-[2-fluoro-5-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-  
 [[(4-methyl-1-piperazinyl)amino]methyl]-, monomethanesulfonate (9CI) (CA  
 INDEX NAME)

CM 1

CRN 796738-50-6

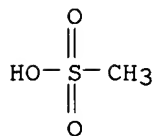
CMF C28 H29 F N8 O



CM 2

CRN 75-75-2

CMF C H4 O3 S

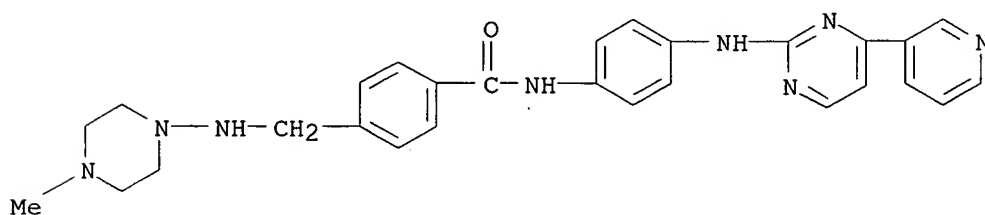


RN 804554-89-0 CAPLUS

CN Benamide, 4-[[[4-methyl-1-piperazinyl)amino]methyl]-N-[4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

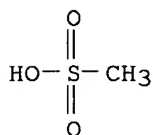
CM 1

CRN 796738-52-8  
CMF C28 H30 N8 O



CM 2

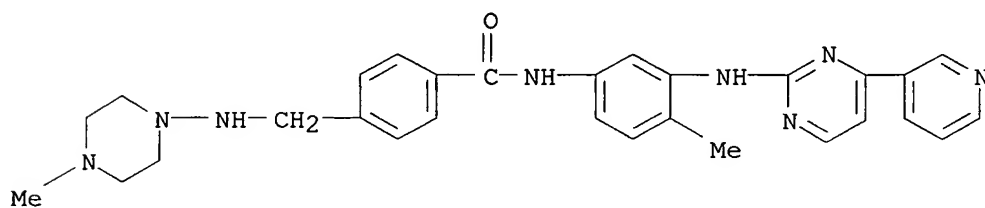
CRN 75-75-2  
CMF C H4 O3 S



RN 804554-95-8 CAPLUS  
CN Benamide, 4-[[[4-methyl-1-piperazinyl)amino]methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, monoacetate (9CI) (CA INDEX NAME)

CM 1

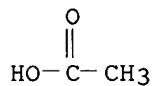
CRN 796738-23-3  
CMF C29 H32 N8 O



CM 2

CRN 64-19-7

CMF C2 H4 O2



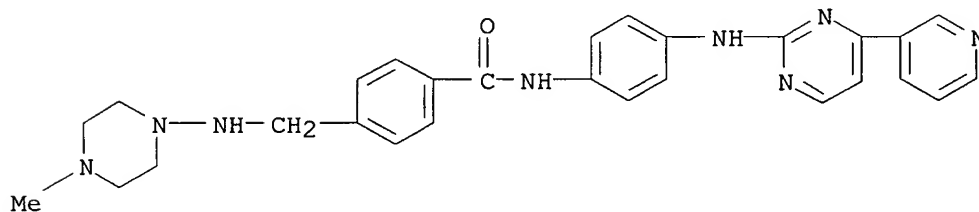
RN 804554-96-9 CAPLUS

CN Benzamide, 4-[[[4-methyl-1-piperazinyl)amino]methyl]-N-[4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, monoacetate (9CI) (CA INDEX NAME)

CM 1

CRN 796738-52-8

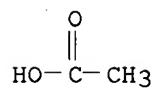
CMF C28 H30 N8 O



CM 2

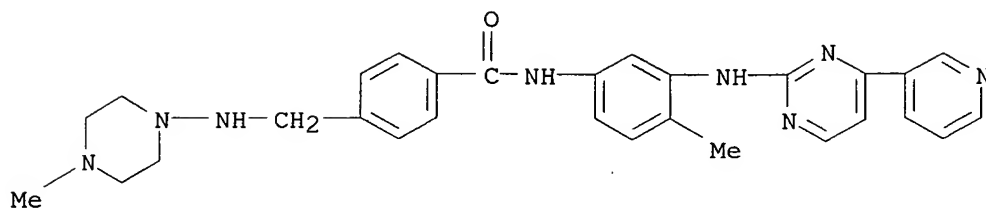
CRN 64-19-7

CMF C2 H4 O2



RN 804554-98-1 CAPLUS

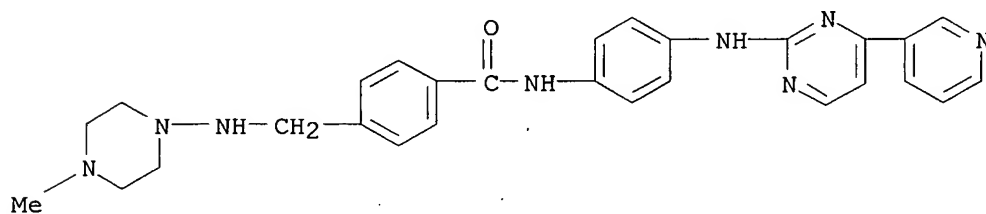
CN Benzamide, 4-[[[4-methyl-1-piperazinyl)amino]methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 804554-99-2 CAPLUS

CN Benzamide, 4-[[[4-methyl-1-piperazinyl)amino]methyl]-N-[4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L6 ANSWER 14 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2004:996162 CAPLUS  
 DN 141:424205  
 TI New N-phenyl-2-pyrimidine-amine derivatives related to imatinib mesylate,  
 useful as antitumor agents, and process for their preparation  
 IN Kim, Dong-Yeon; Kim, Jae-Gun; Cho, Dae-Jin; Lee, Gong-Yeal; Kim,  
 Hong-Youb; Woo, Seok-Hun; Kim, Yong-Seok; Bae, Woo-chul; Lee, Sun-Ahe;  
 Han, Byoung-Cheol  
 PA Il Yang Pharm. Co. Ltd., S. Korea  
 SO PCT Int. Appl., 55 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004099187	A1	20041118	WO 2004-KR611	20040319
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

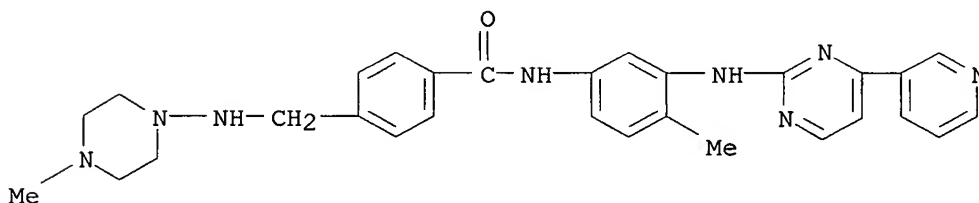
PRAI KR 2003-28669 A 20030506

OS MARPAT 141:424205

AB The invention relates to N-phenyl-2-pyrimidine-amine derivs. and their salts, which show superior action against lung cancer, gastric cancer, colon cancer, pancreatic cancer, hepatoma, prostatic cancer, breast cancer, chronic or acute leukemia, hematol. malignancy, encephalophyma, bladder cancer, rectal cancer, or cervical cancer, etc., in warm-blooded animals. The invention also relates to a process for preparing the compds., and to pharmaceutical compns. for the treatment of cancer, etc., which comprise the compds. as active ingredients, together with pharmaceutically acceptable inert carriers. Specifically claimed are compds. I and salts [wherein: R1 = 3-pyridyl or 4-pyridyl; R2, R3 = (independently) H or lower alkyl; R6 or R7 = -NHCO-p-C6H4-CH2XnR9; X = O or NH; n = 0-1; R9 = C5-10 aliphatic, or 5- to 7-membered (un)saturated monocycle, or a bi- or tricyclic radical optionally combined with a benzene ring, each with 1-3 N/O/S heteroatoms, or (homo)piperazinyl substituted by lower alkyl; 1-2 of R4, R5, R6/R7, and R8 = halo, lower alkyl, or lower alkoxy; others = H; provided that when R6 or R7 = said radical and n = 0 and R9 = 4-methylpiperazinyl, then one or more of R4, R5, R6/R7, and R8 is halo]. For example, 3-acetylpyridine was converted in 3 steps to N-(2-methyl-5-nitrophenyl)-4-(3-pyridyl)-2-pyrimidineamine. This nitro compound was reduced to the amine with SnCl2, and the amine was amidated with 4-(ClCH2)C6H4COCl. The obtained 4-(chloromethyl)benzamide derivative was coupled with 1-amino-4-methylpiperazine to give invention compound II, which was converted to the methanesulfonate salt (III). The latter was more than 5-fold more potent than imatinib mesylate against the human CML cell line K562, and was at least as active against other cell lines. Other compds. I showed different spectra of superiority to imatinib mesylate against the various cancer cell lines. Compound IV (mesylate) had excellent, dose-related therapeutic activity against sarcoma-180 in ICR

mice, giving an inhibition ratio of 63.0% at 50 mg/kg i.v. In an oral pharmacokinetic assay in rats, III roughly matched the performance of imatinib mesylate (Tmax, Cmax, and AUC) at half the dosage. III also showed no acute toxicity toward mice at a dose of 2000 mg/kg orally. IV mesylate had an i.v. LD50 of 75-100 mg/kg in mice, still much safer than cisplatin (11 mg/kg i.v.). Although several compds. I are preferred with respect to protein kinase inhibition (no data), II is particularly preferred. Therefore III and IV mesylate are expected to be new and potent therapeutic agents for the treatment of the aforementioned cancers, in addition to CML.

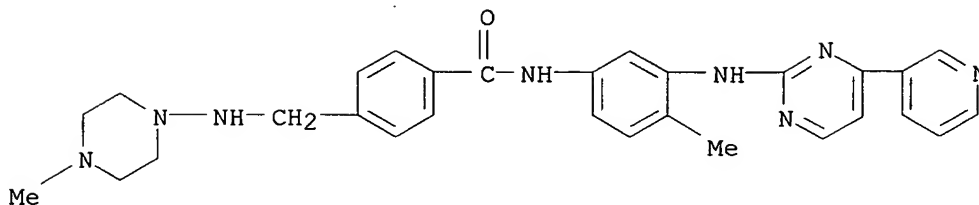
- IT 796738-23-3P, 4-[[[(4-Methylpiperazin-1-yl)amino]methyl]-N-[4-methyl-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide  
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PKT (Pharmacokinetics); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (drug candidate; preparation of phenylpyrimidinamine derivs. related to imatinib mesylate as antitumor agents)
- RN 796738-23-3 CAPLUS
- CN Benzamide, 4-[[[(4-methyl-1-piperazinyl)amino]methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



- IT 796738-24-4P, 4-[[[(4-Methylpiperazin-1-yl)amino]methyl]-N-[4-methyl-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate  
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; preparation of phenylpyrimidinamine derivs. related to imatinib mesylate as antitumor agents)
- RN 796738-24-4 CAPLUS
- CN Benzamide, 4-[[[(4-methyl-1-piperazinyl)amino]methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (9CI) (CA INDEX NAME)

CM 1

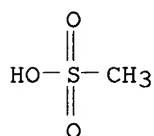
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 CMF C29 H32 N8 O



CM 2

CRN 75-75-2

CMF C H4 O3 S



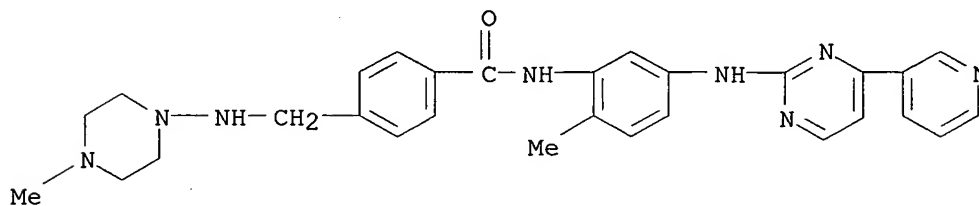
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 796738-34-6P, 4-[[[(4-Methylpiperazin-1-yl)amino]methyl]-N-[3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide 796738-38-0P  
 , 4-[[[(4-Methylpiperazin-1-yl)amino]methyl]-N-[3-methyl-4-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide 796738-42-6P,  
 4-[[[(4-Methylpiperazin-1-yl)amino]methyl]-N-[4-methoxy-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide 796738-50-6P,  
 4-[[[(4-Methylpiperazin-1-yl)amino]methyl]-N-[2-fluoro-5-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide 796738-52-8P,  
 4-[[[(4-Methylpiperazin-1-yl)amino]methyl]-N-[4-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of phenylpyrimidinamine derivs. related to imatinib mesylate as antitumor agents)

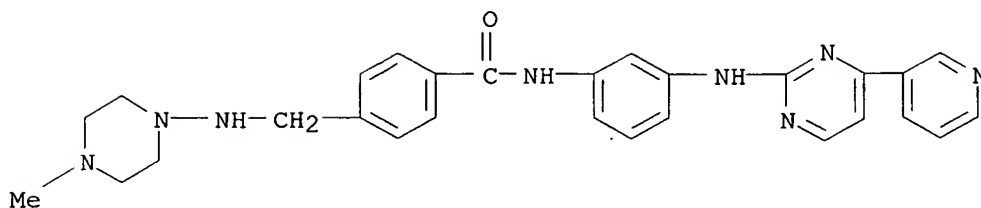
RN 796738-28-8 CAPLUS

CN Benzamide, 4-[[[(4-methyl-1-piperazinyl)amino]methyl]-N-[2-methyl-5-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



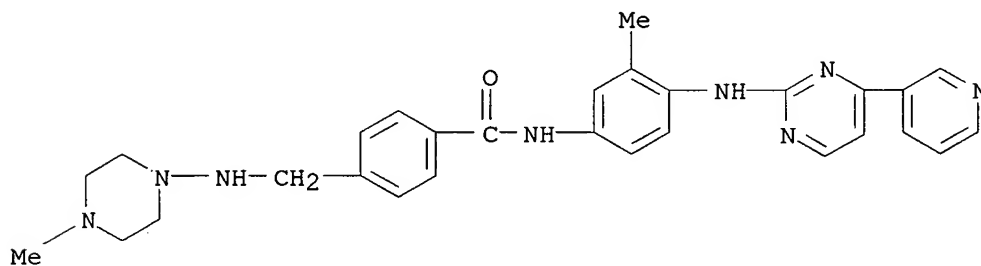
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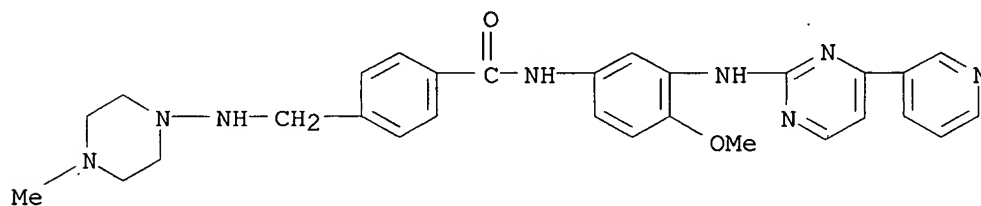
RN 796738-38-0 CAPLUS

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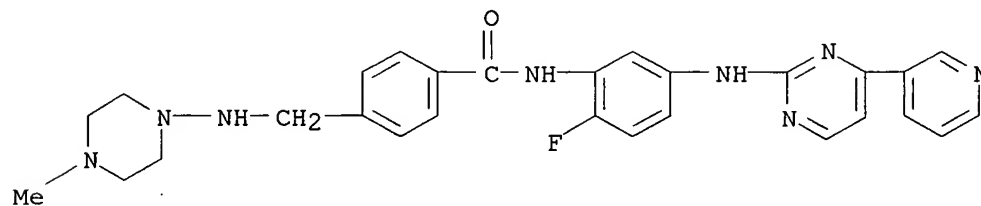
RN 796738-42-6 CAPLUS

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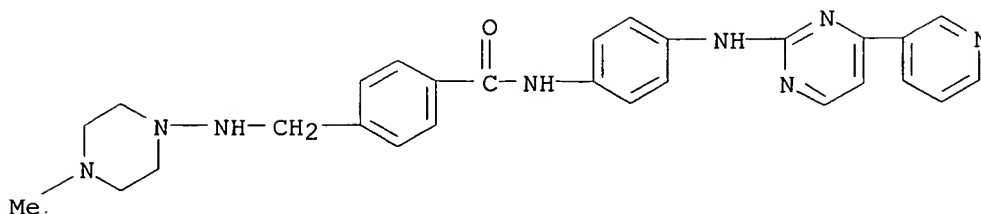
RN 796738-50-6 CAPLUS

CN Benzamide, N-[2-fluoro-5-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-[[4-methyl-1-piperazinyl]amino]methyl]- (9CI) (CA INDEX NAME)



RN 796738-52-8 CAPLUS

CN Benzamide, 4-[[4-methyl-1-piperazinyl]amino]methyl-N-[4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



IT 796738-29-9P, 4-[[4-((4-Methylpiperazin-1-yl)amino)methyl]-N-[2-methyl-5-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 796738-35-7P, 4-[[4-((4-Methylpiperazin-1-yl)amino)methyl]-N-[3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 796738-39-1P, 4-[[4-((4-Methylpiperazin-1-yl)amino)methyl]-N-[3-methyl-4-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 796738-43-7P, 4-[[4-((4-Methylpiperazin-1-yl)amino)methyl]-N-[4-methoxy-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 796738-51-7P, 4-[[4-((4-Methylpiperazin-1-yl)amino)methyl]-N-[2-fluoro-5-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 796738-53-9P, 4-[[4-((4-Methylpiperazin-1-yl)amino)methyl]-N-[4-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 796738-62-0P, 4-[[4-((4-Methylpiperazin-1-yl)amino)methyl]-N-[4-methyl-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide acetate 796738-63-1P, 4-[[4-((4-Methylpiperazin-1-yl)amino)methyl]-N-[4-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide acetate 796738-65-3P, 4-[[4-((4-Methylpiperazin-1-yl)amino)methyl]-N-[4-methyl-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide hydrochloride 796738-66-4P, 4-[[4-((4-Methylpiperazin-1-yl)amino)methyl]-N-[4-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide hydrochloride  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of phenylpyrimidinamine derivs. related to imatinib mesylate as antitumor agents)

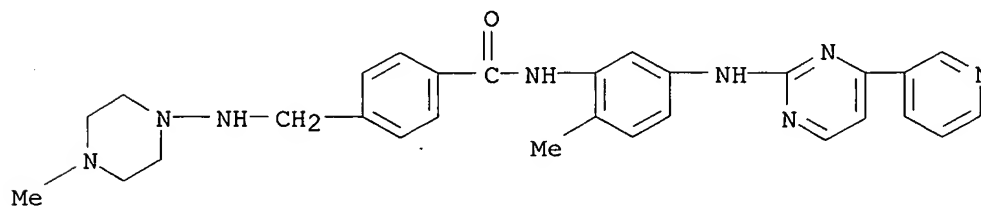
RN 796738-29-9 CAPLUS

CN Benzamide, 4-[[4-methyl-1-piperazinyl]amino]methyl]-N-[2-methyl-5-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 796738-28-8

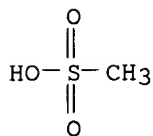
CMF C29 H32 N8 O



CM 2

CRN 75-75-2

CMF C H4 O3 S



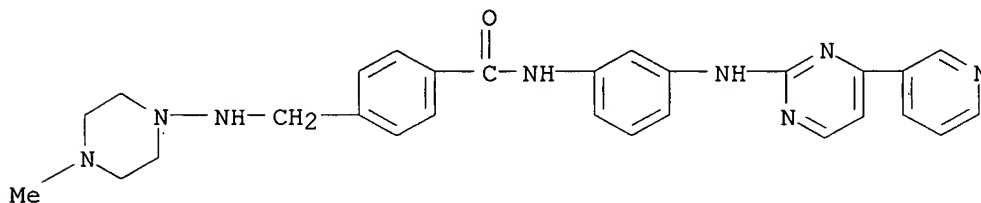
RN 796738-35-7 CAPLUS

CN Benzamide, 4-[[[(4-methyl-1-piperazinyl)amino]methyl]-N-[3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 796738-34-6

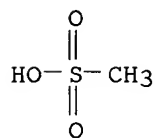
CMF C28 H30 N8 O



CM 2

CRN 75-75-2

CMF C H4 O3 S



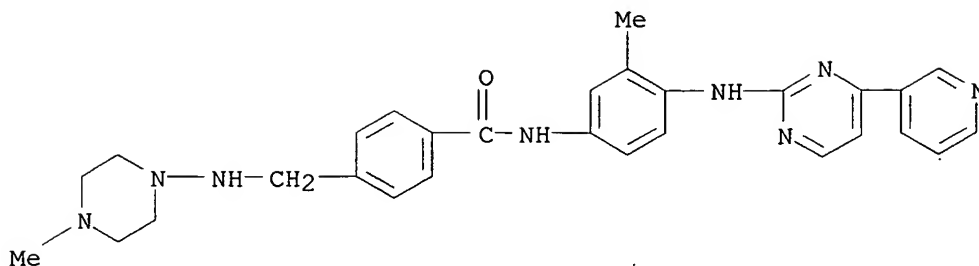
RN 796738-39-1 CAPLUS

CN Benzamide, 4-[[[(4-methyl-1-piperazinyl)amino]methyl]-N-[3-methyl-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 796738-38-0

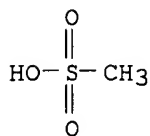
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CM 2

CRN 75-75-2

CMF C H4 O3 S



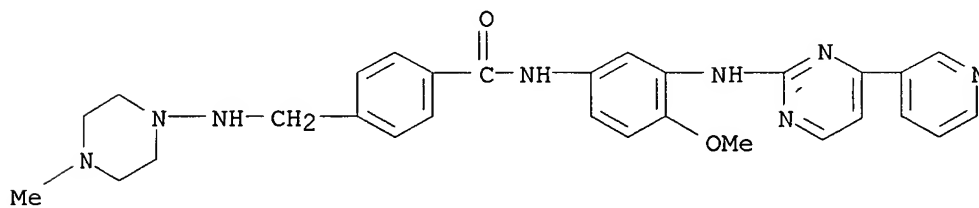
RN 796738-43-7 CAPLUS

CN Benzamide, N-[4-methoxy-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-  
 [[(4-methyl-1-piperazinyl)amino]methyl]-, methanesulfonate (9CI) (CA  
 INDEX NAME)

CM 1

CRN 796738-42-6

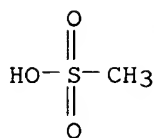
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CM 2

CRN 75-75-2

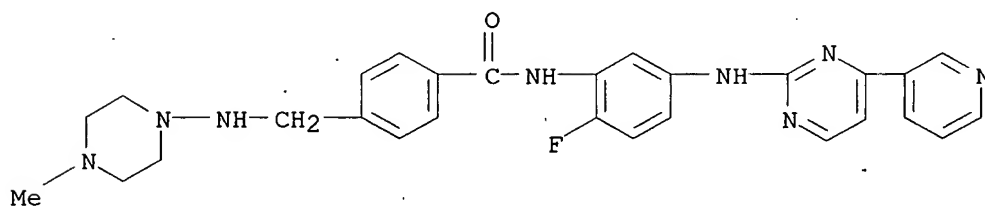
CMF C H4 O3 S



RN 796738-51-7 CAPLUS  
 CN Benzamide, N-[2-fluoro-5-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-  
 [[(4-methyl-1-piperazinyl)amino]methyl]-, methanesulfonate (9CI) (CA  
 INDEX NAME)

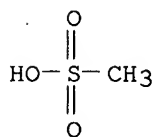
CM 1

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CM 2

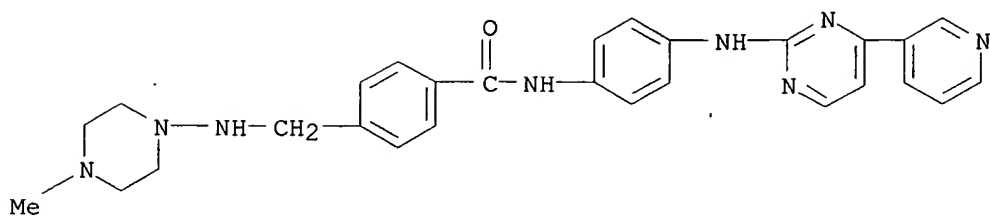
CRN 75-75-2  
 CMF C H4 O3 S



RN 796738-53-9 CAPLUS  
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 pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (9CI) (CA INDEX  
 NAME)

CM 1

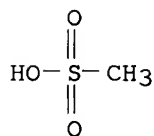
CRN 796738-52-8  
 CMF C28 H30 N8 O



CM 2

CRN 75-75-2

CMF C H4 O3 S



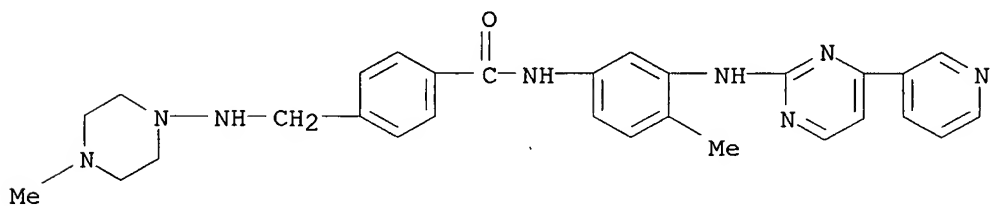
RN 796738-62-0 CAPLUS

CN Benzamide, 4-[[4-methyl-1-piperazinyl]amino]methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, acetate (9CI) (CA INDEX NAME)

CM 1

CRN 796738-23-3

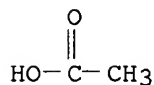
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CM 2

CRN 64-19-7

CMF C2 H4 O2



RN 796738-63-1 CAPLUS

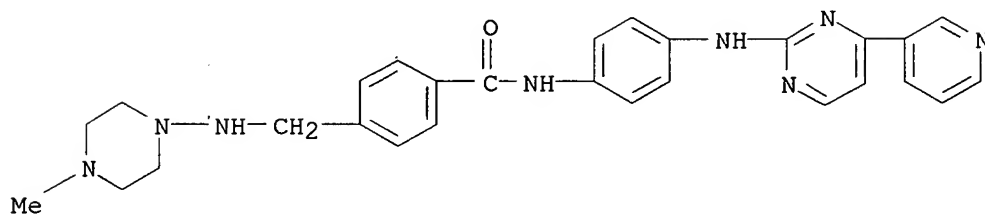
CN Benzamide, 4-[[4-methyl-1-piperazinyl]amino]methyl]-N-[4-[[4-(3-

pyridinyl)-2-pyrimidinyl]amino]phenyl]-, acetate (9CI) (CA INDEX NAME)

CM 1

CRN 796738-52-8

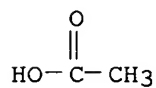
CMF C28 H30 N8 O



CM 2

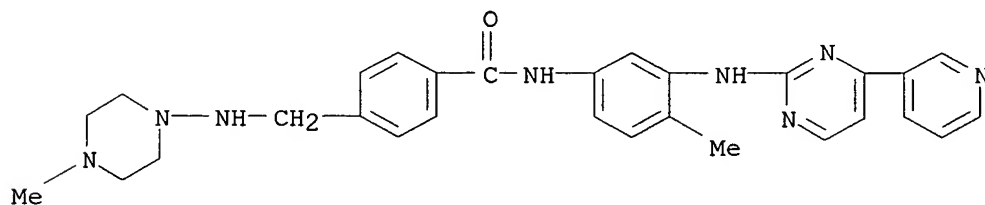
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RN 796738-65-3 CAPLUS

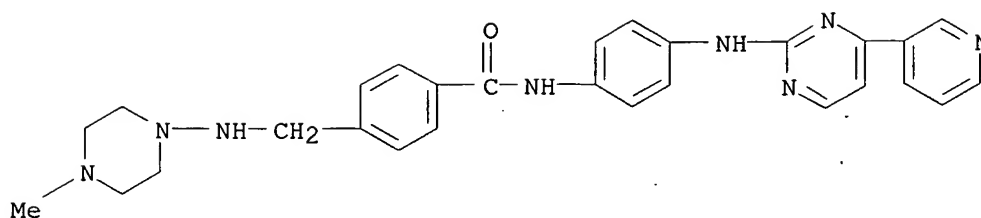
CN Benzamide, 4-[[[4-methyl-1-piperazinyl]amino]methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

RN 796738-66-4 CAPLUS

CN Benzamide, 4-[[[4-methyl-1-piperazinyl]amino]methyl]-N-[4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

RE.CNT 4      THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 15 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2004:996161 CAPLUS  
 DN 141:424204  
 TI New N-phenyl-2-pyrimidine-amine derivatives related to imatinib mesylate,  
 useful as antitumor agents, and process for the preparation thereof  
 IN Kim, Dong-Yeon; Kim, Jae-Gun; Cho, Dae-Jn; Lee, Gong-Yeal; Kim, Hong-Youb;  
 Woo, Seok-Hun; Bae, Woo-chul; Lee, Sun-Ahe; Han, Byoung-Ceol  
 PA Il Yang Pharm Co., Ltd., S. Korea  
 SO PCT Int. Appl., 55 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004099186	A1	20041118	WO 2003-KR1029	20030526
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	AU 2003232650	A1	20041126	AU 2003-232650	20030526
PRAI	KR 2003-28669	A	20030506		
	WO 2003-KR1029	W	20030526		

OS MARPAT 141:424204

AB The invention relates to N-phenyl-2-pyrimidine-amine derivs. and their salts, which show superior action against tumors, lung cancer, gastric cancer, etc., in warm-blooded animals. The invention also relates to a process for preparing the compds., and to pharmaceutical compns. for the prevention and treatment of cancer, etc., which comprise the compds. as active ingredients. Specifically claimed are compds. I and salts [wherein: R1 = 3-pyridyl or 4-pyridyl; R2, R3 = (independently) H or lower alkyl; R6 or R7 = -NHCO-p-C6H4-CH2XnR9; X = O or NH; n = 0-1; R9 = C5+ aliphatic or heterocycle, or (homo)piperazinyl substituted by lower alkyl; 1-2 of R4, R5, R6/R7, and R8 = halo, lower alkyl, or lower alkoxy; others = H; provided that when R6 or R7 = said radical and n = 0 and R9 = 4-methylpiperazinyl, then one or more of R4, R5, R6/R7, and R8 is halo]. For example, 3-acetylpyridine was converted in 3 steps to N-(2-methyl-5-nitrophenyl)-4-(3-pyridyl)-2-pyrimidineamine. This nitro compound was reduced to the amine with SnCl2, and the amine was amidated with 4-(ClCH2)C6H4COCl. The obtained 4-(chloromethyl)benzamide derivative was coupled with 1-amino-4-methylpiperazine to give invention compound II, which was converted to the methanesulfonate salt (III). The latter was more than 5-fold more potent than imatinib mesylate against the human CML cell line K562, and was at least as active against other cell lines. Other compds. I showed different spectra of superiority to imatinib mesylate against the various cancer cell lines. In an oral pharmacokinetic assay in rats, III roughly matched the performance of imatinib mesylate (Tmax, Cmax, and AUC) at half the dosage. III also showed no acute toxicity toward mice at a dose of 2000 mg/kg orally. Although several compds. I are preferred with respect to protein kinase inhibition (no data), II is particularly preferred.

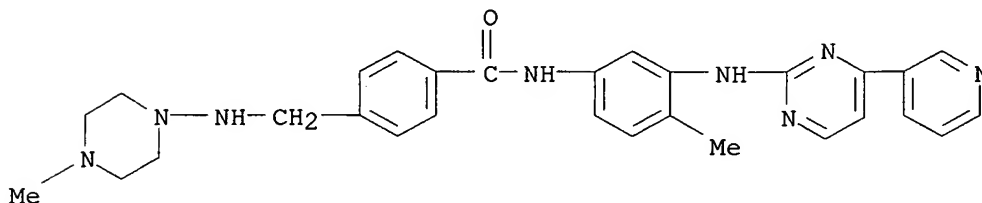
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methyl-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide  
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PKT (Pharmacokinetics); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of phenylpyrimidinamine derivs. related to imatinib mesylate as antitumor agents)

RN 796738-23-3 CAPLUS

CN Benzamide, 4-[[[(4-methyl-1-piperazinyl)amino]methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



IT 796738-24-4P, 4-[[[(4-Methylpiperazin-1-yl)amino]methyl]-N-[4-methyl-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of phenylpyrimidinamine derivs. related to imatinib mesylate as antitumor agents)

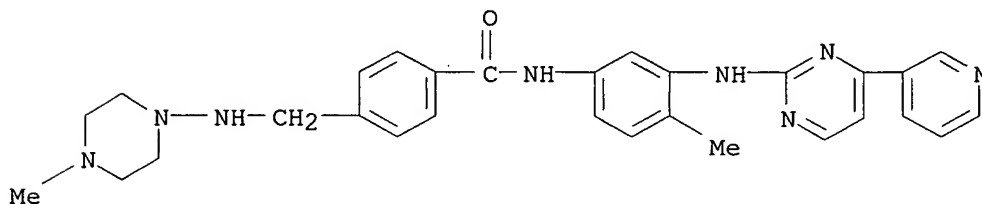
RN 796738-24-4 CAPLUS

CN Benzamide, 4-[[[(4-methyl-1-piperazinyl)amino]methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (9CI) (CA INDEX NAME)

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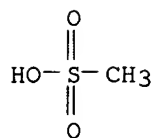
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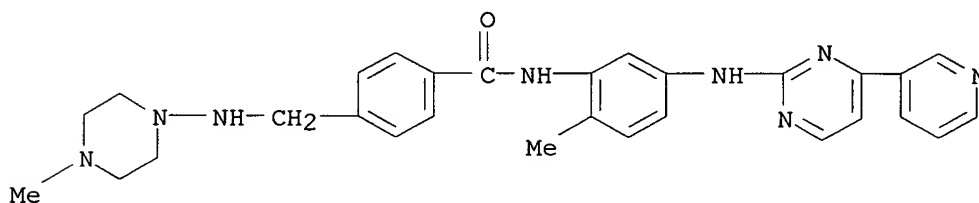
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CRN 75-75-2

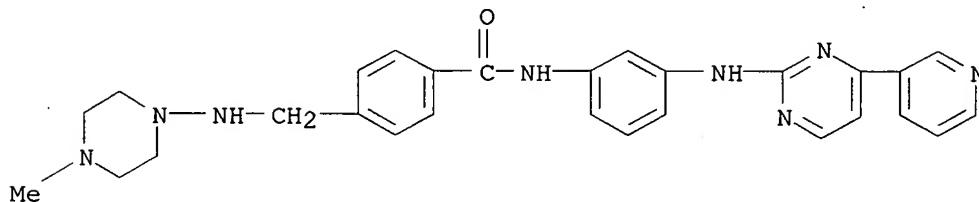
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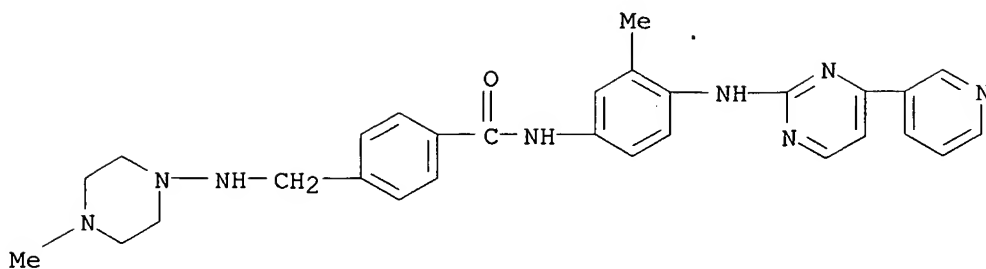
IT 796738-28-8P, 4-[[[(4-Methylpiperazin-1-yl)amino]methyl]-N-[2-methyl-5-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide  
 796738-34-6P, 4-[[[(4-Methylpiperazin-1-yl)amino]methyl]-N-[3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide 796738-38-0P  
 , 4-[[[(4-Methylpiperazin-1-yl)amino]methyl]-N-[3-methyl-4-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide 796738-42-6P,  
 4-[[[(4-Methylpiperazin-1-yl)amino]methyl]-N-[4-methoxy-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide 796738-50-6P,  
 4-[[[(4-Methylpiperazin-1-yl)amino]methyl]-N-[2-fluoro-5-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide 796738-52-8P,  
 4-[[[(4-Methylpiperazin-1-yl)amino]methyl]-N-[4-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (drug candidate; preparation of phenylpyrimidinamine derivs. related to imatinib mesylate as antitumor agents)  
 RN 796738-28-8 CAPLUS  
 CN Benzamide, 4-[[[(4-methyl-1-piperazinyl)amino]methyl]-N-[2-methyl-5-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 796738-34-6 CAPLUS  
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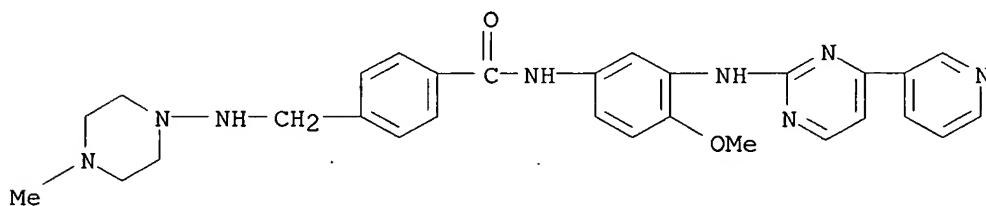


RN 796738-38-0 CAPLUS  
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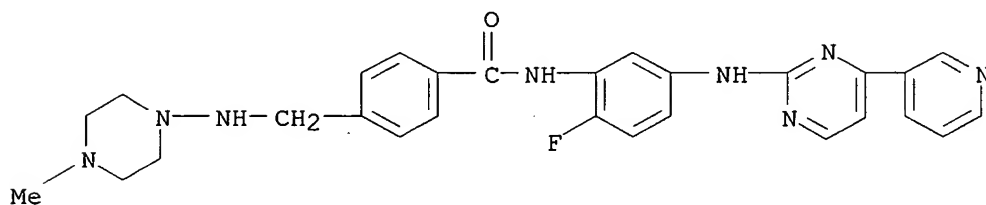
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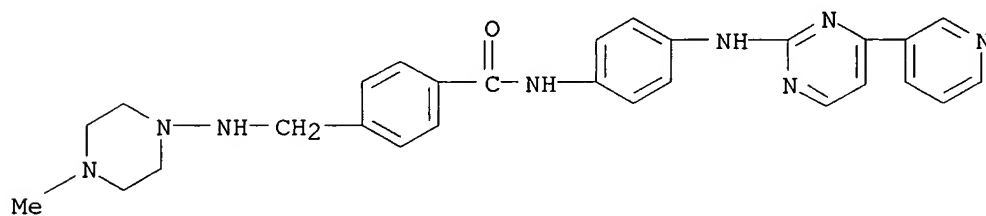
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CN Benzamide, N-[2-fluoro-5-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-[[4-methyl-1-piperazinyl]amino]methyl]- (9CI) (CA INDEX NAME)



RN 796738-52-8 CAPLUS

CN Benzamide, 4-[[4-methyl-1-piperazinyl]amino]methyl]-N-[4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



IT 796738-29-9P, 4-[[4-Methylpiperazin-1-yl]amino]methyl]-N-[2-methyl-5-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 796738-35-7P, 4-[[4-Methylpiperazin-1-yl]amino]methyl]-N-[3-[[4-(pyridin-3-yl)pyrimidin-2-

yl]amino]phenyl]benzamide methanesulfonate 796738-39-1P,  
 4-[[[(4-Methylpiperazin-1-yl)amino]methyl]-N-[3-methyl-4-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate  
 796738-43-7P, 4-[[[(4-Methylpiperazin-1-yl)amino]methyl]-N-[4-methoxy-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide  
 methanesulfonate 796738-51-7P, 4-[[[(4-Methylpiperazin-1-yl)amino]methyl]-N-[2-fluoro-5-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 796738-53-9P,  
 4-[[[(4-Methylpiperazin-1-yl)amino]methyl]-N-[4-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 796738-62-0P,  
 4-[[[(4-Methylpiperazin-1-yl)amino]methyl]-N-[4-methyl-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide acetate 796738-63-1P,  
 4-[[[(4-Methylpiperazin-1-yl)amino]methyl]-N-[4-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide acetate 796738-65-3P,  
 4-[[[(4-Methylpiperazin-1-yl)amino]methyl]-N-[4-methyl-3-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide hydrochloride  
 796738-66-4P, 4-[[[(4-Methylpiperazin-1-yl)amino]methyl]-N-[4-[[4-(pyridin-3-yl)pyrimidin-2-yl]amino]phenyl]benzamide hydrochloride  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of phenylpyrimidinamine derivs. related to imatinib mesylate as antitumor agents)

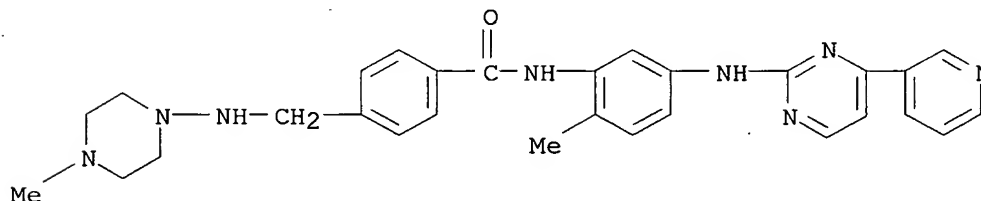
RN 796738-29-9 CAPLUS

CN Benzamide, 4-[[[(4-methyl-1-piperazinyl)amino]methyl]-N-[2-methyl-5-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 796738-28-8

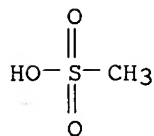
CMF C29 H32 N8 O



CM 2

CRN 75-75-2

CMF C H4 O3 S



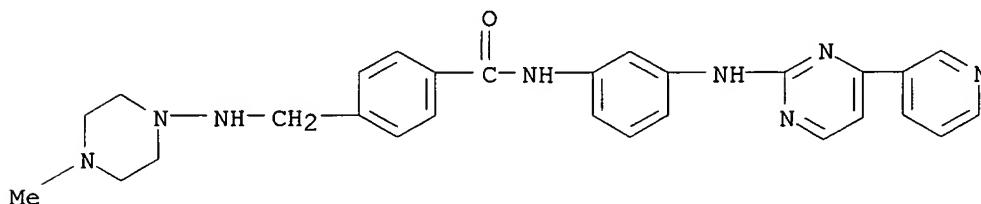
RN 796738-35-7 CAPLUS

CN Benzamide, 4-[[[4-methyl-1-piperazinyl)amino]methyl]-N-[3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 796738-34-6

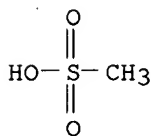
CMF C28 H30 N8 O



CM 2

CRN 75-75-2

CMF C H4 O3 S



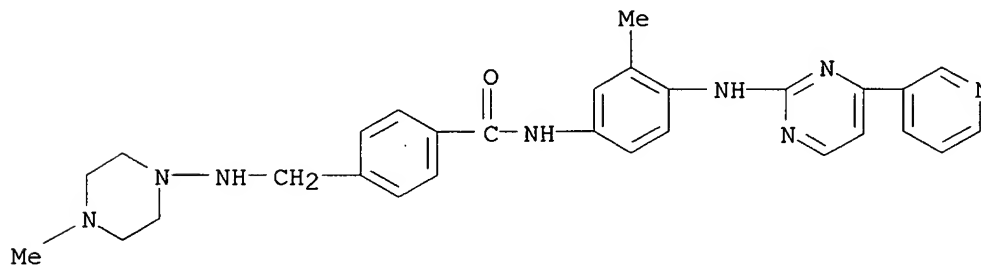
RN 796738-39-1 CAPLUS

CN Benzamide, 4-[[[4-methyl-1-piperazinyl)amino]methyl]-N-[3-methyl-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (9CI) (CA INDEX NAME)

CM 1

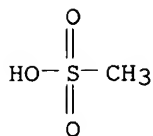
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CMF C29 H32 N8 O



CM 2

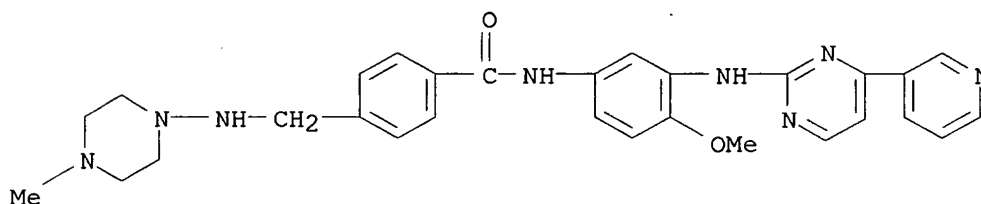
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CMF C H4 O3 S



RN 796738-43-7 CAPLUS  
CN Benzamide, N-[4-methoxy-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-  
[[4-methyl-1-piperazinyl]amino]methyl]-, methanesulfonate (9CI) (CA  
INDEX NAME)

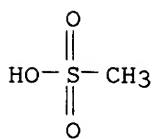
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CRN 796738-42-6  
CMF C29 H32 N8 O2



CM 2

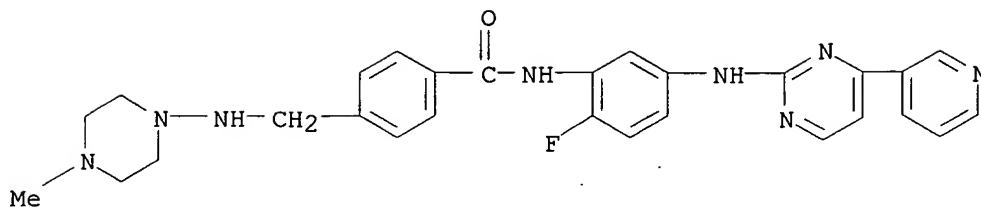
CRN 75-75-2  
CMF C H4 O3 S



RN 796738-51-7 CAPLUS  
CN Benzamide, N-[2-fluoro-5-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-  
[[4-methyl-1-piperazinyl]amino]methyl]-, methanesulfonate (9CI) (CA  
INDEX NAME)

CM 1

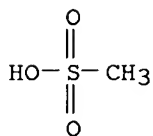
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CMF C28 H29 F N8 O



CM 2

CRN 75-75-2

CMF C H4 O3 S



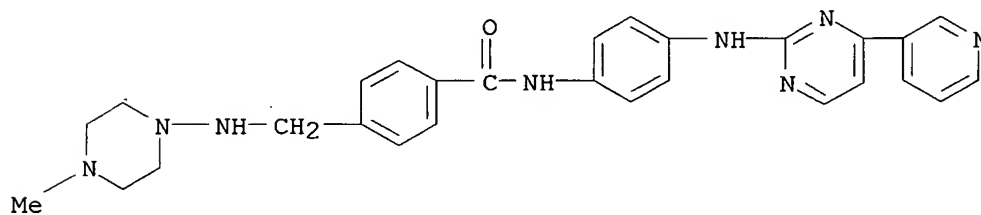
RN 796738-53-9 CAPLUS

CN Benzamide, 4-[[[4-methyl-1-piperazinyl)amino]methyl]-N-[4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 796738-52-8

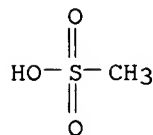
CMF C28 H30 N8 O



CM 2

CRN 75-75-2

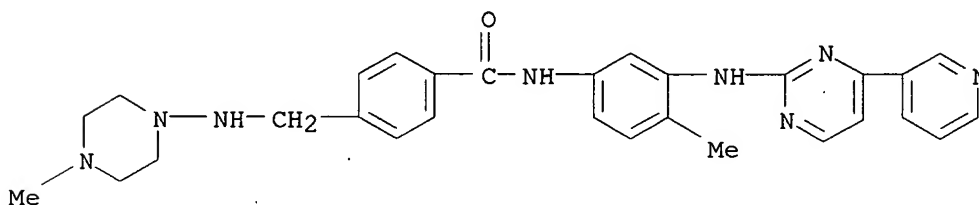
CMF C H4 O3 S



RN 796738-62-0 CAPLUS  
 CN Benzamide, 4-[[[4-methyl-1-piperazinyl)amino]methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, acetate (9CI) (CA INDEX NAME)

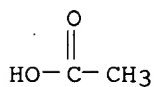
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CRN 796738-23-3  
 CMF C29 H32 N8 O



CM 2

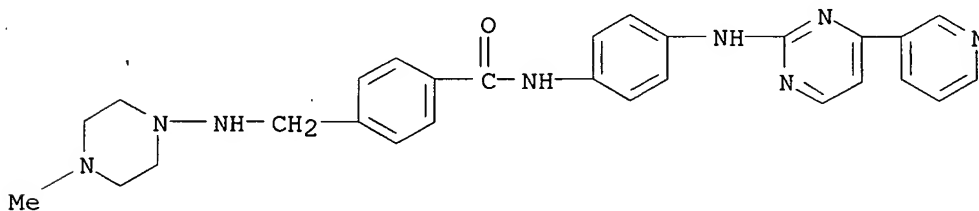
CRN 64-19-7  
 CMF C2 H4 O2



RN 796738-63-1 CAPLUS  
 CN Benzamide, 4-[[[4-methyl-1-piperazinyl)amino]methyl]-N-[4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, acetate (9CI) (CA INDEX NAME)

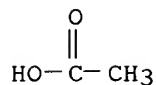
CM 1

CRN 796738-52-8  
 CMF C28 H30 N8 O



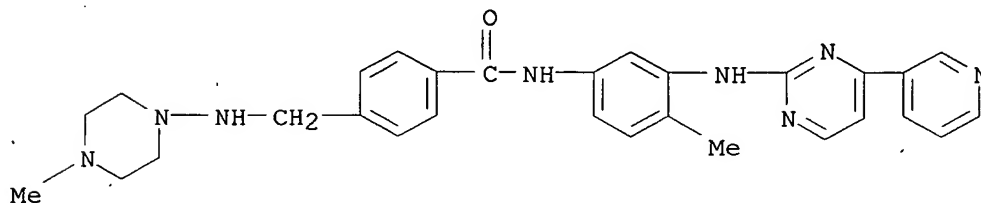
CM 2

CRN 64-19-7  
 CMF C2 H4 O2



RN 796738-65-3 CAPLUS

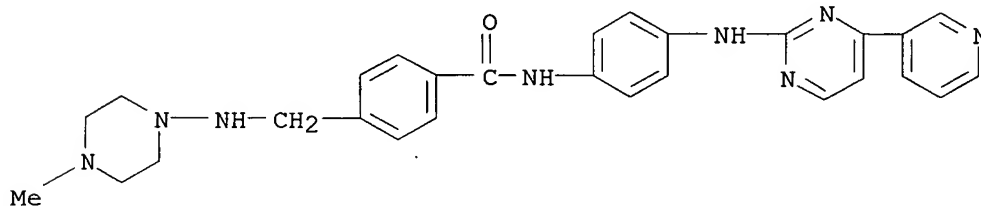
CN Benzamide, 4-[[[4-methyl-1-piperazinyl)amino]methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

RN 796738-66-4 CAPLUS

CN Benzamide, 4-[[[4-methyl-1-piperazinyl)amino]methyl]-N-[4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 16 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:964826 CAPLUS

DN 141:410958

TI Preparation of 2-phenylaminopyrimidine derivatives as tyrosine kinase inhibitors for treatment of cancers

IN Chen, Guoqing P.

PA USA

SO U.S. Pat. Appl. Publ., 25 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004224967	A1	20041111	US 2004-821382	20040409
PRAI	US 2003-466883P	P	20030502		
OS	MARPAT 141:410958				

AB The present invention relates to phenylaminopyrimidine derivs. (I) [X = O, S; Y = a direct bond, O, N, lower alkyl; Z = an aliphatic, cycloaliph., aryl or a heterocyclyl radical; R1 = heterocyclyl; R2 = H, halogen, halo-lower alkyl, lower alkyl, lower alkoxy; R3 = H, lower alkyl; R4 = oxy-lower alkylamino, lower alkoxy-lower alkylamino, oxyheterocyclyl, lower alkyl oxyheterocyclyl, oxy-lower alkylheterocyclyl, lower alkyl oxy-lower alkylheterocyclyl, halo-lower alkylamino, halo-lower alkylheterocyclyl, amino-lower alkylamino, lower alkylamino lower alkylamino, aminoheterocyclyl, lower alkylaminoheterocyclyl, amino-lower alkylheterocyclyl, lower alkylamino-lower alkylheterocyclyl] or pharmaceutically acceptable salts thereof, processes for their preparation, pharmaceutical compns. containing them as active ingredient, methods for the treatment of disease states such as cancers associated with tyrosine kinases, especially Bcr-Abl, to their use as medicaments and to their use in the manufacture of medicaments for use in the production of inhibition of tyrosine kinase reducing effects in warm-blooded animals such as humans. Thus, Mitsunobu reaction of N-(tert-butoxycarbonyl)aminoethanol and 4-hydroxy-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide in CH<sub>2</sub>Cl<sub>2</sub> at room temperature for 4 h gave 4-[2-(tert-butoxycarbonylamino)ethoxy]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide which was treated with 4 N HCl/dioxane, evaporated, mixed with NaHCO<sub>3</sub>, and extracted with EtOAc

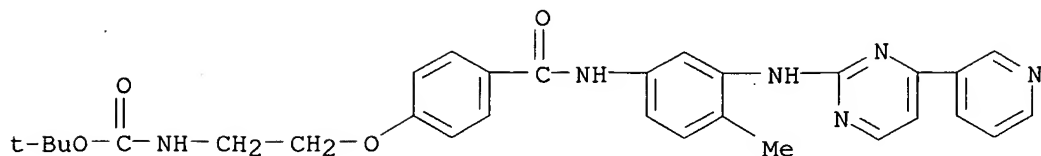
to give 4-(2-aminoethoxy)-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide. No biol. data for the compds. I were given.

IT 791609-57-9P, 4-[2-(tert-Butoxycarbonylamino)ethoxy]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide 791609-64-8P, N-[4-Methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]-4-(1-benzylpyrrolidin-3-ylamino)benzamide 791609-70-6P, 4-[Fluoro(1-benzylpyrrolidin-3-ylamino)methyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of 2-phenylaminopyrimidine derivs. as tyrosine kinase inhibitors for treatment of cancers)

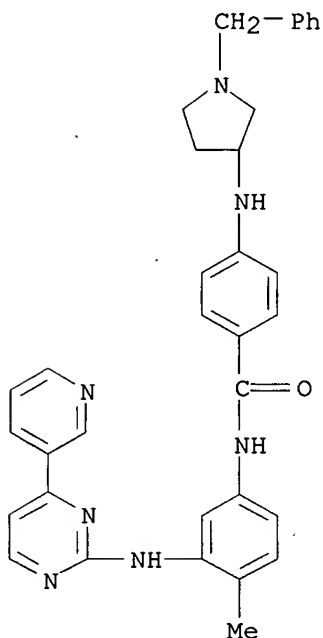
RN 791609-57-9 CAPLUS

CN Carbamic acid, [2-[4-[[[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]amino]carbonyl]phenoxy]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 791609-64-8 CAPLUS

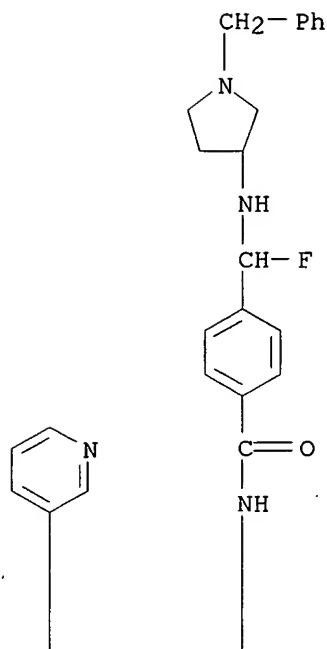
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-[[1-(phenylmethyl)-3-pyrrolidinyl]amino]- (9CI) (CA INDEX NAME)



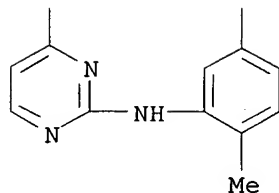
RN 791609-70-6 CAPLUS

CN Benzamide, 4-[fluoro[[1-(phenylmethyl)-3-pyrrolidinyl]amino]methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



IT 791609-56-8P, 4-(2-Aminoethoxy)-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide 791609-58-0P, N-[4-Methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]-4-[(1-methylpyrrolidin-3-yl)amino]benzamide 791609-59-1P, 4-[Fluoro(1-piperazinyl)methyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide 791609-62-6P, N-[4-Methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]-4-[(1-methylpyrrolidin-2-yl)methoxy]benzamide 791609-63-7P, N-[4-Methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]-4-(pyrrolidin-3-ylamino)benzamide 791609-65-9P, 4-(Aminofluoromethyl)-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide 791609-66-0P, 4-[Fluoro(4-methyl-1-piperazinyl)methyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide 791609-67-1P, 4-(Aminodifluoromethyl)-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide 791609-68-2P, 4-[Methyl(1-methylpyrrolidin-3-yl)amino]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide 791609-69-3P, 4-[Fluoro(1-methylpyrrolidin-3-yl)amino]methyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-

2-yl]amino]phenyl]benzamide 791609-71-7P, 4-[[[2-(Dimethylamino)ethyl]amino]fluoromethyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide 791609-72-8P, 4-[Difluoro(1-piperazinyl)methyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide 791609-73-9P, 4-[Difluoro(4-methyl-1-piperazinyl)methyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide 791609-74-0P, 4-[[[2-(Dimethylamino)ethyl]amino]difluoromethyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide 791609-75-1P, 4-[Fluoro[N-methyl(1-methylpyrrolidin-3-yl)amino]methyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide 791609-76-2P, 4-[Fluoro(pyrrolidin-3-ylamino)methyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide 791609-77-3P, 4-[(4-Ethyl-1-piperazinyl)difluoromethyl]N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide 791609-78-4P, 4-[(4-Ethyl-1-piperazinyl)fluoromethyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide 791609-79-5P, 4-[Difluoro[methyl(1-methylpyrrolidin-3-yl)amino]methyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide 791609-80-8P, 4-[Difluoro(1-methylpyrrolidin-3-ylamino)methyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide 791609-81-9P, 4-[Difluoro(pyrrolidin-3-ylamino)methyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide 791609-82-0P, 4-[[Methyl(1-methylpyrrolidin-3-yl)amino]methyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide 791609-84-2P, 4-[[[1-Methylpyrrolidin-3-yl]amino]methyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide 791609-85-3P, 4-[(Pyrrolidin-3-ylamino)methyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide 791609-87-5P, 4-(2-Aminoethoxy)-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 791609-88-6P, N-[4-Methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]-4-[(1-methylpyrrolidin-3-yl)amino]benzamide methanesulfonate 791609-89-7P, 4-[Fluoro(1-piperazinyl)methyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 791609-90-0P, N-[4-Methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]-4-[(1-methylpyrrolidin-2-yl)methoxy]benzamide methanesulfonate 791609-91-1P, N-[4-Methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]-4-(pyrrolidin-3-ylamino)benzamide methanesulfonate 791609-92-2P, 4-(Aminofluoromethyl)-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 791609-93-3P, 4-[Fluoro(4-methyl-1-piperazinyl)methyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 791609-94-4P, 4-(Aminodifluoromethyl)-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 791609-95-5P, 4-[Methyl(1-methylpyrrolidin-3-yl)amino]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 791609-97-7P, 4-[Fluoro(1-methylpyrrolidin-3-ylamino)methyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 791609-98-8P, 4-[[[2-(Dimethylamino)ethyl]amino]fluoromethyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 791609-99-9P, 4-[Difluoro(1-piperazinyl)methyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 791610-00-9P, 4-[Difluoro(4-methyl-1-piperazinyl)methyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 791610-01-0P, 4-[[[2-(Dimethylamino)ethyl]amino]difluoromethyl]-N-[4-methyl-3-[[4-(3-

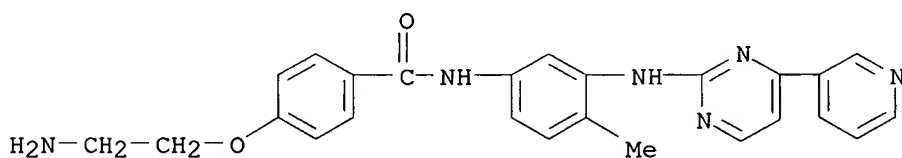
pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 791610-02-1P, 4-[Fluoro[methyl(1-methylpyrrolidin-3-yl)amino]methyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 791610-03-2P, 4-[Fluoro(pyrrolidin-3-ylamino)methyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 791610-04-3P, 4-[(4-Ethyl-1-piperazinyl)difluoromethyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 791610-05-4P, 4-[(4-Ethyl-1-piperazinyl)fluoromethyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 791610-06-5P, 4-[Difluoro[methyl(1-methylpyrrolidin-3-yl)amino]methyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 791610-07-6P, 4-[Difluoro(1-methylpyrrolidin-3-ylamino)methyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 791610-08-7P, 4-[Difluoro(pyrrolidin-3-ylamino)methyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 791610-09-8P, 4-[[Methyl(1-methylpyrrolidin-3-yl)amino]methyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 791610-10-1P, 4-[[[(1-Methylpyrrolidin-3-yl)amino]methyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate 791610-11-2P, 4-[(Pyrrolidin-3-ylamino)methyl]-N-[4-methyl-3-[[4-(3-pyridyl)pyrimidin-2-yl]amino]phenyl]benzamide methanesulfonate

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-phenylaminopyrimidine derivs. as tyrosine kinase inhibitors for treatment of cancers)

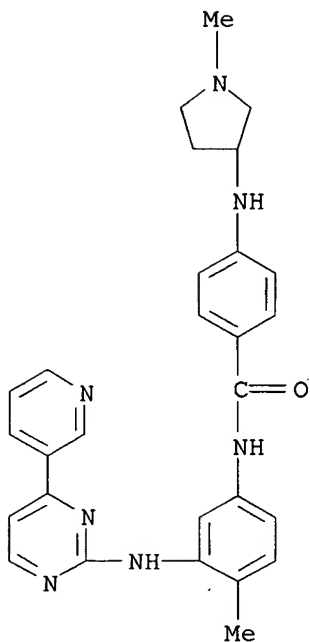
RN 791609-56-8 CAPLUS

CN Benzamide, 4-(2-aminoethoxy)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



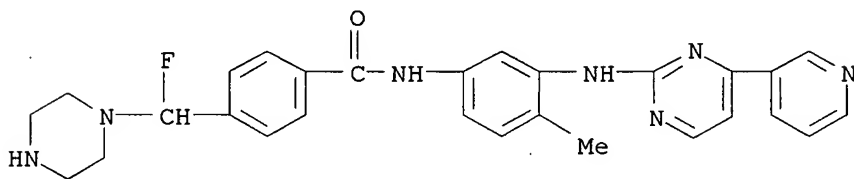
RN 791609-58-0 CAPLUS

CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-[(1-methyl-3-pyrrolidinyl)amino]-. (9CI) (CA INDEX NAME)



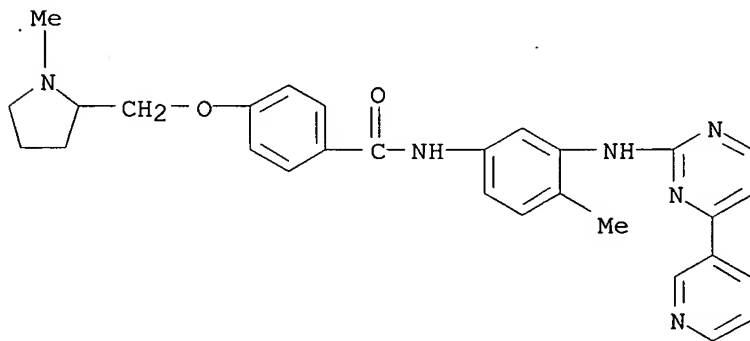
RN 791609-59-1 CAPLUS

CN Benzamide, 4-(fluoro-1-piperazinylmethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



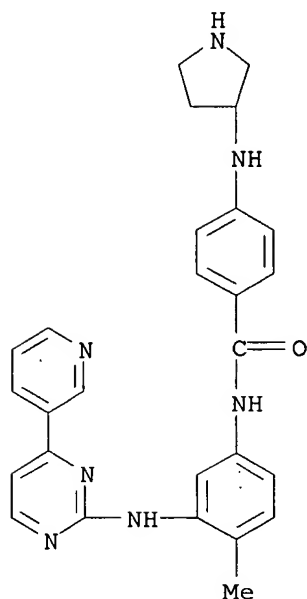
RN 791609-62-6 CAPLUS

CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-[(1-methyl-2-pyrrolidinyl)methoxy]- (9CI) (CA INDEX NAME)



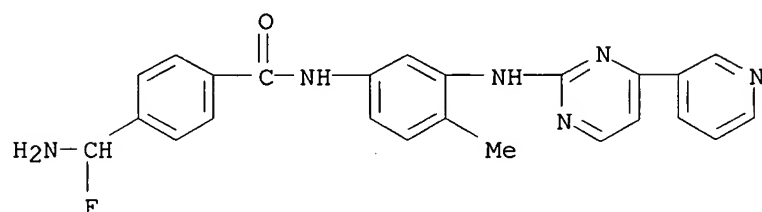
RN 791609-63-7 CAPLUS

CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-(3-pyrrolidinylamino)- (9CI) (CA INDEX NAME)



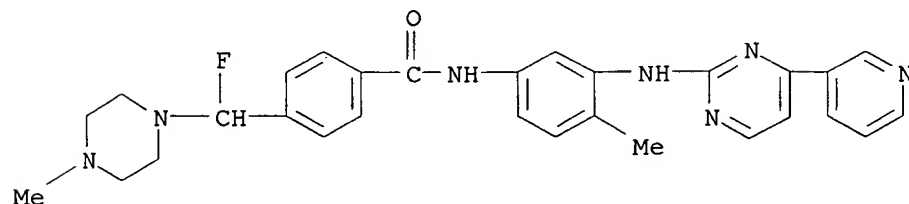
RN 791609-65-9 CAPLUS

CN Benzamide, 4-(aminofluoromethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 791609-66-0 CAPLUS

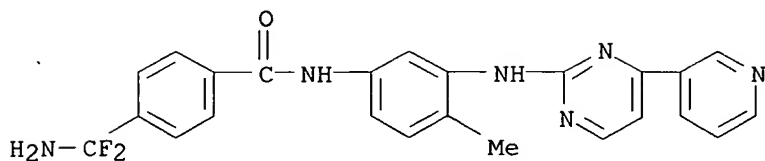
CN Benzamide, 4-[fluoro(4-methyl-1-piperazinyl)methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 791609-67-1 CAPLUS

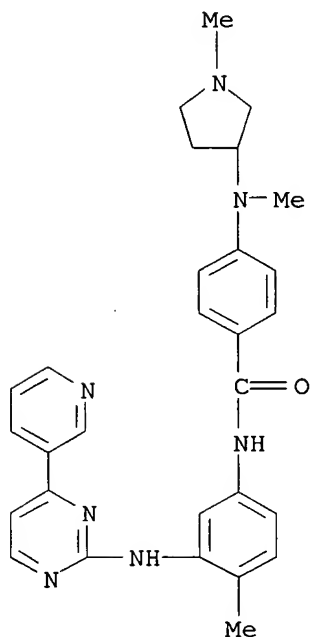
CN Benzamide, 4-(aminodifluoromethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-

pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 791609-68-2 CAPLUS

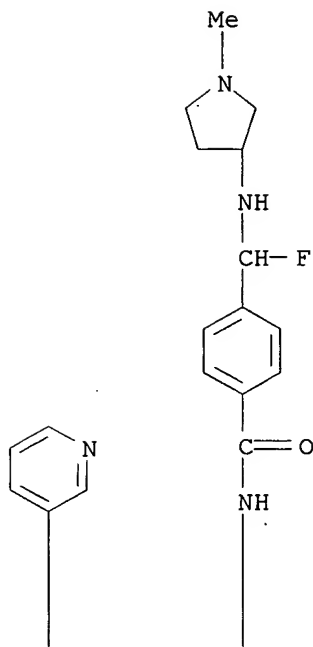
CN Benzamide, 4-[methyl(1-methyl-3-pyrrolidinyl)amino]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



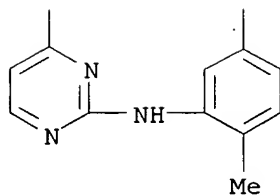
RN 791609-69-3 CAPLUS

CN Benzamide, 4-[fluoro[(1-methyl-3-pyrrolidinyl)amino]methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

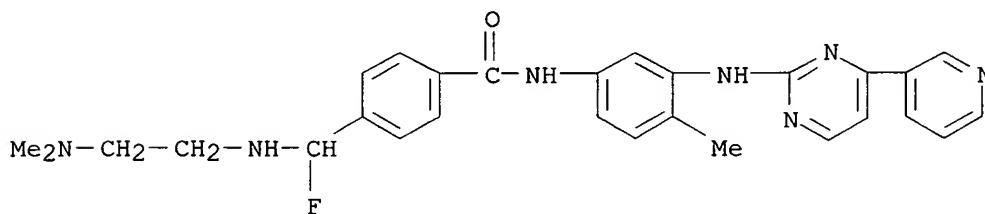
PAGE 1-A



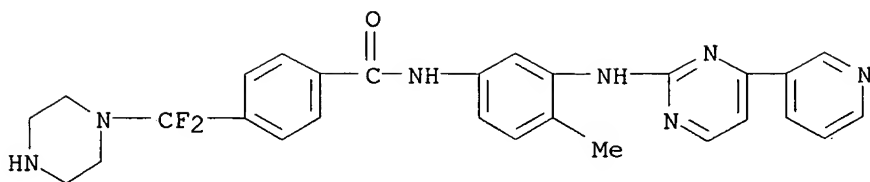
PAGE 2-A



RN 791609-71-7 CAPLUS  
 CN Benzamide, 4-[[[2-(dimethylamino)ethyl]amino]fluoromethyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

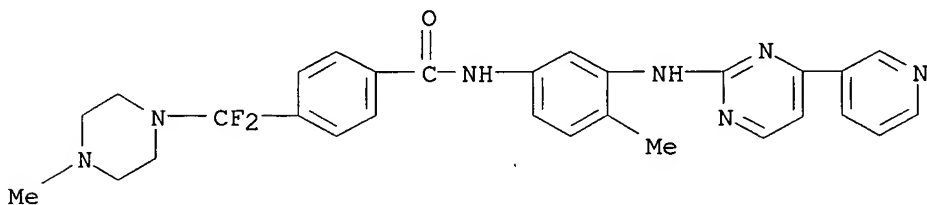


RN 791609-72-8 CAPLUS  
 CN Benzamide, 4-(difluoro-1-piperazinylmethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



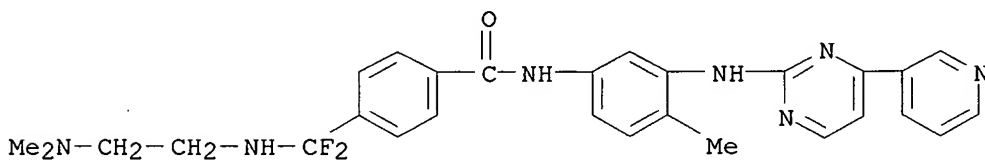
RN 791609-73-9 CAPLUS

CN Benzamide, 4-[difluoro(4-methyl-1-piperazinyl)methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 791609-74-0 CAPLUS

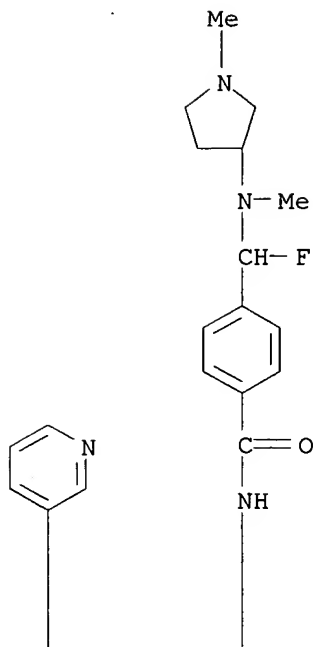
CN Benzamide, 4-[[[2-(dimethylamino)ethyl]amino]difluoromethyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



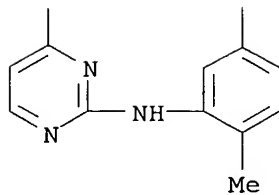
RN 791609-75-1 CAPLUS

CN Benzamide, 4-[fluoro[methyl(1-methyl-3-pyrrolidinyl)amino]methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

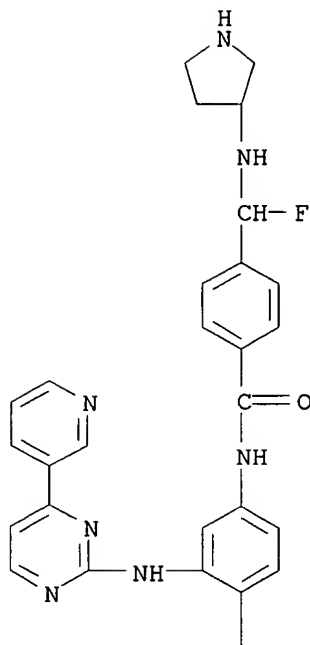


PAGE 2-A



RN 791609-76-2 CAPLUS  
 CN Benzamide, 4-[fluoro(3-pyrrolidinylamino)methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

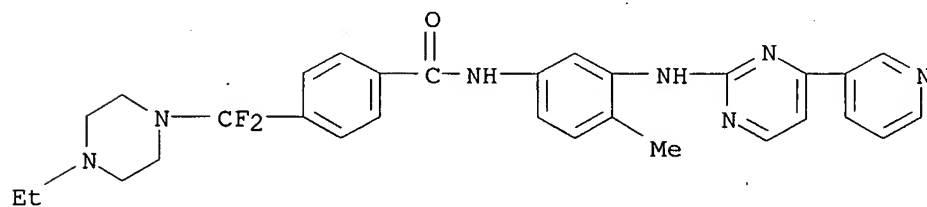
PAGE 1-A



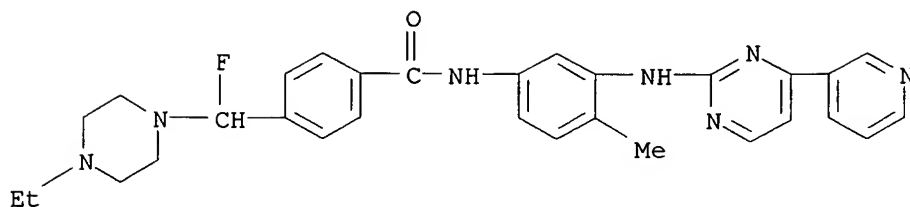
PAGE 2-A



RN 791609-77-3 CAPLUS  
 CN Benzamide, 4-[(4-ethyl-1-piperazinyl)difluoromethyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



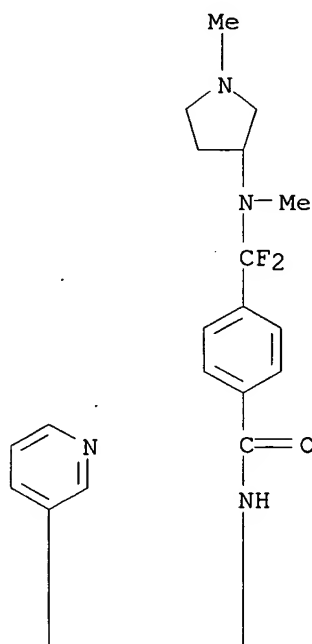
RN 791609-78-4 CAPLUS  
 CN Benzamide, 4-[(4-ethyl-1-piperazinyl)fluoromethyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



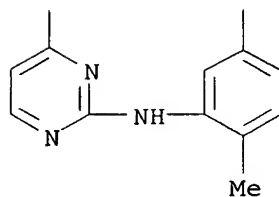
RN 791609-79-5 CAPLUS

CN Benzamide, 4-[difluoro[methyl(1-methyl-3-pyrrolidinyl)amino]methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



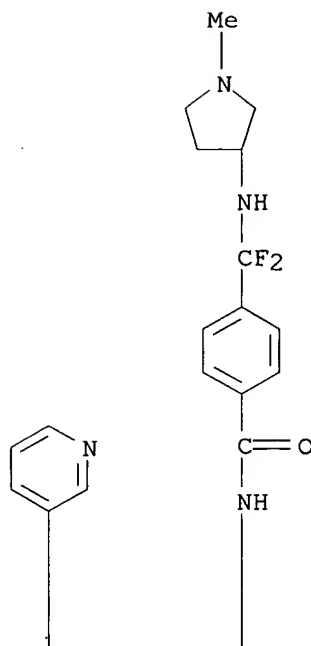
PAGE 2-A



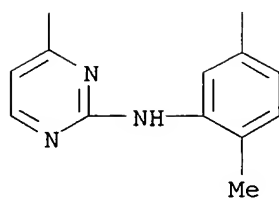
RN 791609-80-8 CAPLUS

CN Benzamide, 4-[difluoro[(1-methyl-3-pyrrolidinyl)amino]methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



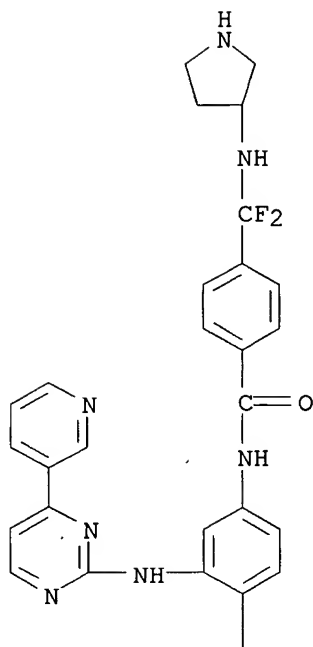
PAGE 2-A



RN 791609-81-9 CAPLUS

CN Benzamide, 4-[difluoro(3-pyrrolidinylamino)methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

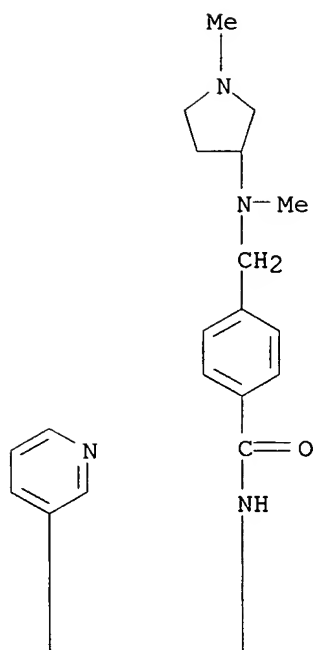


PAGE 2-A

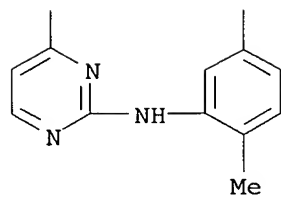
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RN 791609-82-0 CAPLUS  
 CN Benzamide, 4-[[methyl(1-methyl-3-pyrrolidinyl)amino]methyl]-N-[4-methyl-3-  
 [[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

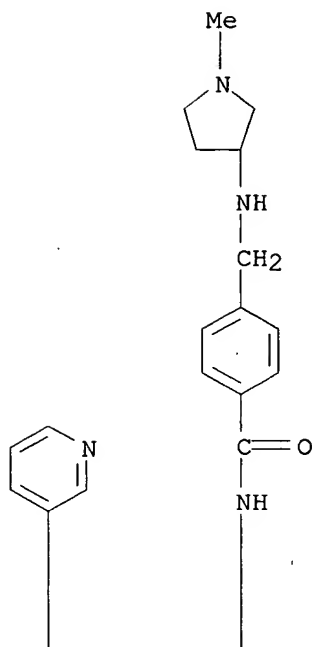


PAGE 2-A

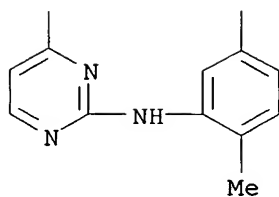


RN 791609-84-2 CAPLUS  
 CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-  
 [[(1-methyl-3-pyrrolidinyl)amino]methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

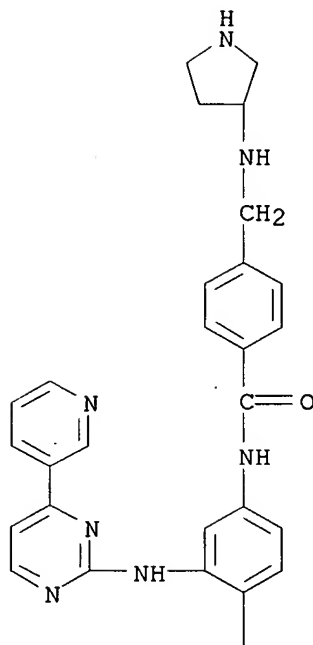


PAGE 2-A



RN 791609-85-3 CAPLUS  
 CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-  
 [(3-pyrrolidinylamino)methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



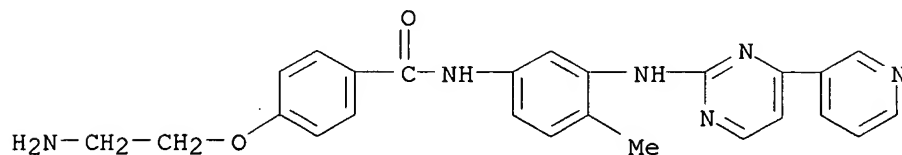
PAGE 2-A



RN 791609-87-5 CAPLUS  
 CN Benzamide, 4-(2-aminoethoxy)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (9CI) (CA INDEX NAME)

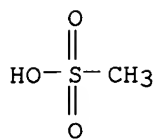
CM 1

CRN 791609-56-8  
 CMF C25 H24 N6 O2



CM 2

CRN 75-75-2  
 CMF C H4 O3 S



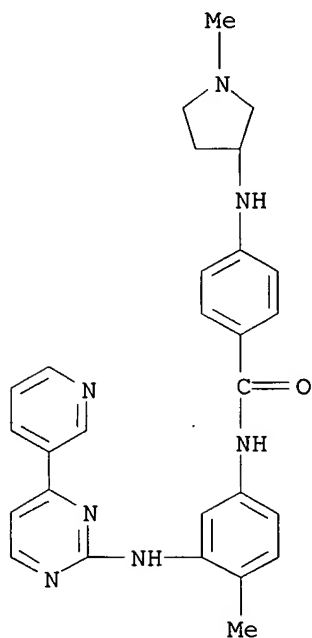
RN 791609-88-6 CAPLUS

CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-[(1-methyl-3-pyrrolidinyl)amino]-, methanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 791609-58-0

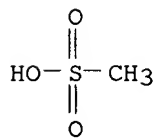
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CM 2

CRN 75-75-2

CMF C H4 O3 S



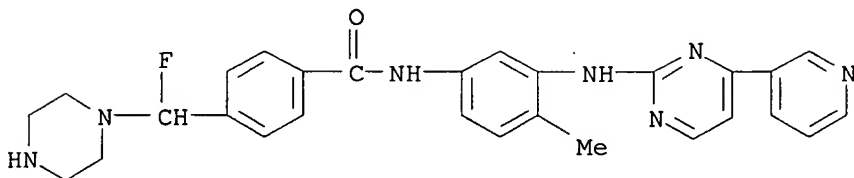
RN 791609-89-7 CAPLUS

CN Benzamide, 4-(fluoro-1-piperazinylmethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 791609-59-1

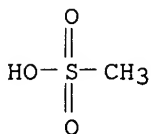
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CM 2

CRN 75-75-2

CMF C H4 O3 S



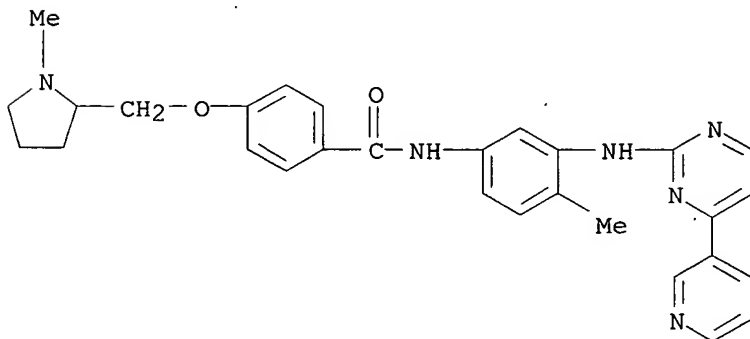
RN 791609-90-0 CAPLUS

CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-[(1-methyl-2-pyrrolidinyl)methoxy]-, methanesulfonate (9CI) (CA INDEX NAME)

CM 1

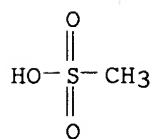
CRN 791609-62-6

CMF C29 H30 N6 O2



CM 2

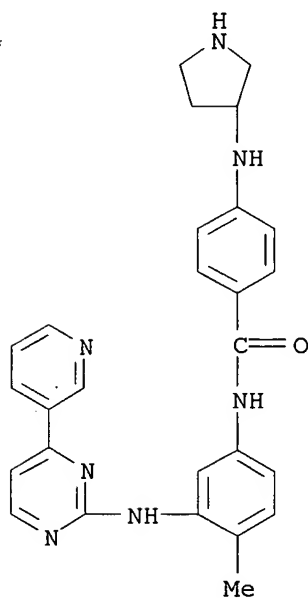
CRN 75-75-2  
CMF C H4 O3 S



RN 791609-91-1 CAPLUS  
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-(3-pyrrolidinylamino)-, methanesulfonate (9CI) (CA INDEX NAME)

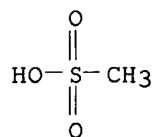
CM 1

CRN 791609-63-7  
CMF C27 H27 N7 O



CM 2

CRN 75-75-2  
CMF C H4 O3 S



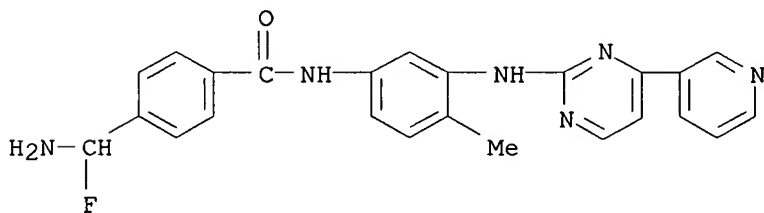
RN 791609-92-2 CAPLUS

CN Benzamide, 4-(aminofluoromethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 791609-65-9

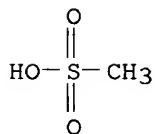
CMF C24 H21 F N6 O



CM 2

CRN 75-75-2

CMF C H4 O3 S



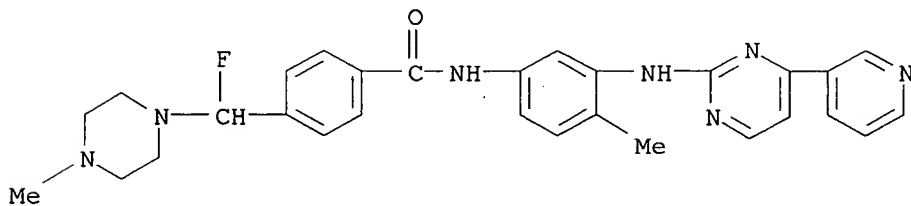
RN 791609-93-3 CAPLUS

CN Benzamide, 4-[fluoro(4-methyl-1-piperazinyl)methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (9CI) (CA INDEX NAME)

CM 1

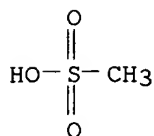
CRN 791609-66-0

CMF C29 H30 F N7 O



CM 2

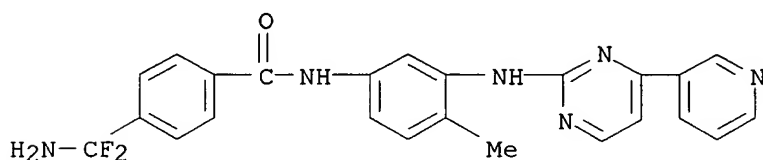
CRN 75-75-2  
CMF C H4 O3 S



RN 791609-94-4 CAPLUS  
CN Benzamide, 4-(aminodifluoromethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (9CI) (CA INDEX NAME)

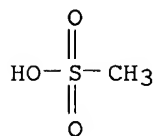
CM 1

CRN 791609-67-1  
CMF C24 H20 F2 N6 O



CM 2

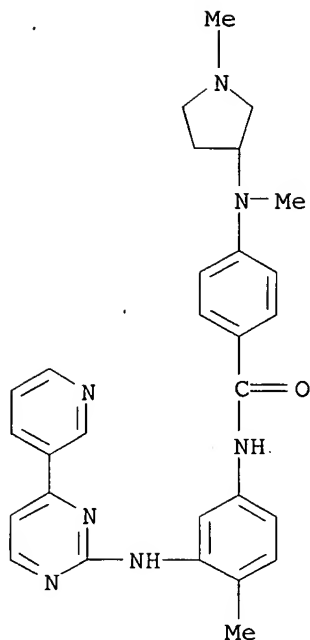
CRN 75-75-2  
CMF C H4 O3 S



RN 791609-95-5 CAPLUS  
CN Benzamide, 4-[methyl(1-methyl-3-pyrrolidinyl)amino]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (9CI) (CA INDEX NAME)

CM 1

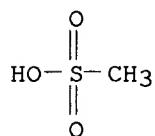
CRN 791609-68-2  
CMF C29 H31 N7 O



CM 2

CRN 75-75-2

CMF C H4 O3 S



RN 791609-97-7 CAPLUS

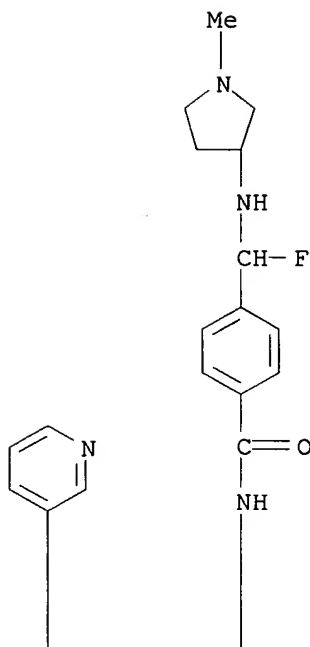
CN Benzamide, 4-[fluoro[(1-methyl-3-pyrrolidinyl)amino]methyl]-N-[4-methyl-3-  
[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (9CI)  
(CA INDEX NAME)

CM 1

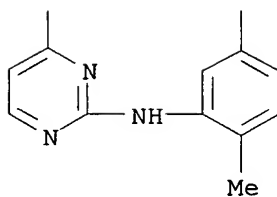
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CMF C29 H30 F N7 O

PAGE 1-A



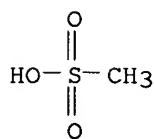
PAGE 2-A



CM 2

CRN 75-75-2

CMF C H4 O3 S



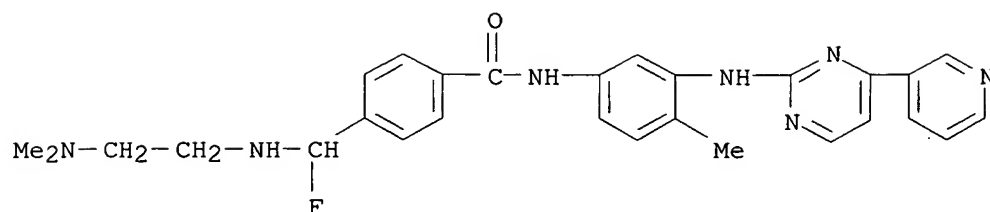
RN 791609-98-8 CAPLUS

CN Benzamide, 4-[[[2-(dimethylamino)ethyl]amino]fluoromethyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (9CI)  
(CA INDEX NAME)

CM 1

CRN 791609-71-7

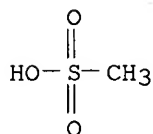
CMF C28 H30 F N7 O



CM 2

CRN 75-75-2

CMF C H4 O3 S



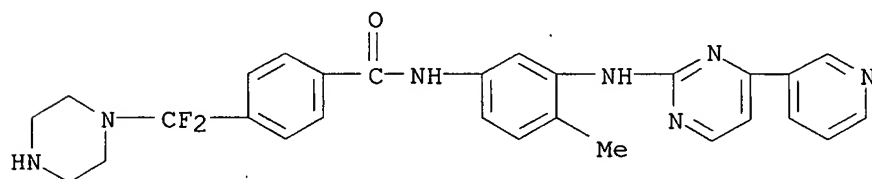
RN 791609-99-9 CAPLUS

CN Benzamide, 4-(difluoro-1-piperazinylmethyl)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 791609-72-8

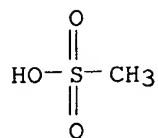
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CM 2

CRN 75-75-2

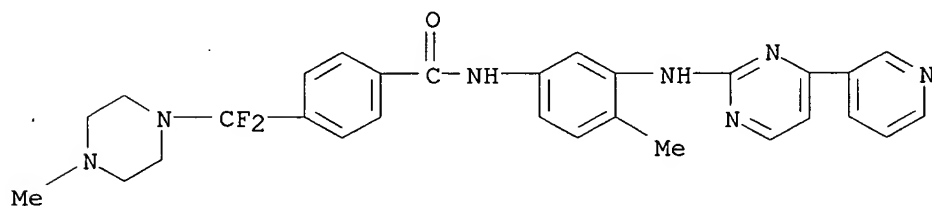
CMF C H4 O3 S



RN 791610-00-9 CAPLUS  
 CN Benzamide, 4-[difluoro(4-methyl-1-piperazinyl)methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (9CI) (CA INDEX NAME)

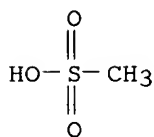
CM 1

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 CMF C29 H29 F2 N7 O



CM 2

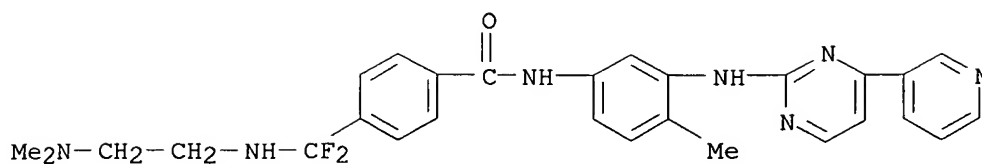
CRN 75-75-2  
 CMF C H4 O3 S



RN 791610-01-0 CAPLUS  
 CN Benzamide, 4-[[[2-(dimethylamino)ethyl]amino]difluoromethyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (9CI) (CA INDEX NAME)

CM 1

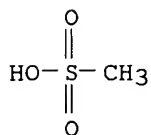
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CM 2

CRN 75-75-2

CMF C H4 O3 S



RN 791610-02-1 CAPLUS

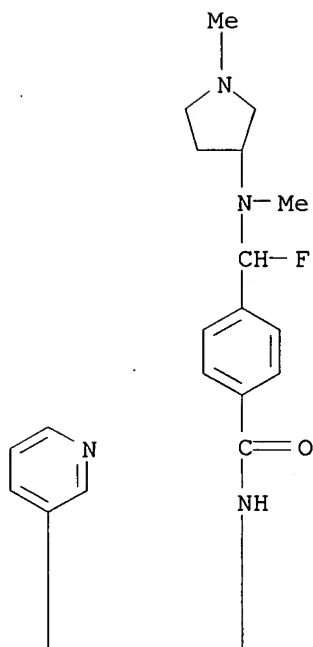
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CM 1

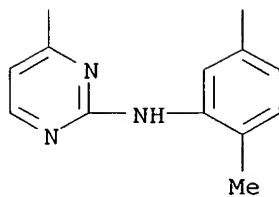
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CMF C30 H32 F N7 O

PAGE 1-A



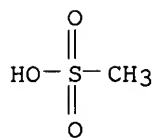
PAGE 2-A



CM 2

CRN 75-75-2

CMF C H4 O3 S



RN 791610-03-2 CAPLUS

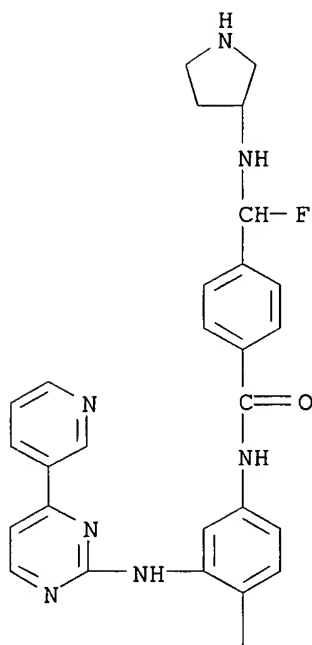
CN Benzamide, 4-[fluoro(3-pyrrolidinylamino)methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 791609-76-2

CMF C28 H28 F N7 O

PAGE 1-A



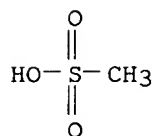
PAGE 2-A

Me

CM 2

CRN 75-75-2

CMF C H4 O3 S



RN 791610-04-3 CAPLUS

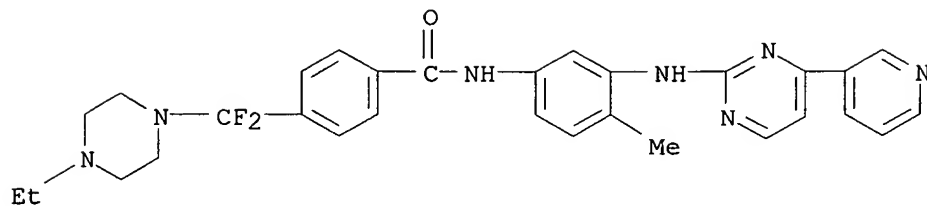
CN Benzamide, 4-[(4-ethyl-1-piperazinyl)difluoromethyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (9CI) (CA INDEX

NAME)

CM 1

CRN 791609-77-3

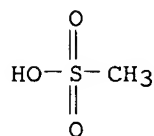
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CM 2

CRN 75-75-2

CMF C H4 O3 S



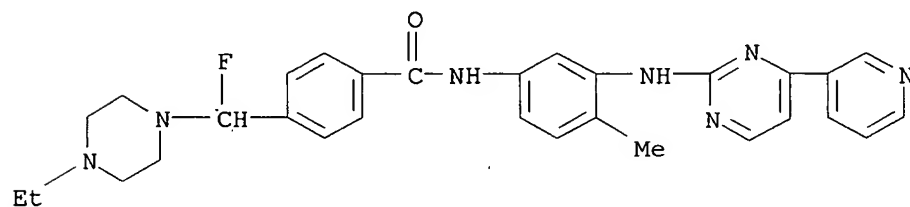
RN 791610-05-4 CAPLUS

CN Benzamide, 4-[(4-ethyl-1-piperazinyl)fluoromethyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (9CI) (CA INDEX NAME)

CM 1

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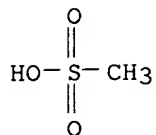
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CM 2

CRN 75-75-2

CMF C H4 O3 S

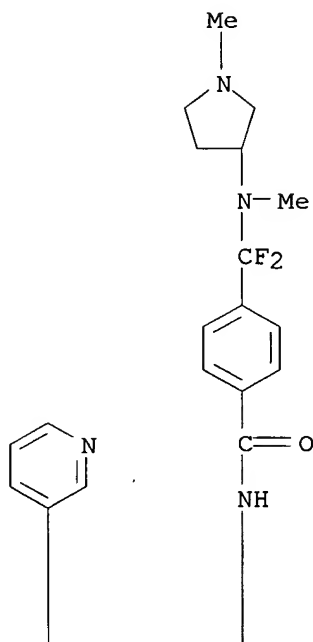


RN 791610-06-5 CAPLUS  
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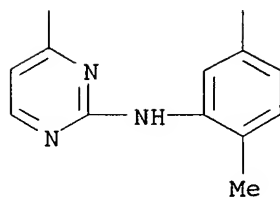
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 CMF C30 H31 F2 N7 O

PAGE 1-A



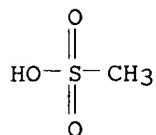
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CM 2

CRN 75-75-2

CMF C H4 O3 S



RN 791610-07-6 CAPLUS

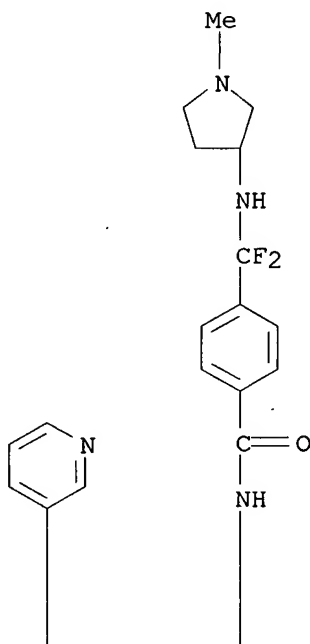
CN Benzamide, 4-[difluoro[(1-methyl-3-pyrrolidinyl)amino]methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (9CI)  
(CA INDEX NAME)

CM 1

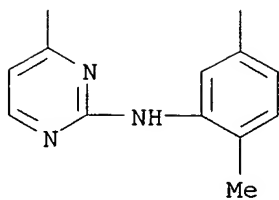
CRN 791609-80-8

CMF C29 H29 F2 N7 O

PAGE 1-A



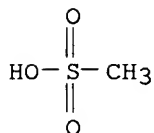
PAGE 2-A



CM 2

CRN 75-75-2

CMF C H4 O3 S



RN 791610-08-7 CAPLUS

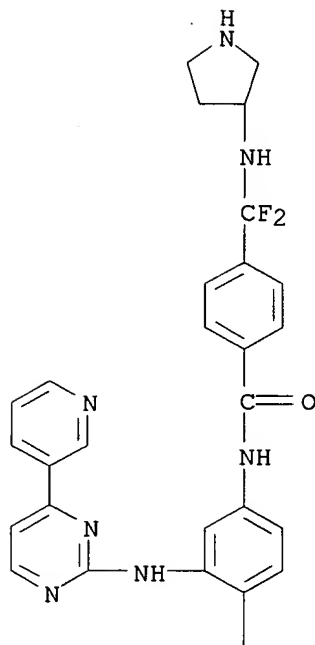
CN Benzamide, 4-[difluoro(3-pyrrolidinylamino)methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 791609-81-9

CMF C28 H27 F2 N7 O

PAGE 1-A



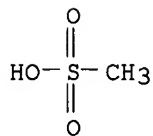
PAGE 2-A

Me

CM 2

CRN 75-75-2

CMF C H4 O3 S



RN 791610-09-8 CAPLUS

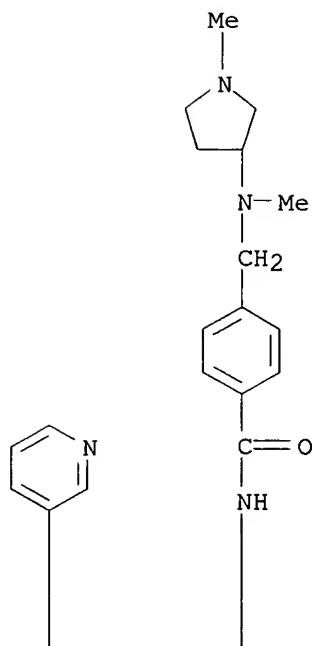
CN Benzamide, 4-[[methyl(1-methyl-3-pyrrolidinyl)amino]methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-, methanesulfonate (9CI)  
(CA INDEX NAME)

CM 1

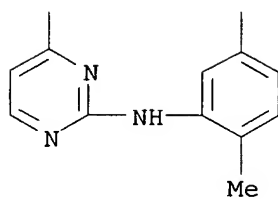
CRN 791609-82-0

CMF C30 H33 N7 O

PAGE 1-A



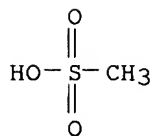
PAGE 2-A



CM 2

CRN 75-75-2

CMF C H4 O3 S



RN 791610-10-1 CAPLUS

CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl-, methanesulfonate (9CI) (CA

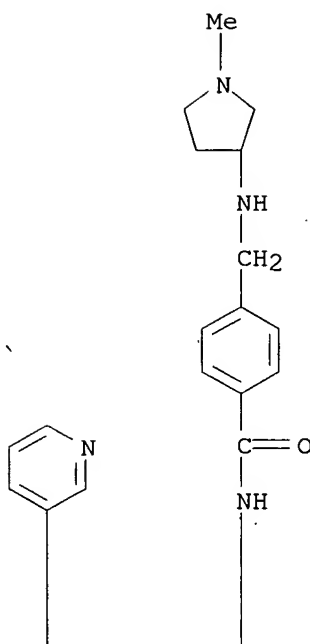
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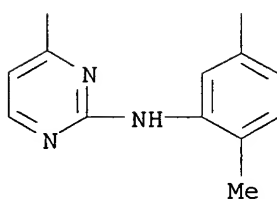
CRN 791609-84-2

CMF C29 H31 N7 O

PAGE 1-A



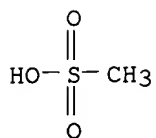
PAGE 2-A



CM 2

CRN 75-75-2

CMF C H4 O3 S



RN 791610-11-2 CAPLUS

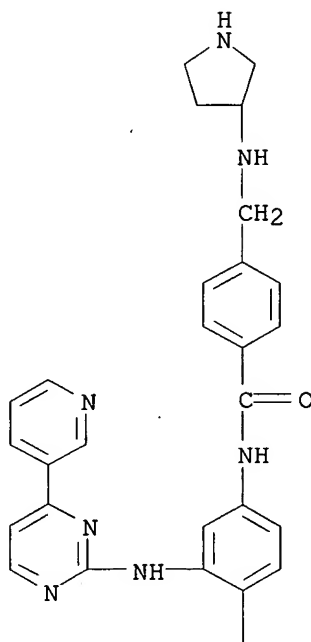
CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-  
[(3-pyrrolidinylamino)methyl]-, methanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 791609-85-3

CMF C28 H29 N7 O

PAGE 1-A



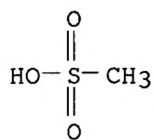
PAGE 2-A

Me

CM 2

CRN 75-75-2

CMF C H4 O3 S



L6 ANSWER 17 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:857595 CAPLUS

DN 141:350190

TI Preparation of thiazoles as inhibitors of protein kinases

IN Brenchley, Guy; Farmer, Luc J.; Harrington, Edmund Martin; Knegtel, Ronald; O'Donnell, Michael; Salituro, Francesco G.; Studley, John R.; Wang, Jian

PA Vertex Pharmaceuticals Incorporated, USA

SO PCT Int. Appl., 139 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004087699	A2	20041014	WO 2004-US9166	20040325
	WO 2004087699	A3	20041209		
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	RW:				
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	AU 2004225977	A1	20041014	AU 2004-225977	20040325
	CA 2523126	AA	20041014	CA 2004-2523126	20040325
	US 2005004150	A1	20050106	US 2004-809946	20040325
	EP 1605946	A2	20051221	EP 2004-758338	20040325
	R:				
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PRAI	US 2003-457468P	P	20030325		
	WO 2004-US9166	W	20040325		

OS MARPAT 141:350190

AB The title compds. [I; R1, R2 = R, halo, CN, NO2, etc.; R = H, (un)substituted alkyl; Ar1 = (un)substituted aryl, heteroaryl, etc.; R3, R4 = ZR7; or R3 and R4 are taken together to form (un)substituted (un)saturated 3-8 membered ring having 0-3 heteroatoms; Z = a bond, alkylidene, etc.; R7 = halo, CN, NO2, etc.], useful of inhibitors of protein kinases, were prepared E.g., a multi-step synthesis of II, starting from benzothiazole, was given. The compds. I were tested against SYK and ZAP-70 kinases (data given for representative compds. I). The invention also provides pharmaceutically acceptable compns. comprising said compds. I and methods of using the compns. in the treatment of various disease, conditions, or disorders.

IT 774229-56-0P

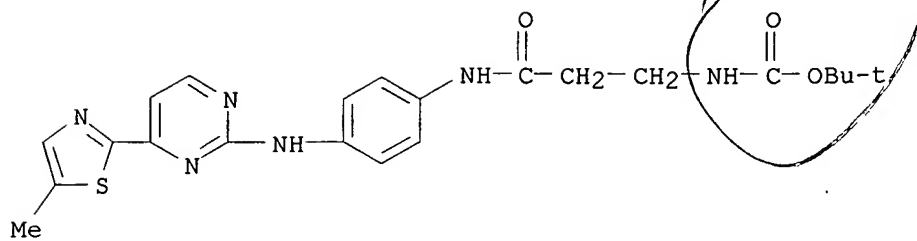
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thiazoles as inhibitors of protein kinases)

RN 774229-56-0 CAPLUS

CN Carbamic acid, [3-[[4-[[4-(5-methyl-2-thiazolyl)-2-pyrimidinyl]amino]phenyl]amino]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

10/821,382



L6 ANSWER 18 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2004:817608 CAPLUS  
 DN 141:308988  
 TI N-phenyl-[(4-pyridyl)-azinyl]amine derivatives as plant protection agents  
 IN Ackermann, Peter; Stierli, Daniel; Diggelmann, Martin; Cederbaum, Fredrik  
 Emil Malcolm; Wenger, Jean-Frederic; Tutulaer, Gerardus Theodorus Maria  
 PA Syngenta Participations A.-G., Switz.  
 SO PCT Int. Appl., 65 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004084634	A1	20041007	WO 2004-IB1075	20040325
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004224570	A1	20041007	AU 2004-224570	20040325
	CA 2519288	AA	20041007	CA 2004-2519288	20040325
	EP 1613156	A1	20060111	EP 2004-723281	20040325
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	BR 2004008947	A	20060404	BR 2004-8947	20040325
	CN 1764380	A	20060426	CN 2004-80008134	20040325
PRAI	GB 2003-7268	A	20030328		
	WO 2004-IB1075	A	20040325		

OS MARPAT 141:308988

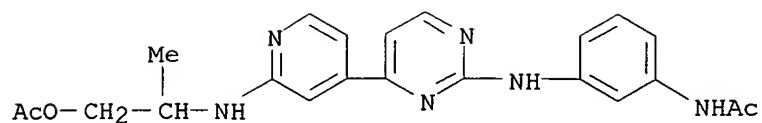
AB A method of controlling and preventing an infection of crop plants by phytopathogenic microorganisms comprises the application of the title compds. (I, wherein A and A' are both N, both CH, or A is CH and A' is N; j = 0, 1; R1 = (un)substituted hydrazino, cyclohexylamino, piperazinyl, etc.; R2 = H, halo, C1-C4 alkyl, etc.; R3 = H, halo, C1-C4 alkyl, OH, CN, etc.; R4, R5 and R6 = independently H, halo, (un)substituted alkyl, alkenyl, or thioalkyl, etc.). Thus, 5-wk-old grape seedlings cv. Gutedel were treated with formulated I, where A = CH, A' = N, n = 0, R1 = NHCH2CH2CH2OH, R2, R3, R5 and R6 = H, and R4 = NO2, at 0.02% active substance in a spray chamber. One day after application grape plants were inoculated with a sporangial suspension of Plasmopara viticola. After incubation for 6 days at 22° and 95% r. h. in a greenhouse, the control of the fungal infection was >70%.

IT 379736-10-4 768389-02-2

RL: AGR (Agricultural use); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)  
 (antimicrobial agent for crop plant protection)

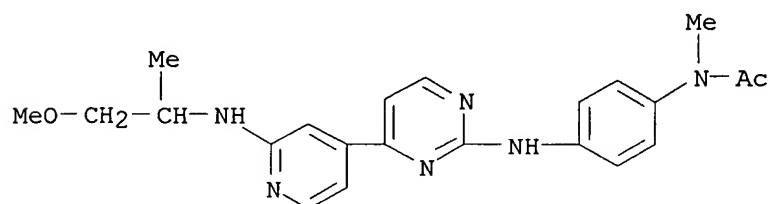
RN 379736-10-4 CAPLUS

CN Acetamide, N-[3-[[4-[2-[[2-(acetyloxy)-1-methylethyl]amino]-4-pyridinyl]-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 768389-02-2 CAPLUS

CN Acetamide, N-[4-[[4-[2-[(2-methoxy-1-methylethyl)amino]-4-pyridinyl]-2-pyrimidinyl]amino]phenyl]-N-methyl- (9CI) (CA INDEX NAME)

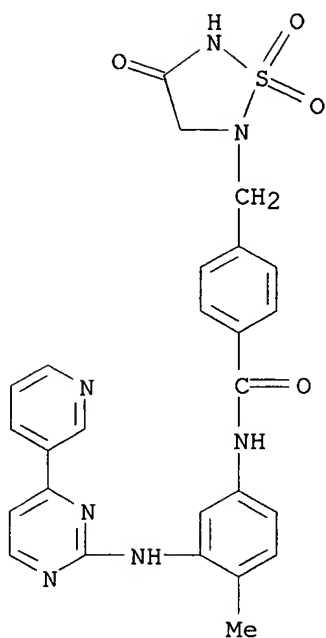


RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 19 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2004:589375 CAPLUS  
 DN 141:140459  
 TI Preparation of sulfamides as anti-cancer agents  
 IN Flynn, Daniel L.; Petrillo, Peter A.  
 PA Deciphera Pharmaceuticals, Inc., USA  
 SO PCT Int. Appl., 168 pp.  
 CODEN: PIXXD2

DT Patent  
 LA English  
 FAN.CNT 4

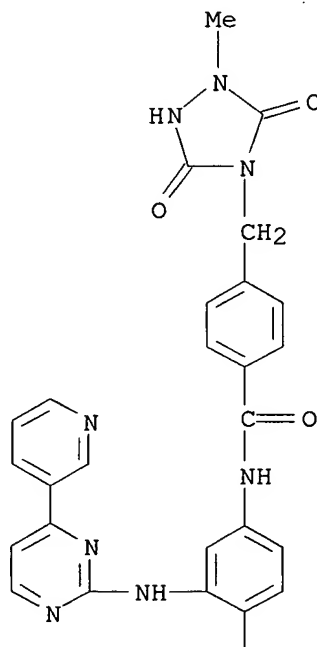
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004060305	A2	20040722	WO 2003-US41425	20031226
	WO 2004060305	A3	20050210		
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	RW:				
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	US 2004171075	A1	20040902	US 2003-746545	20031224
	US 2004176395	A1	20040909	US 2003-746607	20031224
	CA 2511840	AA	20040722	CA 2003-2511840	20031226
	AU 2003303639	A1	20040729	AU 2003-303639	20031226
	EP 1590344	A2	20051102	EP 2003-814980	20031226
	R:				
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	CN 1756849	A	20060405	CN 2003-80110049	20031226
	CN 1791596	A	20060621	CN 2003-80110048	20031226
PRAI	US 2002-437304P	P	20021231		
	US 2002-437403P	P	20021231		
	US 2002-437415P	P	20021231		
	US 2002-437487P	P	20021231		
	US 2003-463804P	P	20030418		
	US 2003-746545	A	20031224		
	WO 2003-US41425	W	20031226		
OS	MARPAT 141:140459				
AB	Sulfamides, such as I, were prepared for use as anticancer agents which act by modulating the activation states of abl or bcr-abl $\alpha$ -kinase proteins. Thus, 4-HO <sub>2</sub> CC <sub>6</sub> H <sub>4</sub> CH <sub>2</sub> NHSO <sub>2</sub> NHCOR [R = pyrrolidino], prepared from 4-MeO <sub>2</sub> CC <sub>6</sub> H <sub>4</sub> CH <sub>2</sub> NH <sub>2</sub> and pyrrolidine, was treated with the pyrimidinylaminoaniline fragment to give I, which showed 10% inhibition of non-phosphorylated abl kinase at 10 $\mu$ M.				
IT	726192-44-5P 726192-54-7P 726192-56-9P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of sulfamides as anti-cancer agents)				
RN	726192-44-5 CAPLUS				
CN	Benzamide, 4-[(1,1-dioxido-4-oxo-1,2,5-thiadiazolidin-2-yl)methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)				



RN 726192-54-7 CAPLUS

CN Benzamide, 4-[(1-methyl-3,5-dioxo-1,2,4-triazolidin-4-yl)methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

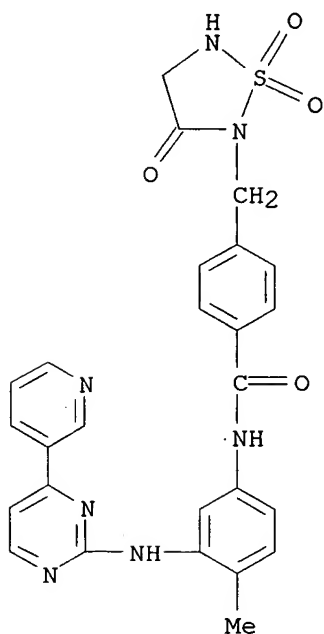
PAGE 1-A



|  
Me

RN 726192-56-9 CAPLUS

CN Benzamide, 4-[(1,1-dioxido-3-oxo-1,2,5-thiadiazolidin-2-yl)methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 20 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2004:287838 CAPLUS  
 DN 140:321373  
 TI Preparation of novel pyrimidine amides as protein kinase inhibitors  
 IN Manley, Paul William; Breitenstein, Werner; Jacob, Sandra; Furet, Pascal  
 PA Novartis Ag, Switz.; Novartis Pharma GmbH  
 SO PCT Int. Appl., 57 pp.  
 CODEN: PIXXD2

DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004029038	A1	20040408	WO 2003-EP10724	20030926
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SY, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW				
	RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
	CA 2499822	AA	20040408	CA 2003-2499822	20030926
	AU 2003270277	A1	20040419	AU 2003-270277	20030926
	EP 1546127	A1	20050629	EP 2003-750639	20030926
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003014797	A	20050726	BR 2003-14797	20030926
	CN 1684951	A	20051019	CN 2003-823213	20030926
	JP 2006508064	T2	20060309	JP 2004-539039	20030926
	NO 2005001966	A	20050422	NO 2005-1966	20050422
	US 2006142577	A1	20060629	US 2006-528913	20060105
PRAI	GB 2002-22514	A	20020927		
	WO 2003-EP10724	W	20030926		

OS MARPAT 140:321373

AB The title substituted N-(3-benzoylaminophenyl)-4-pyridyl-2-pyrimidinamines [I; R1 = H and R2 = NR5R6, or R1 = NR5R6 and R2 = H; R3 = alkyl, fluoroalkyl, hydroxyalkyl, carbamoyl; R4 = H, alkyl, halo; R5 and R6 = H, alkyl, hydroxyalkyl, etc. or NR5R6 = (un)substituted (un)saturated 5-7 membered ring optionally containing heteroatoms], useful for the therapy of a disease which responds to an inhibition of protein kinase activity, especially

a neoplastic disease (e.g., leukemia), were prepared and formulated. Thus, amidation of 4-methyl-N-[4-(3-pyridinyl)-2-pyrimidinyl]-1,3-benzenediamine with 4-diethylamino-3-(trifluoromethyl)benzoic acid (preparation given) afforded I [R1 = H; R2 = NEt2; R3 = CF3; R4 = Me] which showed IC50 of 50-100 nM against c-Abl and IC50 of 200-500 nM against Bcr-Abl (in vitro inhibition data).

IT 677704-49-3P 677704-50-6P 677704-52-8P

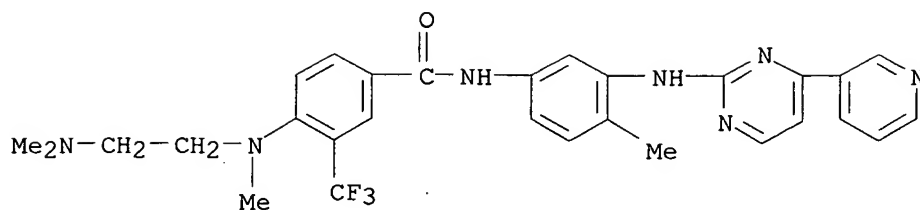
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel N-[3-(pyrimidin-2-ylamino)phenyl] benzamides as protein kinase inhibitors)

RN 677704-49-3 CAPLUS

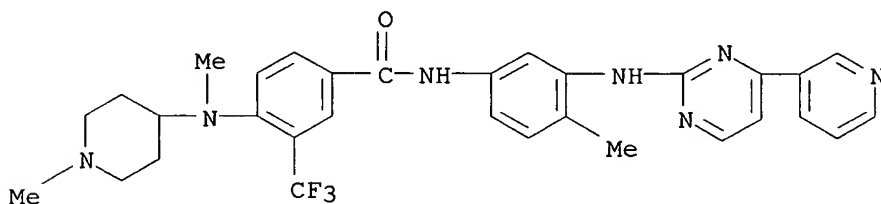
CN Benzamide, 4-[[2-(dimethylamino)ethyl]methylamino]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)- (9CI) (CA

INDEX NAME)



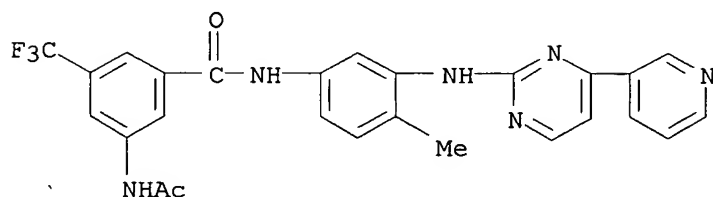
RN 677704-50-6 CAPLUS

CN Benzamide, 4-[methyl(1-methyl-4-piperidinyl)amino]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 677704-52-8 CAPLUS

CN Benzamide, 3-(acetylamino)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 21 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2004:121059 CAPLUS  
 DN 140:160157  
 TI Medium and method for enriching, purifying or depleting ATP binding  
 proteins from a pool of proteins  
 IN Godl, Klaus; Missio, Andrea; Daub, Henrik; Stein-Gerlach, Matthias; Greff,  
 Zoltan  
 PA Axxima Pharmaceuticals AG, Germany; Klebl, Bert; Orfi, Laszlo; Keri,  
 Gyoergy; Vaarga, Zoltan  
 SO PCT Int. Appl., 126 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004013633	A2	20040212	WO 2003-EP8375	20030729
	WO 2004013633	A3	20041028		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
	CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				
	GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				
	LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,				
	PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,				
	UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,				
	KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,				
	FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,				
	BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU	2003258542	A1	20040223	AU 2003-258542	20030729
EP	1527345	A2	20050504	EP 2003-766347	20030729
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
	IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US	2006105445	A1	20060518	US 2005-523577	20050822
PRAI	EP 2002-16840	A	20020729		
	EP 2002-28880	A	20021223		
	WO 2003-EP8375	W	20030729		

OS MARPAT 140:160157

AB The present invention relates to a medium and a method for enriching ATP binding proteins, e.g. protein kinases, from a pool of proteins, like a proteome. The medium of the present invention comprises specific inhibitors immobilized on a support material. According to the method of the present invention the above-mentioned immobilized compounds are used to selectively bind protein kinases from a pool of heterogeneous proteins.

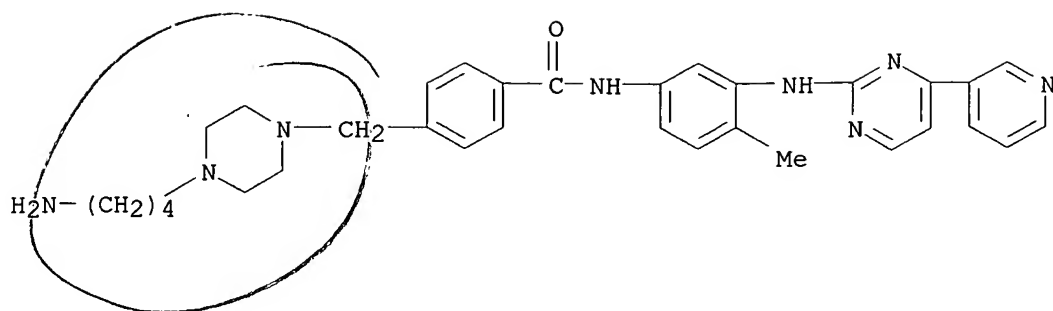
IT 655247-79-3P

RL: ARU (Analytical role, unclassified); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation)

(medium and method for enriching, purifying or depleting ATP binding proteins from pool of proteins)

RN 655247-79-3 CAPLUS

CN Benzamide, 4-[[4-(4-aminobutyl)-1-piperazinyl]methyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 22 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2004:20664 CAPLUS  
 DN 140:77165  
 TI Preparation of 4-[(4-methylpiperazin-1-yl)methyl]benzamide for treatment of leukemia  
 IN Asaki, Tetsuo; Hamamoto, Taisuke; Sugiyama, Yukiteru  
 PA Nippon Shinyaku Co., Ltd., Japan  
 SO PCT Int. Appl., 102 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004002963	A1	20040108	WO 2003-JP8192	20030627
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2490907	AA	20040108	CA 2003-2490907	20030627
	AU 2003246100	A1	20040119	AU 2003-246100	20030627
	BR 2003012288	A	20050412	BR 2003-12288	20030627
	EP 1533304	A1	20050525	EP 2003-738555	20030627
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	CN 1678590	A	20051005	CN 2003-820146	20030627
	US 2006014742	A1	20060119	US 2004-519722	20041228
PRAI	JP 2002-189269	A	20020628		
	JP 2002-305146	A	20021018		
	JP 2002-377937	A	20021226		
	WO 2003-JP8192	W	20030627		

OS MARPAT 140:77165

AB The title compds. I [wherein R1 = saturate cyclic amino, alkylamino, or dialkylamino; R2 = alkyl, halo, haloalkyl, hydroxyalkyl, alkoxy, alkoxyalkyl, alkoxy carbonyl, acyl, amino, alkylamino, dialkylamino, NO2, carbamoyl, alkylcarbamoyl, dialkylcarbamoyl, or CN; R3 = H, halo, or alkoxy; Het1 = pyridyl, Ph, pyrimidyl, pyrazinyl, or triazinyl; Het2 = pyridyl, pyrimidyl, pyrazinyl, pyridazinyl, or 1,2-dihydropyridazinyl; etc.] or salts thereof are prepared as BCR-ABL tyrosine kinase inhibitors, and are useful for the treatment of leukemia (no data). For example, the compound II was prepared in a multi-step synthesis. II showed inhibitory activities with IC50 of 0.0008 and 3.99  $\mu$ M against cell proliferation of K562 and U937, resp., in cow. Formulations containing I as an active ingredient were also described.

IT 641615-19-2P

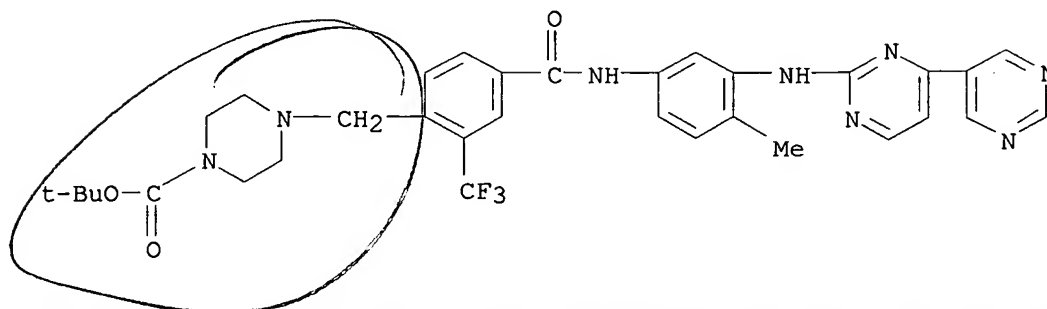
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (drug candidate; preparation of [(piperazinyl)methyl]benzamides for treatment of leukemia)

RN 641615-19-2 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[[4-[[[3-([4,5'-bipyrimidin]-2-ylamino)-4-

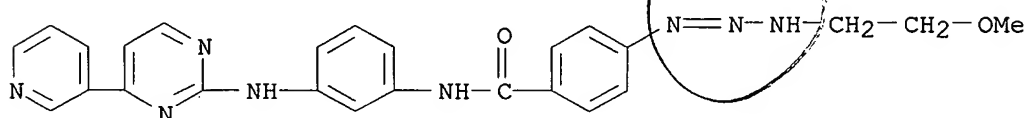
10/821,382

methylphenyl]amino]carbonyl]-2-(trifluoromethyl)phenyl]methyl]-,  
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

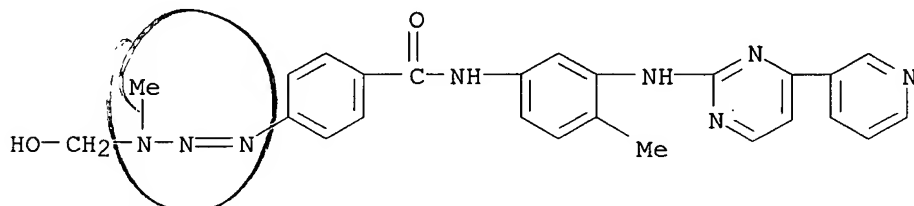


RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

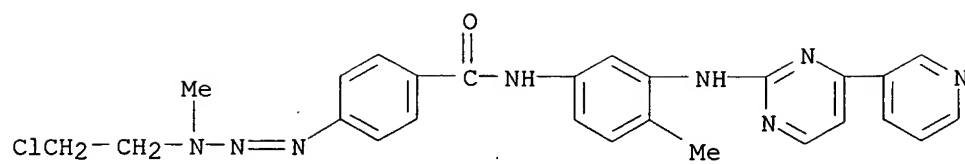
L6 ANSWER 23 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2003:689661 CAPLUS  
 DN 139:374254  
 TI Synthesis of pyrimidinopyridine-triazene conjugates targeted to abl tyrosine kinase  
 AU Rachid, Zakaria; Katsoulas, Athanasia; Brahimi, Fouad; Jean-Claude, Bertrand Jacques  
 CS Department of Medicine, Division of Medical Oncology, Cancer Drug Research Laboratory, McGill University/Royal Victoria Hospital, Montreal, QC, 687, Can.  
 SO Bioorganic & Medicinal Chemistry Letters (2003), 13(19), 3297-3300  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PB Elsevier Science B.V.  
 DT Journal  
 LA English  
 OS CASREACT 139:374254  
 AB The synthesis and abl tyrosine kinase inhibitory activities of alkyltriazenes conjugated to phenylaminopyrimidines are described. Significant abl inhibitory activities were observed only when a benzamido spacer was inserted between the 1,2,3-triazene chain and the 2-phenylaminopyrimidine moiety.  
 IT 623901-02-0P 623901-04-2P 623901-05-3P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (synthesis of pyrimidinopyridine-triazene conjugates targeted to abl tyrosine kinase and cytotoxicity structure activity)  
 RN 623901-02-0 CAPLUS  
 CN Benzamide, 4-[3-(2-methoxyethyl)-1-triazenyl]-N-[3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 623901-04-2 CAPLUS  
 CN Benzamide, 4-[3-(hydroxymethyl)-3-methyl-1-triazenyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 623901-05-3 CAPLUS  
 CN Benzamide, 4-[3-(2-chloroethyl)-3-methyl-1-triazenyl]-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 26      THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 24 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2003:678606 CAPLUS

DN 139:197709

TI macrolide erythromycin conjugates of biologically active compounds, methods for their preparation and use, formulation, and pharmaceutical applications thereof

IN Burnet, Michael; Guse, Jan-Hinrich; Gutke, Hans-Jurgen; Beck, Albert; Tsotsou, Georgia; Droste-Borel, Irina; Reichert, Jeannette; Luyten, Kattie; Busch, Maximilian; Wolff, Michael; Khobzaoui, Moussa; Margutti, Simona; Meindl, Thomas; Kim, Gene; Barker, Laurence

PA Sympore G.m.b.H., Germany

SO PCT Int. Appl., 183 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003070174	A2	20030828	WO 2003-US4609	20030214
	WO 2003070174	A3	20031113		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2476423	AA	20030828	CA 2003-2476423	20030214
	AU 2003219770	A1	20030909	AU 2003-219770	20030214
	EP 1483277	A2	20041208	EP 2003-716044	20030214
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	US 2005171342	A1	20050804	US 2003-504787	20030214
PRAI	US 2002-357434P	P	20020215		
	WO 2003-US4609	W	20030214		

OS MARPAT 139:197709

AB Erythromycin macrolide conjugates T-(L-C)m, wherein T is a transportophore, L is a bond or a linker having a mol. weight up to 240 dalton, C is a non-antibiotic therapeutic agent, and m is 1-8, in which the transportophore has an immune selectivity ratio of at least 2, the transportophore is covalently bonded to the non-antibiotic therapeutic agent via the bond or the linker, and the compound has an immune selectivity ratio of at least 2, useful for enhancing efficacy of a therapeutic agent. Thus, macrolide I (R = R1) was prepared in 76% yield via coupling of I (R = H) with diclofenac as antitumor and antibacterial agent and was tested in vitro for its cytotoxicity and immunosuppressive activity using a mouse skin transplant model.

IT 586412-38-6P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses).

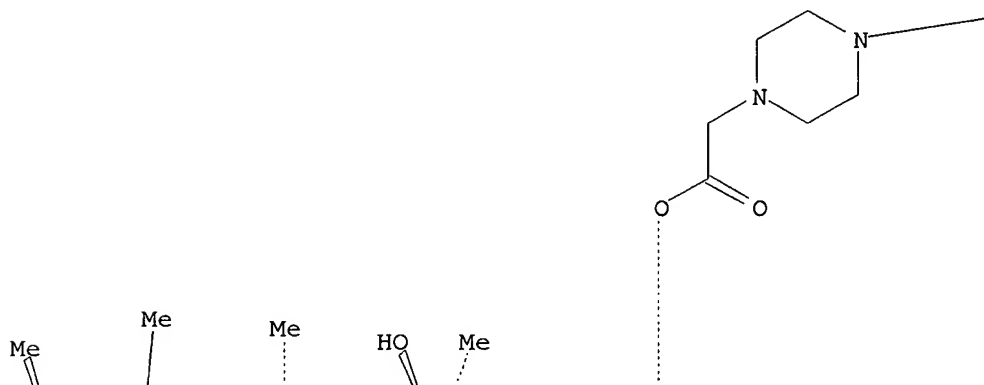
(macrolide erythromycin conjugates of biol. active compds. methods for their preparation and use formulation and pharmaceutical applications thereof)

RN 586412-38-6 CAPLUS

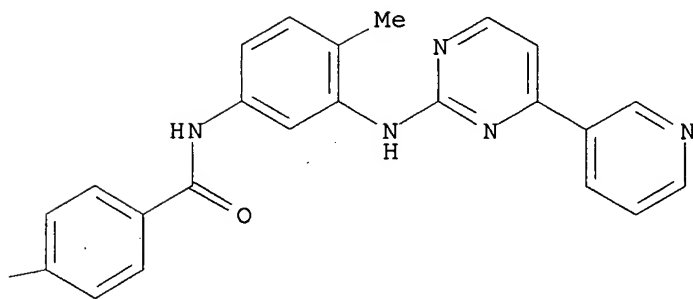
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl- $\alpha$ -L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-2-O-[[4-[4-[[[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]amino]carbonyl]phenyl]-1-piperazinyl]acetyl]- $\beta$ -D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)-(9CI) (CA INDEX NAME)

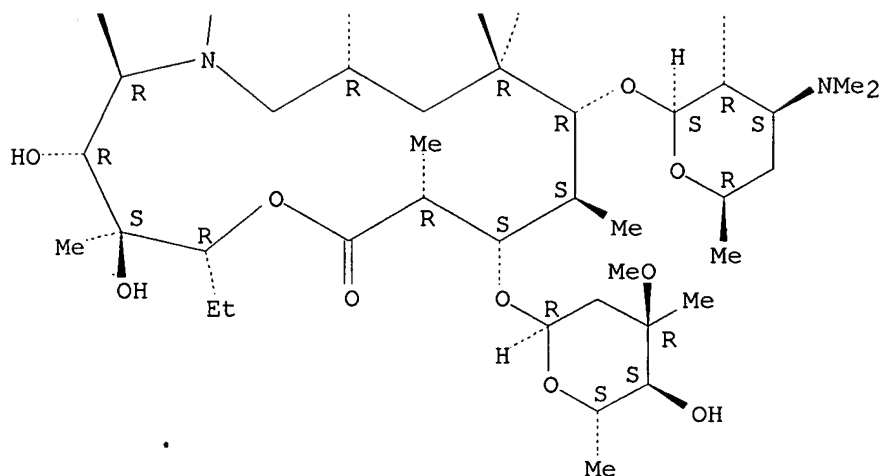
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



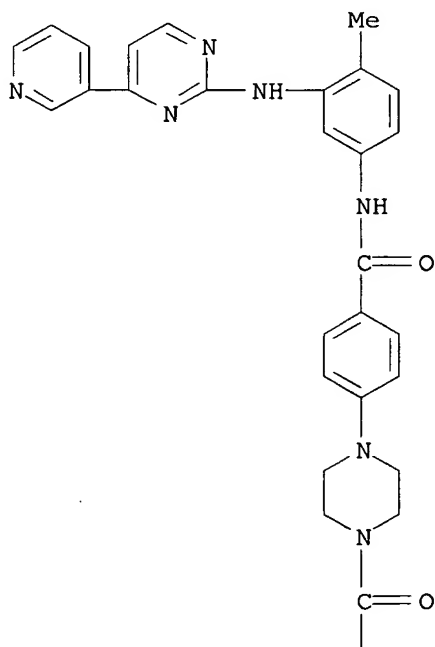


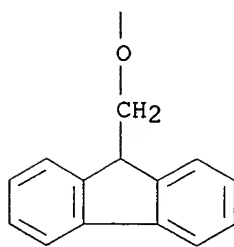
IT 586412-43-3P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (macrolide erythromycin conjugates of biol. active compds. methods for their preparation and use formulation and pharmaceutical applications thereof)

RN 586412-43-3 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[[[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]amino]carbonyl]phenyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)





L6 ANSWER 25 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2003:678605 CAPLUS

DN 139:197708

TI macrolide erythromycin conjugates of biologically active compounds, methods for their preparation and use, formulation, and pharmaceutical applications thereof

IN Burnet, Michael; Guse, Jan-Hinrich; Kim, Gene; Beck, Albert; Tsotsou, Georgia; Droste-Borel, Irina; Barker, Laurence; Wolff, Michael; Gutke, Hans-Jurgen

PA Sympore G.m.b.H., Germany

SO PCT Int. Appl., 164 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003070173	A2	20030828	WO 2003-US4596	20030214
	WO 2003070173	A3	20031204		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	AU 2003215245	A1	20030909	AU 2003-215245	20030214
	US 2004005641	A1	20040108	US 2003-367624	20030214
	EP 1483579	A2	20041208	EP 2003-711061	20030214
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
	US 2006099660	A1	20060511	US 2005-504786	20050929
PRAI	US 2002-357589P	P	20020215		
	WO 2003-US4596	W	20030214		

OS MARPAT 139:197708

AB Erythromycin macrolide conjugates T-(L-C)<sub>m</sub>, wherein T is a transportophore, L is a bond or a linker having a mol. weight up to 240 dalton, C is a non-antibiotic therapeutic agent, and m is 1-8, in which the transportophore has an immune selectivity ratio of at least 2, the transportophore is covalently bonded to the non-antibiotic therapeutic agent via the bond or the linker, and the compound has an immune selectivity ratio of at least 2, useful for enhancing efficacy of a therapeutic agent. Thus, macrolide I (R = R<sub>1</sub>) was prepared in 76% yield via coupling of I (R = H) with diclofenac as antitumor and antibacterial agent and was tested in vitro for its cytotoxicity and immunosuppressive activity using a mouse skin transplant model.

IT 586412-38-6P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(macrolide erythromycin conjugates of biol. active compds. methods for their preparation and use formulation and pharmaceutical applications thereof)

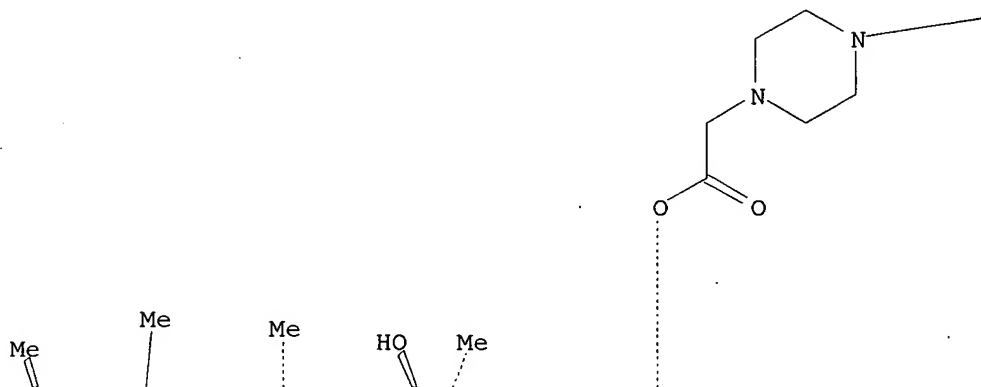
RN 586412-38-6 CAPLUS

CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-

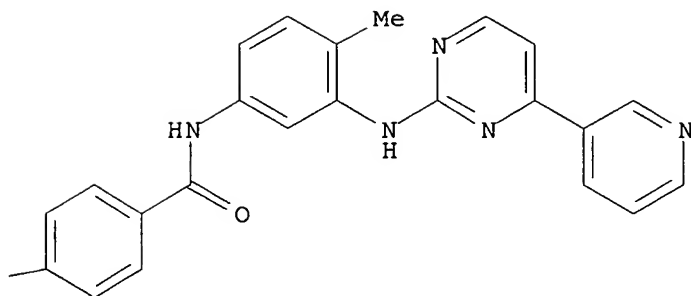
$\alpha$ -L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-  
 3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-2-O-[[4-  
 [4-[[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]amino]carbon  
 yl]phenyl]-1-piperazinyl]acetyl]- $\beta$ -D-xylo-hexopyranosyl]oxy]-,  
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)-(9CI) (CA INDEX NAME)

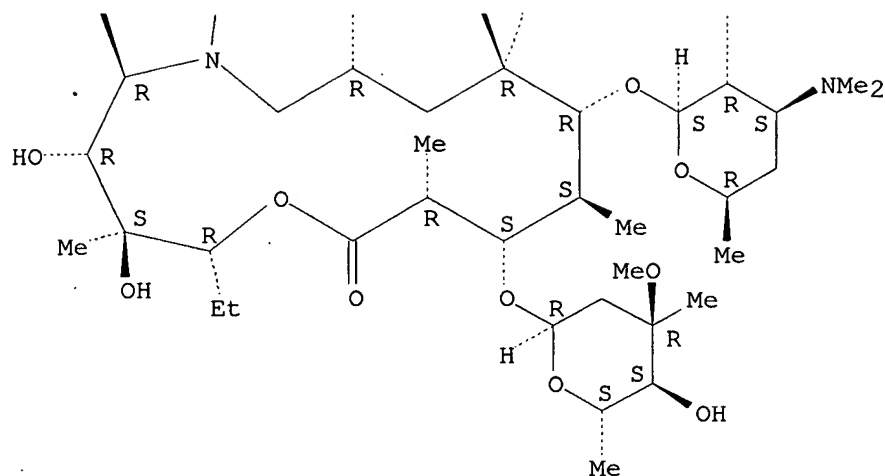
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



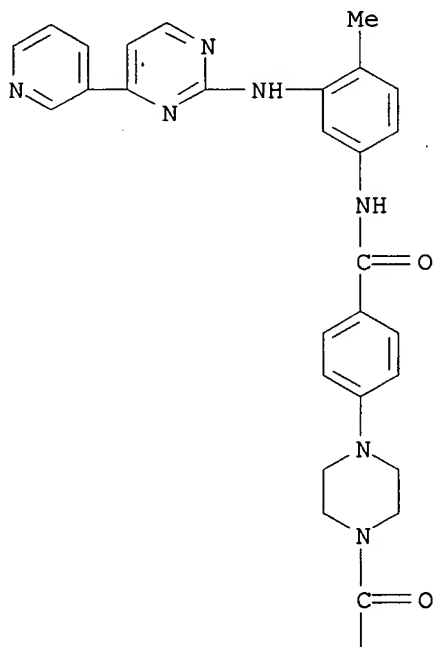


IT 586412-43-3P

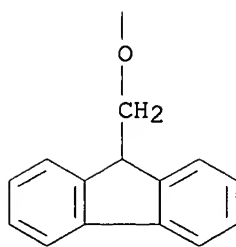
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (macrolide erythromycin conjugates of biol. active compds. methods for their preparation and use formulation and pharmaceutical applications thereof)

RN 586412-43-3 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[4-[[[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]amino]carbonyl]phenyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)



PAGE 2-A



L6 ANSWER 26 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2003:591164 CAPLUS  
 DN 139:149642  
 TI Preparation of benzoylaminophenylaminopyrimidinylpyridines as antitumor agents  
 IN Boernsen, Klaus Olaf; End, Peter; Gross, Gerhard; Pfaar, Ulrike  
 PA Novartis Ag, Switz.; Novartis Pharma GmbH  
 SO PCT Int. Appl., 50 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003062220	A1	20030731	WO 2003-EP613	20030122
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SE, SG, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW				
	RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR				
	CA 2474104	AA	20030731	CA 2003-2474104	20030122
	EP 1470120	A1	20041027	EP 2003-731700	20030122
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003007058	A	20041228	BR 2003-7058	20030122
	JP 2005519908	T2	20050707	JP 2003-562099	20030122
	CN 1646519	A	20050727	CN 2003-802708	20030122
	US 2005209452	A1	20050922	US 2005-502291	20050429
PRAI	GB 2002-1508	A	20020123		
	WO 2003-EP613	W	20030122		

OS MARPAT 139:149642

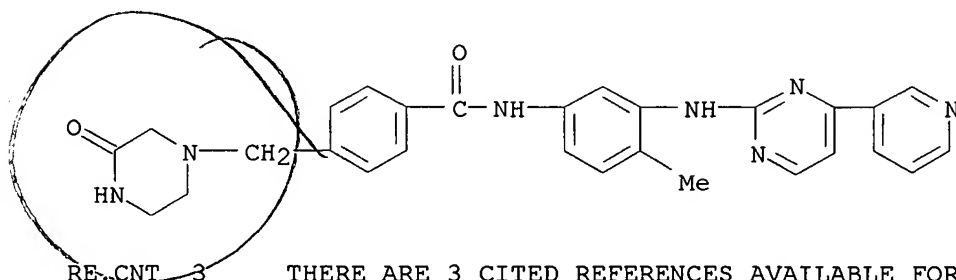
AB Title compds. I [R1 = , OH; R2 = H, alkyl, hydroxyalkyl; A = NR3R4, CR3R4, OR3R4; R3R4 = (un)substituted alkylene, oxaalkylene, azaalkylene; at least one N atom is substituted by O] were prepared for use as antitumor agents (no data). Thus, I [R1 = H, R2 = Me, A = 4-methyl-4-oxido-1-piperazinyl] was prepared by oxidation of I [R1 = H, R2 = Me, A = 4-methyl-1-piperazinyl].

IT 571186-94-2P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of benzoylaminophenylaminopyrimidinylpyridines as antitumor agents)

RN 571186-94-2 CAPLUS

CN Benzamide, N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]-4-[(3-oxo-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)



THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD

L6 ANSWER 27 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2003:551338 CAPLUS  
 DN 139:111702  
 TI Compositions and methods using ATP-dependent  $\gamma$ -secretase modulators  
 for prevention and treatment of amyloid- $\beta$  peptide-related disorders,  
 and screening methods for modulators of A $\beta$   
 IN Netzer, William J.; Greengard, Paul; Xu, Huaxi  
 PA The Rockefeller University, USA  
 SO PCT Int. Appl., 142 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003057165	A2	20030717	WO 2003-US249	20030106
	WO 2003057165	A3	20031113		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
	CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				
	GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				
	LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,				
	PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,				
	UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,				
	KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,				
	FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,				
	BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003206397	A1	20030724	AU 2003-206397	20030106
	US 2004028673	A1	20040212	US 2003-337261	20030106
	EP 1469810	A2	20041027	EP 2003-703695	20030106
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
	IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2005522417	T2	20050728	JP 2003-557524	20030106
PRAI	US 2002-345009P	P	20020104		
	WO 2003-US249	W	20030106		

OS MARPAT 139:111702

AB The invention provides methods and compns. for modulating levels of amyloid- $\beta$  peptide (A $\beta$ ) exhibited by cells or tissues. The invention also provides pharmaceutical compns. and methods of screening for compds. that modulate A $\beta$  levels. The invention also provides modulation of A $\beta$  levels via selective modulation (e.g., inhibition) of ATP-dependent  $\gamma$ -secretase activity. The invention also provides methods of preventing, treating or ameliorating the symptoms of a disorder, including but not limited to an A $\beta$ -related disorder, by administering a modulator of  $\gamma$ -secretase, including, but not limited to, a selective inhibitor of ATP-dependent  $\gamma$ -secretase activity or an agent that decreases the formation of active (or optimally active)  $\gamma$ -secretase. The invention also provides the use of inhibitors of ATP-dependent  $\gamma$ -secretase activity to prevent, treat or ameliorate the symptoms of Alzheimer's disease.

IT 560070-08-8

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

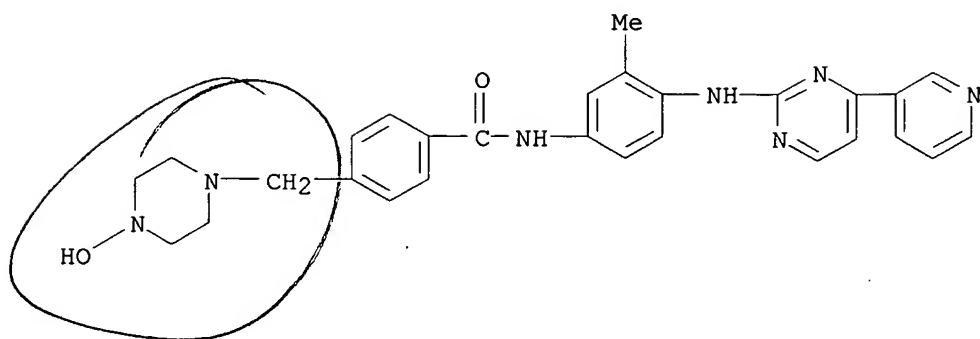
(ATP-dependent enzyme modulators for prevention and treatment of amyloid- $\beta$  peptide-related disorders, and screening methods for modulators of A $\beta$ )

RN 560070-08-8 CAPLUS

CN Benzamide, 4-[(4-hydroxy-1-piperazinyl)methyl]-N-[3-methyl-4-[[4-(3-

10/821,382

pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 28 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2003:376852 CAPLUS

DN 138:385443

TI Preparation of amino imidazolyl pyrimidinecarboxaldehyde thiosemicarbazones, pyridine analogs and related compounds as inhibitors of I $\kappa$ B kinases

IN Hawley, Ronald Charles; Labadie, Sharada Shenvi; Sjogren, Eric Brian; Talamas, Francisco Xavier

PA F. Hoffmann-La Roche AG, Switz.

SO PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003040131	A1	20030515	WO 2002-EP12164	20021031
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2465711	AA	20030515	CA 2002-2465711	20021031
	EP 1444223	A1	20040811	EP 2002-785344	20021031
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	BR 2002013899	A	20040831	BR 2002-13899	20021031
	CN 1582284	A	20050216	CN 2002-822194	20021031
	JP 2005511608	T2	20050428	JP 2003-542177	20021031
	US 2003144303	A1	20030731	US 2002-288968	20021106
	US 6846828	B2	20050125		
	US 2005107403	A1	20050519	US 2004-967430	20041018
PRAI	US 2001-338312P	P	20011107		
	WO 2002-EP12164	W	20021031		
	US 2002-288968	A3	20021106		
OS	MARPAT 138:385443				

AB The present invention relates to aminopyrimidine and aminopyridine derivs. (shown as I; variables defined below; e.g. 2-butylamino-6-(1-methyl-1H-imidazol-5-yl)pyrimidine-4-carboxaldehyde 2-methylthiosemicarbazone (1)) and methods for their preparation. The compds. are useful as inhibitors of I $\kappa$ B kinases and, therefore, may be used for the treatment of inflammatory, metabolic or malignant conditions (e.g. rheumatoid arthritis, inflammatory bowel disease, psoriasis, cancer, diabetes and septic shock). IC<sub>50</sub> values for inhibition of IKK $\beta$  enzyme activity are reported for 3 examples of I; e.g. 0.314  $\mu$ M for 1. Eleven example preps. of intermediates and I and characterization data for .apprx.150 I are included. For example, 2-isopropylamino-6-(1-methyl-1H-imidazol-5-yl)pyrimidine-4-carboxaldehyde 2-methylthiosemicarbazone was prepared in 7 steps starting from Et diethoxyacetate, thiourea and benzyl bromide giving 2-benzylsulfanyl-6-diethoxymethylpyrimidin-4-ol as the 1st intermediate (50%); this intermediate was sequentially converted to the chloride (74%), pyrimidine imidazole, sulfone (31% for 2 steps), amino pyrimidine acetal (66%), aldehyde (64%) and finally the aldehyde thiosemicarbazone (71%). For I:

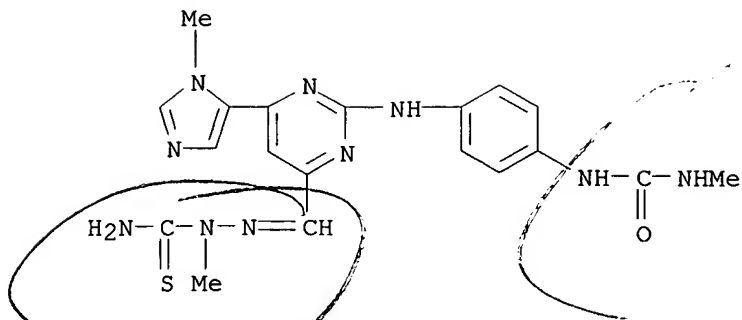
one of either V or X is N and the other is CRa, or both V and X are CRa (Ra = H, (C1-C6)alkyl, (C3-C7)cycloalkyl or (C3-C7)cycloalkyl(C1-C6)alkyl); Y is O, S or NR (R is H, CN, NO2, (C1-C10)alkyl, (C3-C7)cycloalkyl, (C3-C7)cycloalkyl-(C1-C6)alkyl, (C3-C10)alkenyl or (C2-C10)alkynyl). Z is H, (C1-C6)alkyl, (C3-C7)cycloalkyl, (C3-C6)cycloalkyl(C1-C6)alkyl, (C2-C6)alkenyl, (C2-C6)alkynyl or N(R2)(R3); R1 is H, (C1-C10)alkyl, (C3-C10)alkenyl, (C2-C10)alkynyl, (C3-C7)cycloalkyl, (C3-C7)cycloalkyl(C1-C6)alkyl, (C1-C10)heteroalkyl, heterocyclyl, heterocyclyl (C1-C6)alkyl, aryl, aryl(C1-C4)alkyl, aryl(C1-C4) heteroalkyl, heteroaryl(C1-C4)alkyl, heteroaryl(C1-C4)heteroalkyl, C(O)R11 or (C1-C6)alkylene-C(O)R11; R4 is H, (C1-C6)alkyl, (C3-C7)cycloalkyl, (C3-C7)cycloalkyl(C1-C6)alkyl, (C2-C6)alkenyl or (C2-C6)alkynyl; A is H, (C1-C10)alkyl, (C3-C10)alkenyl, (C2-C10)alkynyl, halo (C1-C6) alkyl, (C3-C7)cycloalkyl, (C3-C7)cycloalkyl(C1-C6)alkyl, (C1-C10)heteroalkyl, heterocyclyl, heterocyclyl(C1-C6) alkyl, heterosubstituted (C3-C7)cycloalkyl, aryl, aryl(C1-C4)alkyl, aryl(C1-C4)heteroalkyl, heteroaryl, heteroaryl(C1-C4)alkyl, heteroaryl(C1-C4)heteroalkyl or RaRbNC(:X) (Ra and Rb = H, (C1-C4)alkyl or aryl). X is O or S; B is a (un)substituted five- or six-membered aromatic ring containing at least 1 N and 0-3 addnl. heteroatoms, wherein the B ring substituents = halogen, CF3, CF3O, (C1-C6)alkyl, amino, (C1-C6)alkylamino, di(C1-C6)alkylamino, cyano, nitro, sulfonamido, acyl, acylamino and carboxamido; U is -NR5-, -O- or -S-; addnl. details are given in the claims.

IT 525560-28-5P, 2-((4-((Methylamino)carbonyl)amino)phenyl)amino)-6-(1-methyl-1H-imidazol-5-yl)pyrimidine-4-carboxaldehyde  
2-methylthiosemicarbazone 525560-34-3P, 2-((4-((Methoxycarbonyl)amino)phenyl)amino)-6-(1-methyl-1H-imidazol-5-yl)pyrimidine-4-carboxaldehyde 2-methylthiosemicarbazone  
525560-37-6P, 2-((3-((Methoxycarbonyl)amino)phenyl)amino)-6-(1-methyl-1H-imidazol-5-yl)pyrimidine-4-carboxaldehyde 2-methylthiosemicarbazone 525560-48-9P, 2-((4-(Acetylamino)phenyl)amino)-6-(1-methyl-1H-imidazol-5-yl)pyrimidine-4-carboxaldehyde 2-methylthiosemicarbazone 525560-49-0P, 2-((3-(Acetylamino)phenyl)amino)-6-(1-methyl-1H-imidazol-5-yl)pyrimidine-4-carboxaldehyde 2-methylthiosemicarbazone 525560-56-9P, 2-((3-((Methylamino)carbonyl)amino)phenyl)amino)-6-(1-methyl-1H-imidazol-5-yl)pyrimidine-4-carboxaldehyde 2-methylthiosemicarbazone  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of amino imidazolyl pyrimidinecarboxaldehyde thiosemicarbazones, pyridine analogs and related compds. as inhibitors of IκB kinases)

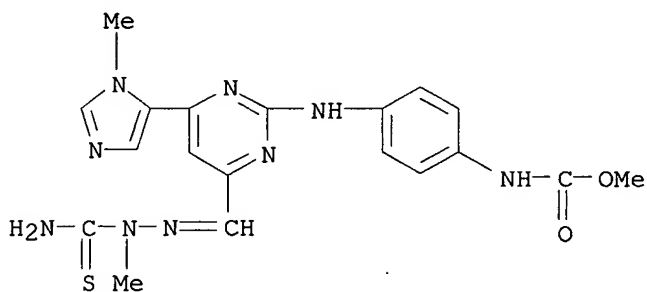
RN 525560-28-5 CAPLUS

CN Hydrazinecarbothioamide, 1-methyl-2-[[2-[[4-[[ (methylamino)carbonyl]amino]phenyl]amino]-6-(1-methyl-1H-imidazol-5-yl)-4-pyrimidinyl]methylene]-(9CI) (CA INDEX NAME)



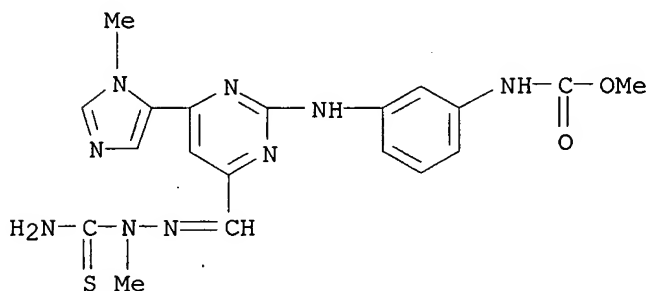
RN 525560-34-3 CAPLUS

CN Carbamic acid, [4-[[4-[[[(aminothioxomethyl)methylhydrazono]methyl]-6-(1-methyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]phenyl]-, methyl ester (9CI)  
(CA INDEX NAME)



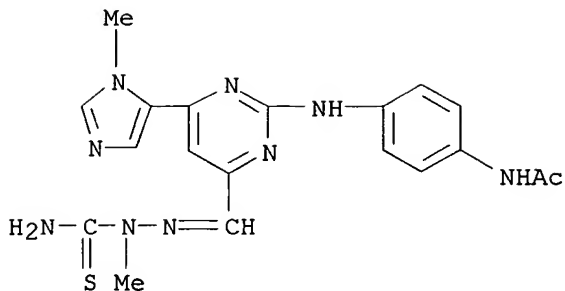
RN 525560-37-6 CAPLUS

CN Carbamic acid, [3-[[4-[[[(aminothioxomethyl)methylhydrazono]methyl]-6-(1-methyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]phenyl]-, methyl ester (9CI)  
(CA INDEX NAME)



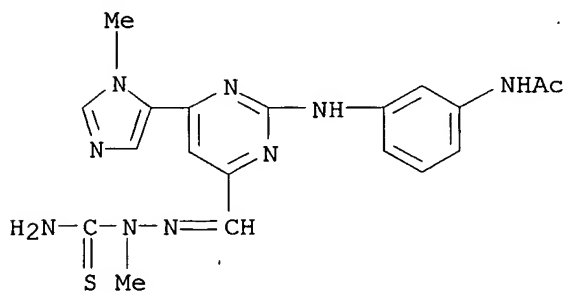
RN 525560-48-9 CAPLUS

CN Acetamide, N-[4-[[4-[[[(aminothioxomethyl)methylhydrazono]methyl]-6-(1-methyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



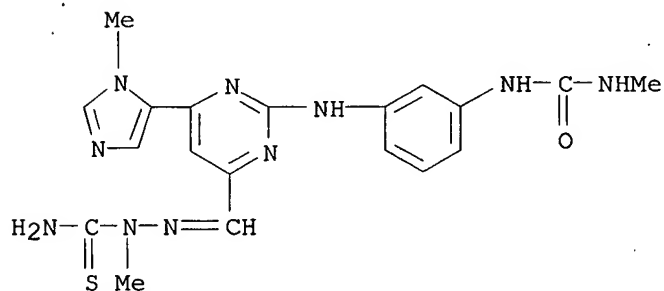
RN 525560-49-0 CAPLUS

CN Acetamide, N-[3-[[4-[(aminothioxomethyl)methylhydrazono]methyl]-6-(1-methyl-1H-imidazol-5-yl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 525560-56-9 CAPLUS

CN Hydrazinecarbothioamide, 1-methyl-2-[[2-[[3-[(methylamino)carbonyl]amino]phenyl]amino]-6-(1-methyl-1H-imidazol-5-yl)-4-pyrimidinyl]methylene]- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 29 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2003:42269 CAPLUS  
 DN 138:106708  
 TI Preparation of isoxazolyipyrimidines as inhibitors of Src and Lck protein kinases  
 IN Bemis, Guy; Gao, Huai; Harrington, Edmund; Ledebuer, Mark; Salituro, Francesco; Wang, Jian  
 PA Vertex Pharmaceuticals Incorporated, USA  
 SO PCT Int. Appl., 117 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003004492	A1	20030116	WO 2002-US18956	20020614
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2452603	AA	20030116	CA 2002-2452603	20020614
	US 2003171389	A1	20030911	US 2002-171895	20020614
	US 6689778	B2	20040210		
	EP 1417205	A1	20040512	EP 2002-744355	20020614
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004536114	T2	20041202	JP 2003-510659	20020614
	US 2005049246	A1	20050303	US 2003-728113	20031204
PRAI	US 2001-302969P	P	20010703		
	US 2002-171895	A3	20020614		
	WO 2002-US18956	W	20020614		

OS MARPAT 138:106708

AB Isoxazolyipyrimidines [e.g., I; wherein A-B = N-O or O-N; G = alkyl, cycloalkyl, alkoxy, thioalkoxy, aryloxy, alkylsulfoxy, amino, etc.; R1 = H, halo, NO2, (substituted) (C1-C6)alkylidene, etc.; R2 = H, (substituted) (C1-C6)alkyl, etc.; R3 = H, alkoxy, thioalkoxy, aryloxy, alkylsulfoxy, amino, etc.; R4 = H, halo, NO2, CN, alkoxy, thioalkoxy, amino, amido, etc.] were prepared. For example, 4-(2-{3-[4-(3-cyclohexyl-5-methylisoxazol-4-yl)pyrimidin-2-ylamino]phenoxy}ethyl)piperidin-4-ol (II) was prepared in several steps. These compds. are inhibitors of Src and Lck kinase. For example, compound II provided  $K_i < 0.1 \mu\text{M}$  in a Src inhibition assay.

IT 486428-71-1P 486428-72-2P 486428-73-3P  
 486428-74-4P 486428-78-8P 486428-79-9P  
 486428-80-2P 486428-81-3P 486428-83-5P  
 486428-84-6P 486428-85-7P 486428-86-8P  
 486428-88-0P 486428-89-1P 486428-90-4P  
 486428-91-5P 486428-93-7P 486428-95-9P  
 486428-96-0P 486428-97-1P 486428-98-2P

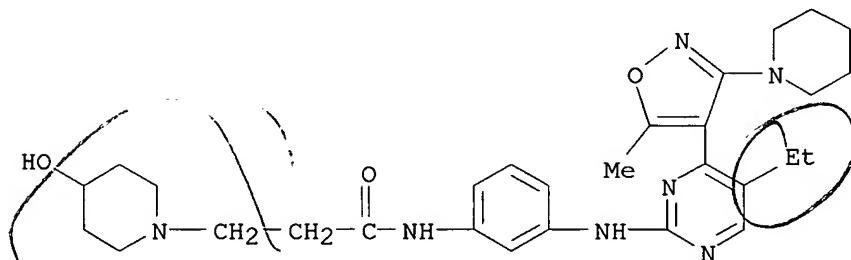
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of isoxazolyipyrimidines as inhibitors of Src and Lck protein

kinases)

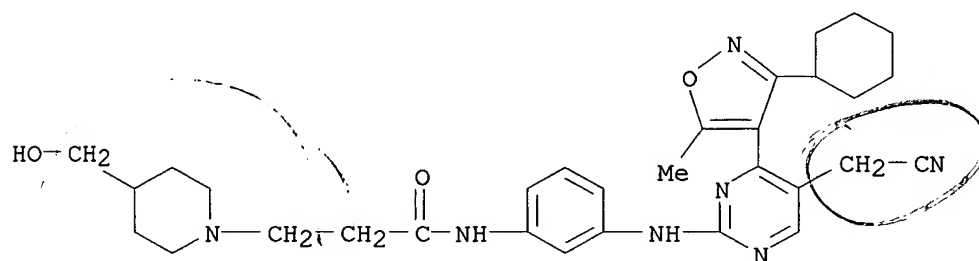
RN 486428-71-1 CAPLUS

CN 1-Piperidinepropanamide, N-[3-[[5-ethyl-4-[5-methyl-3-(1-piperidinyl)-4-isoxazolyl]-2-pyrimidinyl]amino]phenyl]-4-hydroxy- (9CI) (CA INDEX NAME)



RN 486428-72-2 CAPLUS

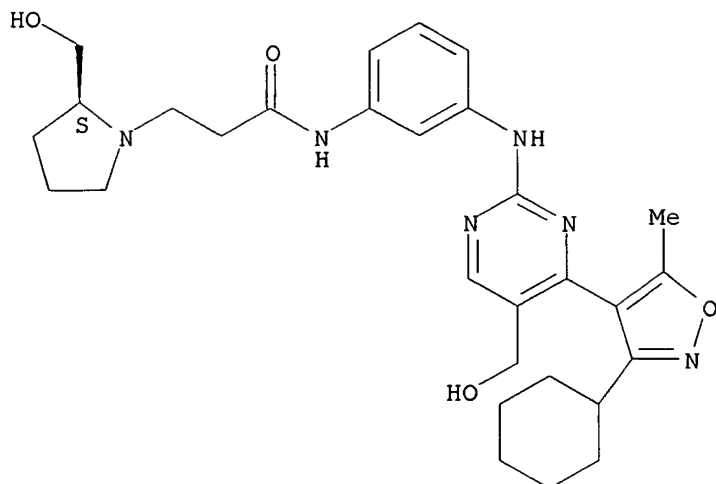
CN 1-Piperidinepropanamide, N-[3-[[5-(cyanomethyl)-4-(3-cyclohexyl-5-methyl-4-isoxazolyl)-2-pyrimidinyl]amino]phenyl]-4-(hydroxymethyl)- (9CI) (CA INDEX NAME)



RN 486428-73-3 CAPLUS

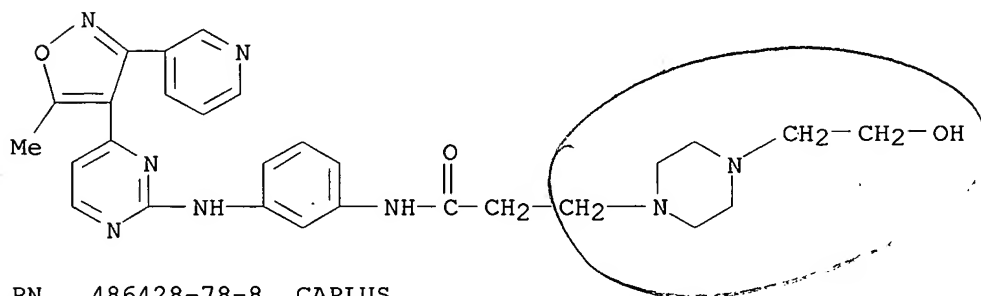
CN 1-Pyrrolidinepropanamide, N-[3-[[4-(3-cyclohexyl-5-methyl-4-isoxazolyl)-5-(hydroxymethyl)-2-pyrimidinyl]amino]phenyl]-2-(hydroxymethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



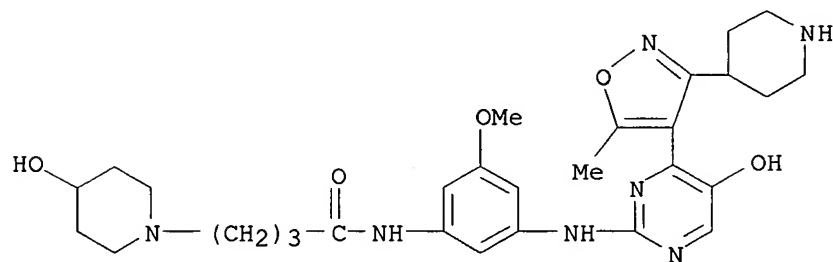
RN 486428-74-4 CAPLUS

CN 1-Piperazinepropanamide, 4-(2-hydroxyethyl)-N-[3-[[4-[5-methyl-3-(3-pyridinyl)-4-isoxazolyl]-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME).



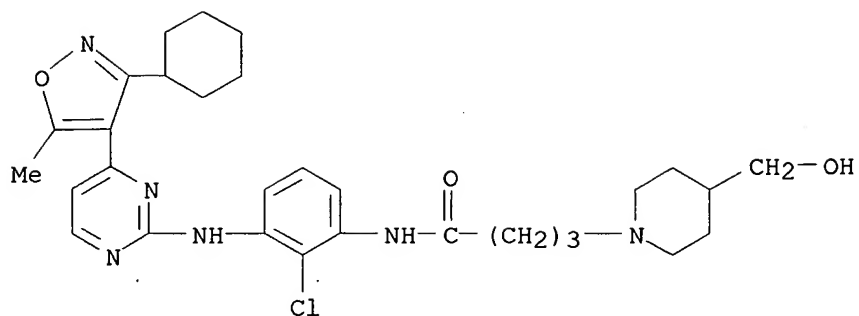
RN 486428-78-8 CAPLUS

CN 1-Piperidinebutanamide, 4-hydroxy-N-[3-[[5-hydroxy-4-[5-methyl-3-(4-piperidinyl)-4-isoxazolyl]-2-pyrimidinyl]amino]-5-methoxyphenyl]- (9CI) (CA INDEX NAME)



RN 486428-79-9 CAPLUS

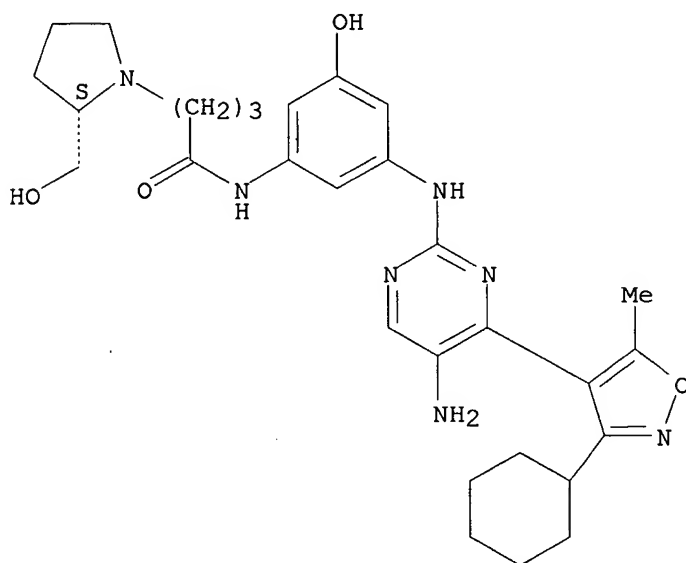
CN 1-Piperidinebutanamide, N-[2-chloro-3-[[4-(3-cyclohexyl-5-methyl-4-isoxazolyl)-2-pyrimidinyl]amino]phenyl]-4-(hydroxymethyl)- (9CI) (CA INDEX NAME)



RN 486428-80-2 CAPLUS

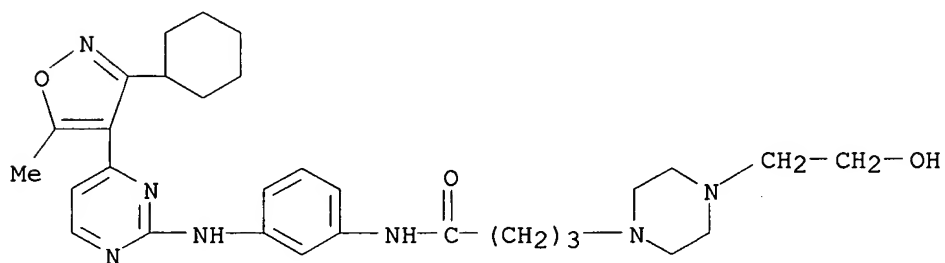
CN 1-Pyrrolidinebutanamide, N-[3-[[5-amino-4-(3-cyclohexyl-5-methyl-4-isoxazolyl)-2-pyrimidinyl]amino]-5-hydroxyphenyl]-2-(hydroxymethyl)-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



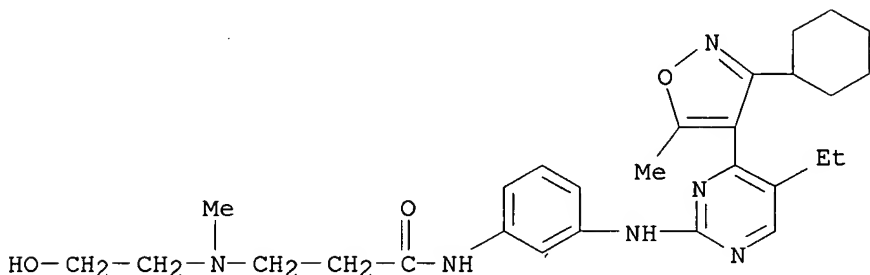
RN 486428-81-3 CAPLUS

CN 1-Piperazinebutanamide, N-[3-[[4-(3-cyclohexyl-5-methyl-4-isoxazolyl)-2-pyrimidinyl]amino]phenyl]-4-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



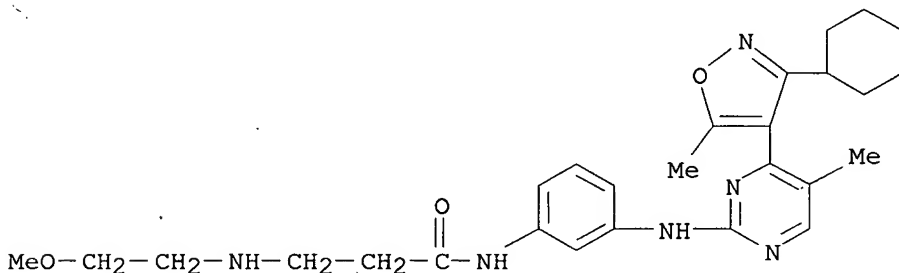
RN 486428-83-5 CAPLUS

CN Propanamide, N-[3-[[4-(3-cyclohexyl-5-methyl-4-isoxazolyl)-5-ethyl-2-pyrimidinyl]amino]phenyl]-3-[(2-hydroxyethyl)methylamino]- (9CI) (CA INDEX NAME)



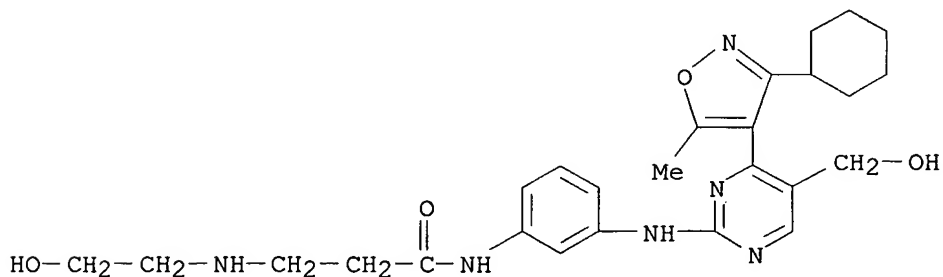
RN 486428-84-6 CAPLUS

CN Propanamide, N-[3-[[4-(3-cyclohexyl-5-methyl-4-isoxazolyl)-5-methyl-2-pyrimidinyl]amino]phenyl]-3-[(2-methoxyethyl)amino]- (9CI) (CA INDEX NAME)



RN 486428-85-7 CAPLUS

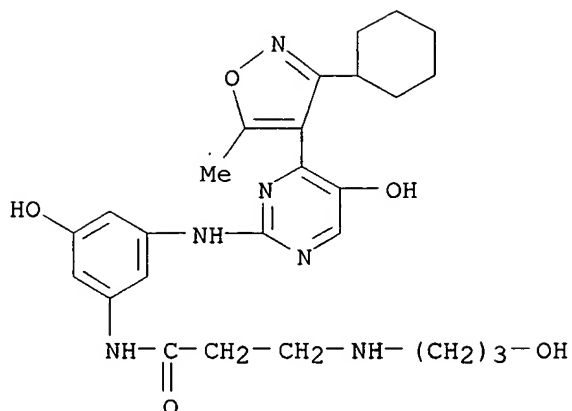
CN Propanamide, N-[3-[[4-(3-cyclohexyl-5-methyl-4-isoxazolyl)-5-(hydroxymethyl)-2-pyrimidinyl]amino]phenyl]-3-[(2-hydroxyethyl)amino]- (9CI) (CA INDEX NAME)



RN 486428-86-8 CAPLUS

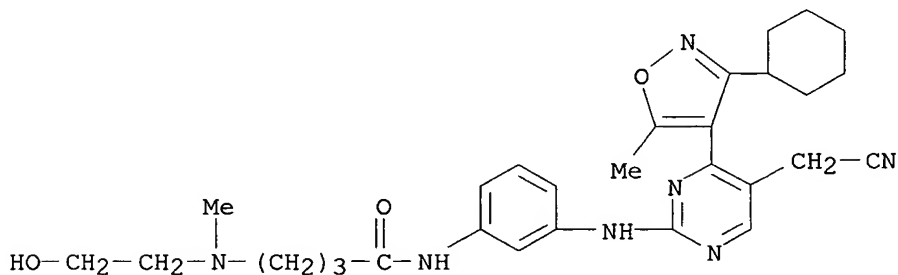
CN Propanamide, N-[3-[[4-(3-cyclohexyl-5-methyl-4-isoxazolyl)-5-hydroxy-2-pyrimidinyl]amino]-5-hydroxyphenyl]-3-[(3-hydroxypropyl)amino]- (9CI) (CA INDEX NAME)

INDEX NAME)



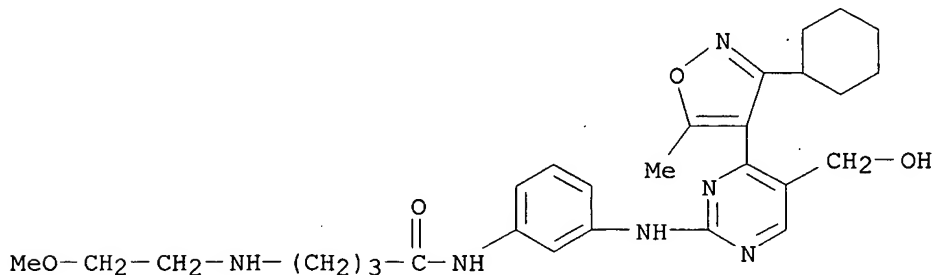
RN 486428-88-0 CAPLUS

CN Butanamide, N-[3-[[5-(3-cyclohexyl-5-methyl-4-isoxazolyl)-2-pyrimidinyl]amino]phenyl]-4-[(2-hydroxyethyl)methylamino]- (9CI) (CA INDEX NAME)



RN 486428-89-1 CAPLUS

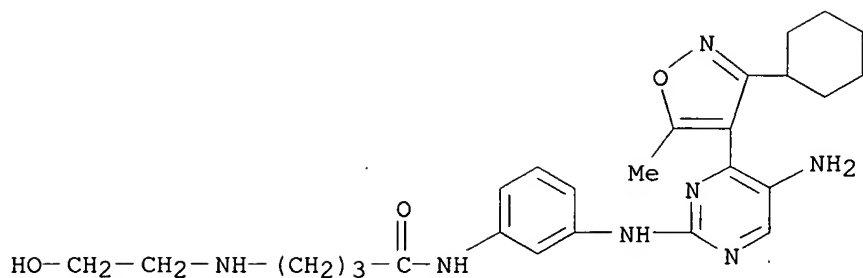
CN Butanamide, N-[3-[[4-(3-cyclohexyl-5-methyl-4-isoxazolyl)-5-(hydroxymethyl)-2-pyrimidinyl]amino]phenyl]-4-[(2-methoxyethyl)amino]- (9CI) (CA INDEX NAME)



RN 486428-90-4 CAPLUS

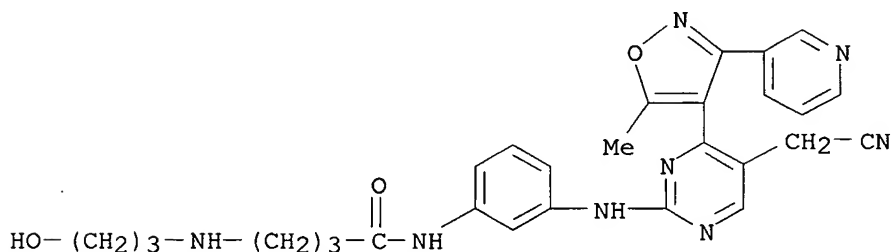
CN Butanamide, N-[3-[[5-amino-4-(3-cyclohexyl-5-methyl-4-isoxazolyl)-2-pyrimidinyl]amino]phenyl]-4-[(2-hydroxyethyl)amino]- (9CI) (CA INDEX NAME)

NAME)



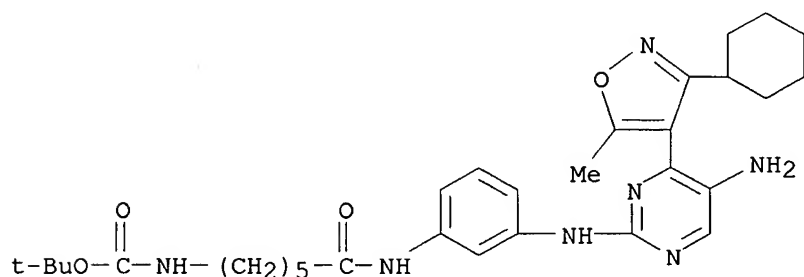
RN 486428-91-5 CAPLUS

CN Butanamide, N-[3-[[5-(cyanomethyl)-4-[5-methyl-3-(3-pyridinyl)-4-isoxazolyl]-2-pyrimidinyl]amino]phenyl]-4-[(3-hydroxypropyl)amino]- (9CI)  
(CA INDEX NAME)



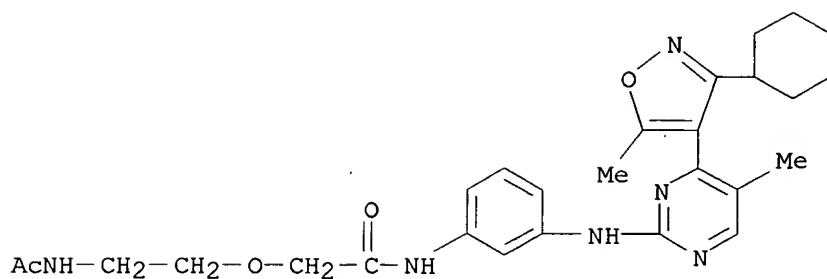
RN 486428-93-7 CAPLUS

CN Carbamic acid, [6-[[3-[[5-amino-4-(3-cyclohexyl-5-methyl-4-isoxazolyl)-2-pyrimidinyl]amino]phenyl]amino]-6-oxohexyl]-, 1,1-dimethylethyl ester  
(9CI) (CA INDEX NAME)



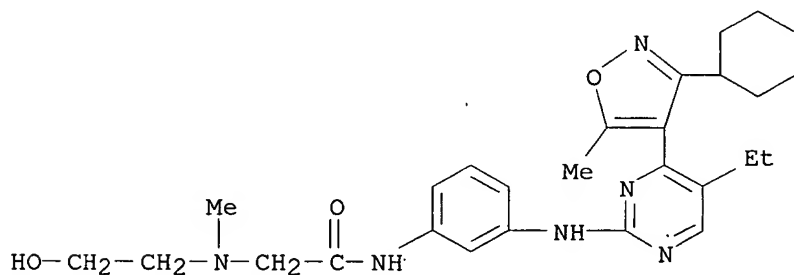
RN 486428-95-9 CAPLUS

CN Acetamide, 2-[2-(acetamino)ethoxy]-N-[3-[[4-(3-cyclohexyl-5-methyl-4-isoxazolyl)-5-methyl-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



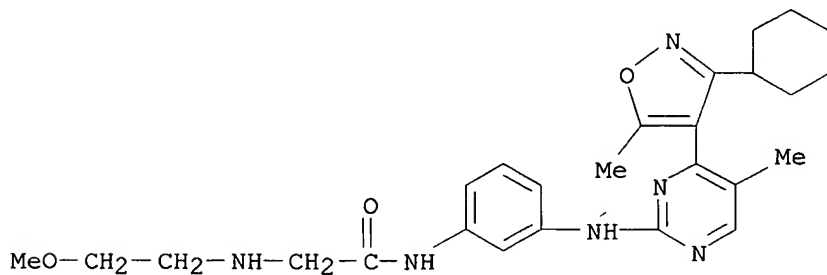
RN 486428-96-0 CAPLUS

CN Acetamide, N-[3-[[4-(3-cyclohexyl-5-methyl-4-isoxazolyl)-5-ethyl-2-pyrimidinyl]amino]phenyl]-2-[(2-hydroxyethyl)methylamino]- (9CI) (CA INDEX NAME)



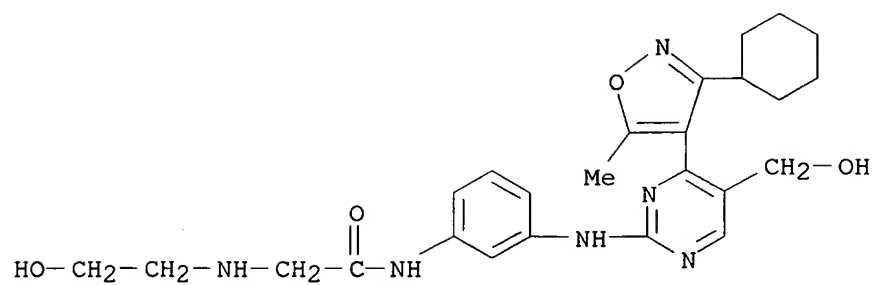
RN 486428-97-1 CAPLUS

CN Acetamide, N-[3-[[4-(3-cyclohexyl-5-methyl-4-isoxazolyl)-5-methyl-2-pyrimidinyl]amino]phenyl]-2-[(2-methoxyethyl)amino]- (9CI) (CA INDEX NAME)



RN 486428-98-2 CAPLUS

CN Acetamide, N-[3-[[4-(3-cyclohexyl-5-methyl-4-isoxazolyl)-5-(hydroxymethyl)-2-pyrimidinyl]amino]phenyl]-2-[(2-hydroxyethyl)amino]- (9CI) (CA INDEX NAME)



RE.CNT 2      THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 30 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:927427 CAPLUS

DN 138:14054

TI Preparation of thiazole compounds as inhibitors of protein kinases

IN Cochran, John; Nanthakumar, Suganthini; Harrington, Edmund; Wang, Jian

PA Vertex Pharmaceuticals Incorporated, USA

SO PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002096905	A1	20021205	WO 2002-US16352	20020523
	WO 2002096905	C1	20050728		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2446756	AA	20021205	CA 2002-2446756	20020523
	US 2003119856	A1	20030626	US 2002-154118	20020523
	US 6762179	B2	20040713		
	EP 1392684	A1	20040303	EP 2002-737123	20020523
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
	JP 2006516110	T2	20060622	JP 2003-500084	20020523
	US 2005004152	A1	20050106	US 2004-891912	20040713
PRAI	US 2001-295158P	P	20010601		
	US 2002-154118	A3	20020523		
	WO 2002-US16352	W	20020523		

OS MARPAT 138:14054

AB Thiazole compds. [I; wherein R1 = H, (substituted) (C1-C6)alkyl, CN, halogen, NO2, (substituted) (C1-C4)alkylidene; Ar1 = (substituted) 3-8 membered monocyclic or 8-10 membered bicyclic saturated, partially saturated,

or

aryl ring, 3-7 membered heterocyclic ring, 5-6 membered monocyclic or 8-10 membered bicyclic heteroaryl ring] were prepared For example, (II) was prepared in three steps from 2-acetylthiazole. These compds. are inhibitors of protein kinases, particularly inhibitors of GSK3, Aurora2, and Syk mammalian protein kinases. For example, compound II showed IC50 ≤ 0.5 μM against Syk mammalian protein kinase.

IT 477770-44-8P 477770-46-0P 477770-47-1P

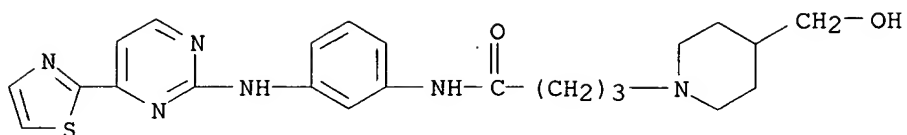
477770-48-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thiazole compds. as inhibitors of protein kinases)

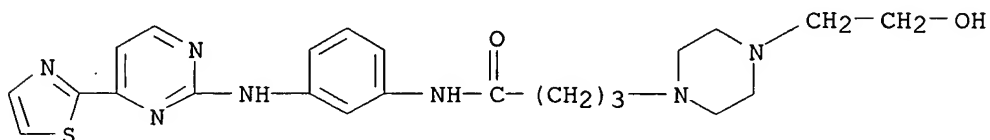
RN 477770-44-8 CAPLUS

CN 1-Piperidinebutanamide, 4-(hydroxymethyl)-N-[3-[[4-(2-thiazolyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



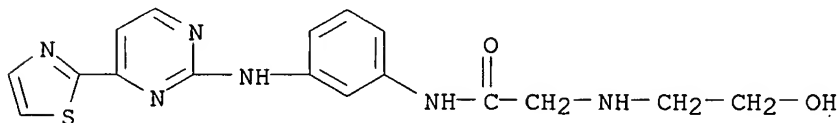
RN 477770-46-0 CAPLUS

CN 1-Piperazinebutanamide, 4-(2-hydroxyethyl)-N-[3-[[4-(2-thiazolyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



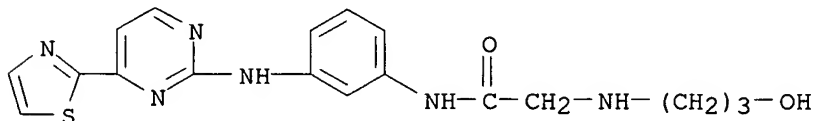
RN 477770-47-1 CAPLUS

CN Acetamide, 2-[(2-hydroxyethyl)amino]-N-[3-[[4-(2-thiazolyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 477770-48-2 CAPLUS

CN Acetamide, 2-[(3-hydroxypropyl)amino]-N-[3-[[4-(2-thiazolyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 31 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2002:889028 CAPLUS  
 DN 137:379974  
 TI Pyridylpyrimidine derivatives as effective compounds against prion diseases  
 IN Stein-Gerlach, Matthias; Salassidis, Konstadinos; Bacher, Gerald; Mueller, Stefan  
 PA Axxima Pharmaceuticals A.-G., Germany  
 SO PCT Int. Appl., 96 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002093164	A2	20021121	WO 2002-EP5420	20020516
	WO 2002093164	A3	20030904		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2446939	C	20021121	CA 2002-2446939	20020516
	CA 2446939	AA	20021121		
	EP 1395261	A2	20040310	EP 2002-769490	20020516
	EP 1395261	B1	20060628		
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
	US 2003176443	A1	20030918	US 2002-204041	20020816
PRAI	EP 2001-111858	A	20010516		
	US 2001-293528P	P	20010529		
	EP 2001-117113	A	20010713		
	US 2001-305898P	P	20010718		
	WO 2002-EP5420	W	20020516		

OS MARPAT 137:379974

AB The present invention relates to pyridylpyrimidine derivs. of the general formula (I) : wherein R represents hydrogen or Me and Z represents nitrogen containing functional groups, the use of the pyridylpyrimidine derivs. as pharmaceutically active agents, especially for the prophylaxis and/or

treatment of prion infections and prion diseases, as well as compns. containing at least one pyridylpyrimidine derivative and/or pharmaceutically acceptable salt thereof. Furthermore, the present invention is directed to methods for preventing and/or treating prion infections and prion diseases using said pyridylpyrimidine derivs. Human cellular protein kinases, phosphatases and cellular signal transduction mols. are disclosed as targets for detecting, preventing and/or treating prion infections and diseases, especially BSE, vCJD, or CJD, which can be inhibited by the inventive pyridylpyrimidine derivs.

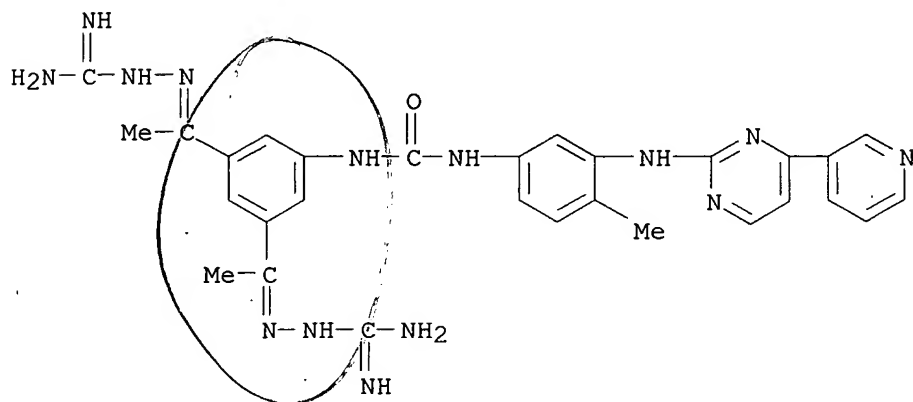
IT 475587-69-0

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pyridylpyrimidine derivs. as effective compds. against prion diseases)

RN 475587-69-0 CAPLUS

Hydrazinecarboximidamide, 2,2'-[[[5-[[[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]amino]carbonyl]amino]-1,3-phenylene]diethylidyne]bis- (9CI) (CA INDEX NAME)



L6 ANSWER 32 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:814126 CAPLUS

DN 137:325327

TI Preparation of thienyl-substituted pyrimidinyl, pyridinyl and triazinyl amines as inhibitors of c-Jun N-terminal kinases (JNK) and other protein kinases

IN Cao, Jingrong; Green, Jeremy; Moon, Young-Choon; Wang, Jian; Ledebor, Mark; Harrington, Edmund; Gao, Huai

PA Vertex Pharmaceuticals Incorporated, USA

SO PCT Int. Appl., 137 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002083667	A2	20021024	WO 2002-US11570	20020410
	WO 2002083667	A3	20030103		
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	RW:				
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	CA 2443487	AA	20021024	CA 2002-2443487	20020410
	AU 2002338642	A1	20021028	AU 2002-338642	20020410
	US 2003096816	A1	20030522	US 2002-121035	20020410
	US 6642227	B2	20031104		
	EP 1389206	A2	20040218	EP 2002-762067	20020410
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004535381	T2	20041125	JP 2002-581422	20020410
	US 2004023963	A1	20040205	US 2003-437666	20030514
PRAI	US 2001-283621P	P	20010413		
	US 2001-292974P	P	20010523		
	US 2001-329440P	P	20011015		
	US 2002-121035	A3	20020410		
	WO 2002-US11570	W	20020410		

OS MARPAT 137:325327

AB The present invention provides thienyl-substituted pyrimidinyl, pyridinyl and triazinyl amines (shown as I, e.g. 2-methylsulfanyl-5-(2-phenylaminopyrimidin-4-yl)-4-(4-chlorophenyl)thiophene-3-carbonitrile): or a pharmaceutically acceptable derivative thereof, wherein A, B, Ra, R1, R2, R3 and R4 are as described in the specification. These compds. are inhibitors of protein kinase, particularly inhibitors of JNK, a mammalian protein kinase involved in cell proliferation, cell death and response to extracellular stimuli; Lck and Src kinase. The invention also provides pharmaceutical compns. comprising the inhibitors of the invention and methods of using those compns. in the treatment and prevention of various disorders. Although the methods of preparation are not claimed, 42 example preps. of intermediates and I are included. Results of JNK, Src and Lck inhibition are tabulated for many I.

IT 473534-41-7P, 2-(Methylthio)-4-(3-pyridyl)-5-(2-((3-((4-(acetylamino)butanoyl)amino)phenyl)amino)pyrimidin-4-yl)thiophene-3-carbonitrile 473534-48-4P, 2-(Methylthio)-4-(3-pyridyl)-5-(2-((3-

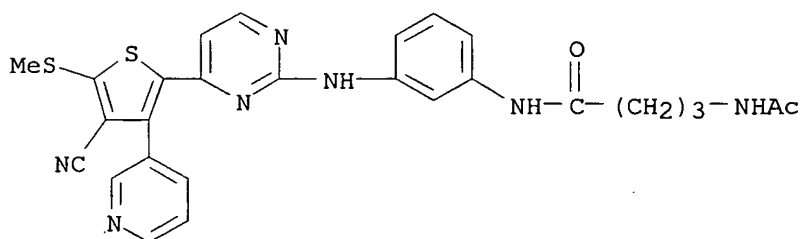
((2-amino-4-thiazolyl)acetyl)amino)phenyl)amino)pyrimidin-4-yl)thiophene-3-carbonitrile 473534-50-8P, 2-(Methylthio)-4-(3-pyridyl)-5-(2-((3-((3-(3,6-dioxo-2-piperazinyl)propanoyl)amino)phenyl)amino)pyrimidin-4-yl)thiophene-3-carbonitrile

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of thienyl-substituted pyrimidinyl, pyridinyl and triazinyl amines as inhibitors of JNK and other protein kinases)

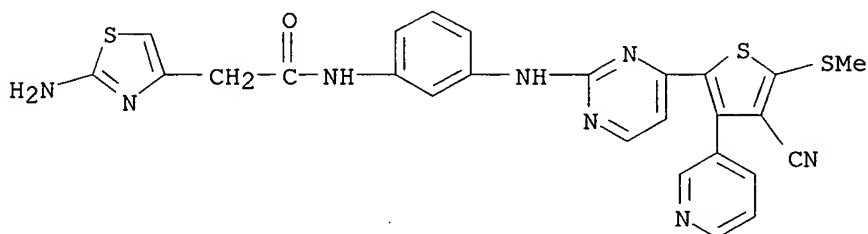
RN 473534-41-7 CAPLUS

CN Butanamide, 4-(acetylamino)-N-[3-[[4-[4-cyano-5-(methylthio)-3-(3-pyridinyl)-2-thienyl]-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



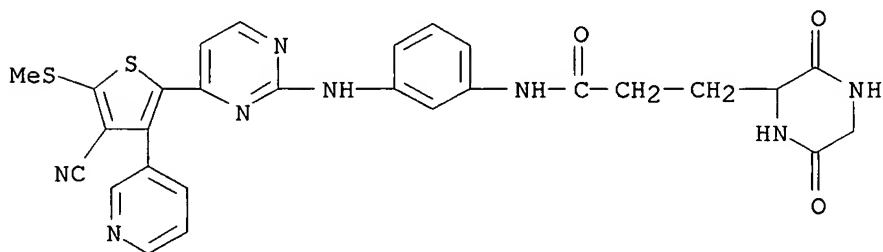
RN 473534-48-4 CAPLUS

CN 4-Thiazoleacetamide, 2-amino-N-[3-[[4-[4-cyano-5-(methylthio)-3-(3-pyridinyl)-2-thienyl]-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 473534-50-8 CAPLUS

CN 2-Piperazinepropanamide, N-[3-[[4-[4-cyano-5-(methylthio)-3-(3-pyridinyl)-2-thienyl]-2-pyrimidinyl]amino]phenyl]-3,6-dioxo- (9CI) (CA INDEX NAME)



L6 ANSWER 33 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2002:220573 CAPLUS  
 DN 136:247605  
 TI N-phenyl-2-pyrimidinamine derivatives as tyrosine kinase inhibitors  
 IN Buerger, Hans Michael; Caravatti, Giorgio; Zimmermann, Juerg; Manley, Paul  
 William; Breitenstein, Werner; Cudd, Margaret Amelia  
 PA Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft  
 m.b.H.  
 SO PCT Int. Appl., 54 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002022597	A1	20020321	WO 2001-EP10503	20010911
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2416274	AA	20020321	CA 2001-2416274	20010911
	AU 2002018167	A5	20020326	AU 2002-18167	20010911
	BR 2001013838	A	20030603	BR 2001-13838	20010911
	EP 1322634	A1	20030702	EP 2001-984640	20010911
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004509111	T2	20040325	JP 2002-526850	20010911
	CN 1525967	A	20040901	CN 2001-815539	20010911
	US 2004102453	A1	20040527	US 2003-363841	20030310
PRAI	GB 2000-22438	A	20000913		
	WO 2001-EP10503	W	20010911		

OS MARPAT 136:247605

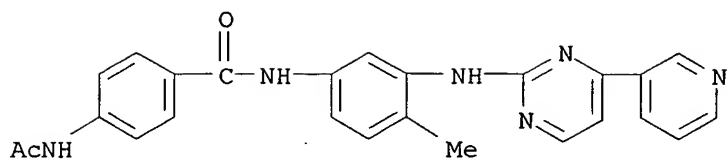
AB The N-phenyl-2-pyrimidinamines I [R = substituted Ph; R1 = (un)substituted pyrazinyl, 1-methylpyrrolyl, aminophenyl, aminoalkylphenyl, indolyl, imidazolyl, pyridyl, pyridyl N-oxide; R2, R3 = H, alkyl] were prepared for use as tyrosine kinase inhibitors with IC50 of 3-300 nM. Thus, the benzamide II [R4 = 4-ethylpiperazino] was prepared from II [R4 = Cl] and 1-ethylpiperazine.

IT 404844-06-0P 404844-07-1P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of N-phenyl-2-pyrimidinamine derivs. as tyrosine kinase inhibitors)

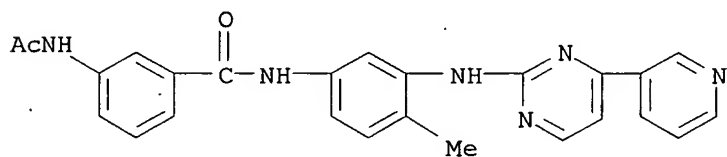
RN 404844-06-0 CAPLUS

CN Benzamide, 4-(acetyl amino)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RN 404844-07-1 CAPLUS

CN Benamide, 3-(acetylamino)-N-[4-methyl-3-[[4-(3-pyridinyl)-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 34 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2001:903704 CAPLUS  
 DN 136:33324  
 TI N-phenyl-4-(4-pyridyl)-2-pyrimidinamine derivatives as antimicrobials and  
 nematocides for plant protection  
 IN Eberle, Martin; Stierli, Daniel; Pillonel, Christian; Ziegler, Hugo  
 PA Syngenta Participations Ag, Switz.  
 SO PCT Int. Appl., 117 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001093682	A1	20011213	WO 2001-EP6389	20010606
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2409877	AA	20011213	CA 2001-2409877	20010606
	EP 1292190	A1	20030319	EP 2001-962712	20010606
	EP 1292190	B1	20050824		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	BR 2001011492	A	20030930	BR 2001-11492	20010606
	JP 2003535109	T2	20031125	JP 2002-501259	20010606
	AT 302549	E	20050915	AT 2001-962712	20010606
	ES 2245992	T3	20060201	ES 2001-1962712	20010606
	US 2003236256	A1	20031225	US 2003-297737	20030423
PRAI	GB 2000-14022	A	20000608		
	WO 2001-EP6389	W	20010606		

OS MARPAT 136:33324

AB Compds. I (n = 0 or 1; R1 = halo, alkoxy, haloalkyl, haloalkoxy or alkyl; = H, halo, alkyl, haloalkyl, alkoxy or haloalkoxy; R3, R4, R5 = H, lower alkyl or halo; R6 = (un)substituted hydrazino, cyclohexylamino, piperazinyl, etc.) were prepared for use in compns. for protecting plants against attack or infestation by phytopathogenic organisms, such as nematodes or especially microorganisms, preferably fungi, bacteria and viruses, or combinations of two or more of these organisms.

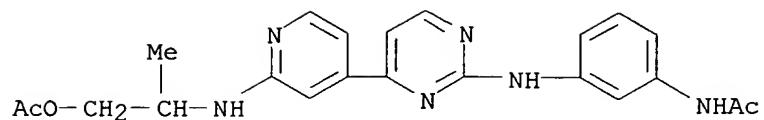
IT 379736-10-4

RL: AGR (Agricultural use); PRP (Properties); BIOL (Biological study); USES (Uses)

(N-phenyl-4-(4-pyridyl)-2-pyrimidinamine derivs. as antimicrobials and nematocides for plant protection)

RN 379736-10-4 CAPLUS

CN Acetamide, N-[3-[[4-[2-[[2-(acetyloxy)-1-methylethyl]amino]-4-pyridinyl]-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 9      THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 35 OF 35 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 1995:909361 CAPLUS

DN 123:313996

TI Preparation of N-phenyl-2-pyrimidineamine antitumor agents

IN Zimmermann, Juerg

PA Ciba-Geigy A.-G., Switz.

SO PCT Int. Appl., 69 pp.

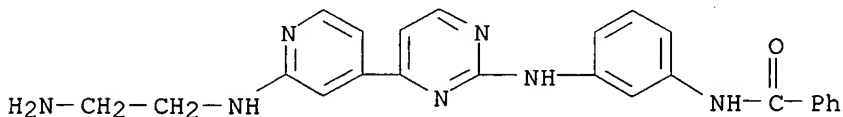
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9509847	A1	19950413	WO 1994-EP3150	19940921
	W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, US, UZ, VN				
	RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2148931	AA	19950413	CA 1993-2148931	19930921
	AU 9476976	A1	19950501	AU 1994-76976	19940921
	AU 693475	B2	19980702		
	EP 672035	A1	19950920	EP 1994-927634	19940921
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 08503971	T2	19960430	JP 1994-510577	19940921
	US 5612340	A	19970318	US 1995-436345	19950517
PRAI	CH 1993-2967	A	19931001		
	CH 1994-2279	A	19940718		
	WO 1994-EP3150	W	19940921		
OS	MARPAT 123:313996				
AB	N-phenyl-2-pyrimidineamine derivs. [I; R1 = naphthyl, fluorenyl, anthracenyl, (un)substituted cyclic residue, etc.; R2 = NO2, F-substituted lower alkoxy, etc.] [e.g., N-[3-(1,1,2,2-tetrafluoroethoxy)phenyl]-4-(3,4,5-trimethoxyphenyl)-2-pyrimidineamine; m.p. 132°], useful for the treatment of tumor diseases (no data), are prepared and I-containing formulations presented.				
IT	170141-43-2P RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of N-phenyl-2-pyrimidineamine antitumor agents)				
RN	170141-43-2 CAPLUS				
CN	Benzamide, N-[3-[[4-[2-[(2-aminoethyl)amino]-4-pyridinyl]-2-pyrimidinyl]amino]phenyl]- (9CI) (CA INDEX NAME)				



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(FILE 'HOME' ENTERED AT 13:19:04 ON 24 JUL 2006)

FILE 'REGISTRY' ENTERED AT 13:19:15 ON 24 JUL 2006

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 L3               STRUCTURE UPLOADED  
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 L5               247 S L3 SSS FUL

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COST IN U.S. DOLLARS

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FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

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